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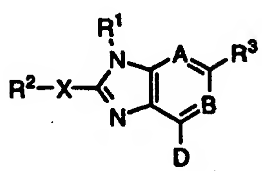

(I)

(57) Abstract

Corticotropin releasing factor (CRF) antagonists of formula (I) and their use in treating psychiatric disorders and neurological diseases, anxiety-related disorders, post-traumatic stress disorder, supranuclear palsy and feeding disorders as well as treatment of immunological, cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathological disturbance and stress in mammals.

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TITLE

IMIDAZOPYRIMIDINES AND IMIDAZOPYRIDINES FOR THE TREATMENT OF NEUROLOGICAL DISORDERS

FIELD OF THE INVENTION

The present invention relates to novel compounds, compositions, and methods for the treatment of psychiatric disorders and neurological diseases, including major depression, anxiety-related disorders, post-traumatic stress disorder, supranuclear palsy and feeding disorders, as well as treatment of immunological, cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathological disturbance and stress. In particular, the present invention relates to novel imidazopyrimidines and imidazopyridines, pharmaceutical compositions containing such compounds and their use in treating psychiatric disorders, neurological diseases, immunological, cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathological disturbance and stress.

BACKGROUND OF THE INVENTION

Corticotropin releasing factor (herein referred to as CRF), a 41 amino acid peptide, is the primary physiological regulator of proopiomelanocortin (POMC) -derived peptide secretion from the anterior pituitary gland [J. Rivier et al., *Proc. Nat. Acad. Sci. (USA)* 80:4851 (**1983**); W. Vale et al., *Science* 213:1394 (**1981**)]. In addition to its endocrine role at the pituitary gland, immunohistochemical localization of CRF has demonstrated that the hormone has a broad extrahypothalamic distribution in the central nervous system and produces a wide spectrum of autonomic, electrophysiological and behavioral effects consistent with a neurotransmitter or neuromodulator role in brain [W. Vale et al., *Rec. Prog. Horm. Res.* 39:245 (**1983**); G.F. Koob, *Persp. Behav. Med.* 2:39 (**1985**); E.B. De Souza et al., *J. Neurosci.* 5:3189 (**1985**)]. There is also evidence that CRF

plays a significant role in integrating the response of the immune system to physiological, psychological, and immunological stressors [J.E. Blalock, *Physiological Reviews* 69:1 (**1989**); J.E. Morley, *Life Sci.* 41:527 (**1987**)].

Clinical data provide evidence that CRF has a role in psychiatric disorders and neurological diseases including depression, anxiety-related disorders and feeding disorders. A role for CRF has also been postulated in the etiology and pathophysiology of Alzheimer's disease, Parkinson's disease, Huntington's disease, progressive supranuclear palsy and amyotrophic lateral sclerosis as they relate to the dysfunction of CRF neurons in the central nervous system [for review see E.B. De Souza, *Hosp. Practice* 23:59 (**1988**)].

In affective disorder, or major depression, the concentration of CRF is significantly increased in the cerebral spinal fluid (CSF) of drug-free individuals [C.B. Nemeroff et al., *Science* 226:1342 (**1984**); C.M. Banki et al., *Am. J. Psychiatry* 144:873 (**1987**); R.D. France et al., *Biol. Psychiatry* 28:86 (**1988**); M. Arato et al., *Biol Psychiatry* 25:355 (**1989**)]. Furthermore, the density of CRF receptors is significantly decreased in the frontal cortex of suicide victims, consistent with a hypersecretion of CRF [C.B. Nemeroff et al., *Arch. Gen. Psychiatry* 45:577 (**1988**)]. In addition, there is a blunted adrenocorticotropin (ACTH) response to CRF (i.v. administered) observed in depressed patients [P.W. Gold et al., *Am J. Psychiatry* 141:619 (**1984**); F. Holsboer et al., *Psychoneuroendocrinology* 9:147 (**1984**); P.W. Gold et al., *New Eng. J. Med.* 314:1129 (**1986**)]. Preclinical studies in rats and non-human primates provide additional support for the hypothesis that hypersecretion of CRF may be involved in the symptoms seen in human depression [R.M. Sapolsky, *Arch. Gen. Psychiatry* 46:1047 (**1989**)]. There is preliminary evidence that tricyclic antidepressants can alter CRF levels and thus modulate the numbers of CRF receptors in

brain [Grigoriadis et al., *Neuropsychopharmacology* 2:53 (**1989**)].

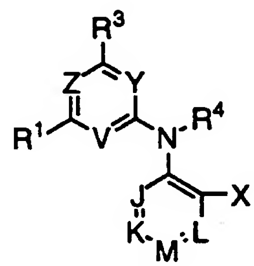
It has also been postulated that CRF has a role in the etiology of anxiety-related disorders. CRF produces anxiogenic effects in animals and interactions between benzodiazepine / non-benzodiazepine anxiolytics and CRF have been demonstrated in a variety of behavioral anxiety models [D.R. Britton et al., *Life Sci.* 31:363 (**1982**); C.W. Berridge and A.J. Dunn *Regul. Peptides* 16:83 (**1986**)]. Preliminary studies using the putative CRF receptor antagonist a-helical ovine CRF (9-41) in a variety of behavioral paradigms demonstrate that the antagonist produces "anxiolytic-like" effects that are qualitatively similar to the benzodiazepines [C.W. Berridge and A.J. Dunn *Horm. Behav.* 21:393 (**1987**), *Brain Research Reviews* 15:71 (**1990**)].

Neurochemical, endocrine and receptor binding studies have all demonstrated interactions between CRF and benzodiazepine anxiolytics, providing further evidence for the involvement of CRF in these disorders. Chlordiazepoxide attenuates the "anxiogenic" effects of CRF in both the conflict test [K.T. Britton et al., *Psychopharmacology* 86:170 (**1985**); K.T. Britton et al., *Psychopharmacology* 94:306 (**1988**)] and in the acoustic startle test [N.R. Swerdlow et al., *Psychopharmacology* 88:147 (**1986**)] in rats. The benzodiazepine receptor antagonist (Ro15-1788), which was without behavioral activity alone in the operant conflict test, reversed the effects of CRF in a dose-dependent manner while the benzodiazepine inverse agonist (FG7142) enhanced the actions of CRF [K.T. Britton et al., *Psychopharmacology* 94:306 (**1988**)].

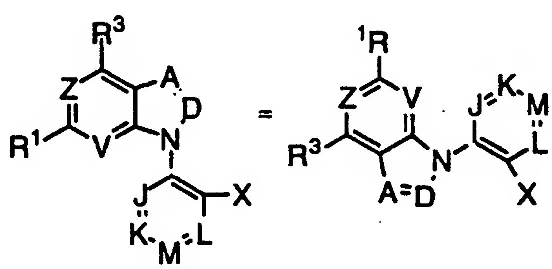
It has been further postulated that CRF has a role in immunological, cardiovascular or heart-related diseases such as hypertension, tachycardia and congestive heart failure, stroke, osteoporosis, premature birth, psychosocial dwarfism, stress-induced fever, ulcer, diarrhea, post-operative ileus and colonic hypersensitivity associated with psychopathological disturbance and stress.

The mechanisms and sites of action through which the standard anxiolytics and antidepressants produce their therapeutic effects remain to be elucidated. It has been hypothesized however, that they are involved in the suppression of the CRF hypersecretion that is observed in these disorders. Of particular interest is that preliminary studies examining the effects of a CRF receptor antagonist (a-helical CRF9-41) in a variety of behavioral paradigms have demonstrated that the CRF antagonist produces "anxiolytic-like" effects qualitatively similar to the benzodiazepines [for review see G.F. Koob and K.T. Britton, In: *Corticotropin-Releasing Factor: Basic and Clinical Studies of a Neuropeptide*, E.B. De Souza and C.B. Nemeroff eds., CRC Press p221 (1990)].

DuPont Merck PCT application US94/11050 describes corticotropin releasing factor antagonist compounds of the formula:



and their use to treat psychiatric disorders and neurological diseases. Included in the description are fused pyridines and pyrimidines of the formula:



where: V is CR^{1a} or N; Z is CR^{2} or N; A is $CR^{3}0$ or N; and D is CR^{28} or N.

Other compounds reported to have activity as corticotropin releasing factors are disclosed in WO 95/33750, WO 95/34563 and WO 95/33727.

SUMMARY OF THE INVENTION

In accordance with one aspect, the present invention provides novel compounds which bind to corticotropin releasing factor receptors, thereby altering the anxiogenic effects of CRF secretion. The compounds of the present invention are useful for the treatment of psychiatric disorders and neurological diseases, anxiety-related disorders, post-traumatic stress disorder, supranuclear palsy and feeding disorders as well as treatment of immunological, cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathological disturbance and stress in mammals.

According to another aspect, the present invention provides novel compounds of formula (I) (described below) which are useful as antagonists of the corticotropin releasing factor. The compounds of the present invention exhibit activity as corticotropin releasing factor antagonists and appear to suppress CRF hypersecretion. The present invention also includes pharmaceutical compositions containing such compounds of formula (I), and methods of using such compounds for the suppression of CRF hypersecretion, and/or for the treatment of anxiogenic disorders.

According to yet another aspect, the present invention provides novel compounds, pharmaceutical compositions and methods which may be used in the treatment of affective disorder, anxiety, depression, irritable bowel syndrome, post-traumatic stress disorder, supranuclear palsy, immune suppression, Alzheimer's disease, gastrointestinal disease, anorexia nervosa or other feeding disorder, drug or alcohol

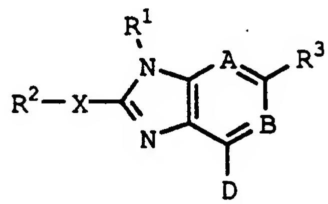
withdrawal symptoms, drug addiction, inflammatory disorder, fertility problems, disorders, the treatment of which can be effected or facilitated by antagonizing CRF, including but not limited to disorders induced or facilitated by CRF, or a disorder selected from inflammatory disorders such as rheumatoid arthritis and osteoarthritis, pain, asthma, psoriasis and allergies; generalized anxiety disorder; panic, phobias, obsessive-compulsive disorder; post-traumatic stress disorder; sleep disorders induced by stress; pain perception such as fibromyalgia; mood disorders such as depression, including major depression, single episode depression, recurrent depression, child abuse induced depression, and postpartum depression; dysthemia; bipolar disorders; cyclothymia; fatigue syndrome; stress-induced headache; cancer, human immunodeficiency virus (HIV) infections; neurodegenerative diseases such as Alzheimer's disease, Parkinson's disease and Huntington's disease; gastrointestinal diseases such as ulcers, irritable bowel syndrome, Crohn's disease, spastic colon, diarrhea, and post operative ilius and colonic hypersensitivity associated by psychopathological disturbances or stress; eating disorders such as anorexia and bulimia nervosa; hemorrhagic stress; stress-induced psychotic episodes; euthyroid sick syndrome; syndrome of inappropriate antidiarrhetic hormone (ADH); obesity; infertility; head traumas; spinal cord trauma; ischemic neuronal damage (e.g., cerebral ischemia such as cerebral hippocampal ischemia); excitotoxic neuronal damage; epilepsy; cardiovascular and hear related disorders including hypertension, tachycardia and congestive heart failure; stroke; immune dysfunctions including stress induced immune dysfunctions (e.g., stress induced fevers, porcine stress syndrome, bovine shipping fever, equine paroxysmal fibrillation, and dysfunctions induced by confinement in chickens, sheering stress in sheep or human-animal interaction related stress in dogs); muscular spasms; urinary incontinence; senile dementia of the Alzheimer's type; multiinfarct dementia; amyotrophic

lateral sclerosis; chemical dependencies and addictions (e.g., dependencies on alcohol, cocaine, heroin, benzodiazepines, or other drugs); drug and alcohol withdrawal symptoms; osteoporosis; psychosocial dwarfism and hypoglycemia in mammals.

According to a still further aspect of the invention, the compounds provided by this invention (and especially labelled compounds of this invention) are also useful as standards and reagents in determining the ability of a potential pharmaceutical to bind to the CRF receptor.

DETAILED DESCRIPTION OF INVENTION

[1] Thus, in a first embodiment, the present invention provides a novel compound of formula I:



(I)

or a stereoisomer or pharmaceutically acceptable salt form thereof, wherein:

A is N or C-R^7;

B is N or C-R^8;

provided that at least one of the groups A and B is N;

D is an aryl or heteroaryl group attached through an unsaturated carbon atom;

X is selected from the group CH-R^9, N-R^{10}, O, $S(O)_n$ and a bond;

n is 0, 1 or 2;

R^1 is selected from the group C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{3-8} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-4} alkoxy-C_{1-4} alkyl, $-SO_2-C_{1-10}$ alkyl, $-SO_2-R^{1a}$, and $-SO_2-R^{1b}$;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-CO_2R^{13a}$, $-NR^{15a}COR^{13a}$, $-N(COR^{13a})_2$, $-NR^{15a}CONR^{13a}R^{16a}$, $-NR^{15a}CO_2R^{14b}$, $-CONR^{13a}R^{16a}$, 1-morpholinyl, 1-piperidinyl, 1-piperazinyl, and C_{3-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, $-NR^{13a}-$, $-NCO_2R^{14b}-$, $-NCOR^{14b}-$ and $-NSO_2R^{14b}-$, and wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

R^1 is also substituted with 0-3 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, R^{1c}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, I, C_{1-4} haloalkyl, $-OR^{13a}$, $-NR^{13a}R^{16a}$, C_{1-4} alkoxy-C_{1-4} alkyl, and C_{3-8} cycloalkyl which is substituted with 0-1 R^9 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

provided that R^1 is other than:
(a) a cyclohexyl-$(CH_2)_2$- group;
(b) a 3-cyclopropyl-3-methoxypropyl group;
(c) an unsubstituted-(alkoxy)methyl group; and,
(d) a 1-hydroxyalkyl group;

also provided that when R^1 alkyl substituted with OH, then the carbon adjacent to the ring N is other than CH_2;

R^{1a} is aryl and is selected from the group phenyl, naphthyl, indanyl and indenyl, each R^{1a} being substituted with 0-1 $-OR^{17}$ and 0-5 substituents independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, SH, $-S(O)_nR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$;

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-onyl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_mR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

R^{1c} is heterocyclyl and is a saturated or partially saturated heteroaryl, each heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{13a}$, SH, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-OC(O)R^{14b}$, $-NR^{15a}COR^{13a}$, $-N(COR^{13a})_2$, $-NR^{15a}CONR^{13a}R^{16a}$,

$-NR^{15a}CO_2R^{14b}$, $-NR^{13a}R^{16a}$, and $-CONR^{13a}R^{16a}$ and each heterocyclyl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b} and wherein any sulfur atom is optionally monooxidized or dioxidized;

provided that R^1 is other than a $-(CH_2)_{1-4}$-aryl, $-(CH_2)_{1-4}$-heteroaryl, or $-(CH_2)_{1-4}$-heterocycle, wherein the aryl, heteroaryl, or heterocycle group is substituted or unsubstituted;

R^2 is selected from the group C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{2-4} alkenyl, and C_{2-4} alkynyl and is substituted with 0-3 substituents selected from the group -CN, hydroxy, halo and C_{1-4} alkoxy;

alternatively R^2, in the case where X is a bond, is selected from the group -CN, CF_3 and C_2F_5;

R^3, R^7 and R^8 are independently selected at each occurrence from the group H, Br, Cl, F, I, -CN, C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{1-4} alkoxy, C_{1-4} alkylthio, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, amino, C_{1-4} alkylamino, $(C_{1-4}$ alkyl$)_2$amino and phenyl, each phenyl is substituted with 0-3 groups selected from the group C_{1-7} alkyl, C_{3-8} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} alkylthio, C_{1-4} alkyl sulfinyl, C_{1-4} alkylsulfonyl, C_{1-6} alkylamino and $(C_{1-4}$ alkyl$)_2$amino;

provided that when R^1 is unsubstituted C_{1-10} alkyl, then R^3 is other than substituted or unsubstituted phenyl;

R^9 and R^{10} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-6} cycloalkyl-C_{1-4} alkyl and C_{3-8} cycloalkyl;

R^{13} is selected from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl)-, heteroaryl and heteroaryl(C_{1-4} alkyl)-;

R^{13a} and R^{16a} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{14} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl)-, heteroaryl and heteroaryl(C_{1-4} alkyl)- and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy C_{1-4} haloalkoxy, and dimethylamino;

R^{14a} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{14b} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{15} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, phenyl and benzyl, each phenyl or benzyl being substituted on the aryl moiety with 0-3 groups chosen from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{15a} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{17} is selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{1-4} haloalkyl, $R^{14}S(O)_n$-C_{1-4} alkyl, and $R^{17b}R^{19b}N$-C_{2-4} alkyl;

R^{18} and R^{19} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{1-4} haloalkyl;

alternatively, in an $NR^{17}R^{19}$ moiety, R^{17} and R^{19} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

alternatively, in an $NR^{17b}R^{19b}$ moiety, R^{17b} and R^{19b} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

R^{17a} and R^{19a} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} haloalkyl;

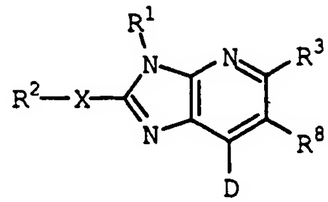
aryl is independently selected at each occurrence from the group phenyl, naphthyl, indanyl and indenyl, each aryl being substituted with 0-5 substituents independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, methylenedioxy, C_{1-4} alkoxy-C_{1-4} alkoxy, $-OR^{17}$, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, $-NO_2$,

SH, $-S(O)_nR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CONR^{17}R^{19}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and up to 1 phenyl, each phenyl substituent being substituted with 0-4 substituents selected from the group C_{1-3} alkyl, C_{1-3} alkoxy, Br, Cl, F, I, -CN, dimethylamino, CF_3, C_2F_5, OCF_3, SO_2Me and acetyl;

heteroaryl is independently selected at each occurence from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_mR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CONR^{17}R^{19}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15}, CO_2R^{14a}, COR^{14a} and SO_2R^{14a}; and,

provided that when D is imidazole or triazole, R^1 is other than unsubstituted C_{1-6} linear or branched alkyl or C_{3-6} cycloalkyl.

[2] In a preferred embodiment, the present invention provides a novel compound of formula Ia:



(Ia).

[2a] In a more preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

X is selected from the group O, $S(O)_n$ and a bond;

n is 0, 1 or 2;

R^1 is selected from the group C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{3-8} cycloalkyl;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-CO_2R^{13a}$, and C_{3-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, $-NR^{13a}-$, $-NCO_2R^{14b}-$, $-NCOR^{14b}-$ and $-NSO_2R^{14b}-$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, CF_3, CF_2CF_3, $-OR^{13a}$, $-NR^{13a}R^{16a}$, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-8} cycloalkyl which is substituted with 0-1 R^9 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

provided that R^1 is other than a cyclohexyl-$(CH_2)_2$- group;

R^{1a} is aryl and is selected from the group phenyl and indanyl, each R^{1a} being substituted with 0-1 $-OR^{17}$ and 0-5 substituents independently selected at each

occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-S(O)_nR^{18}$, $-COR^{17}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$;

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, furanyl, thienyl, imidazolyl, thiazolyl, pyrrolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, CF_3, -CN, $-OR^{17}$, $-S(O)_mR^{18}$, $-COR^{17}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

provided that R^1 is other than a $-(CH_2)_{1-4}$-aryl or $-(CH_2)_{1-4}$-heteroaryl wherein the aryl or heteroaryl group is substituted or unsubstituted;

R^2 is selected from the group C_{1-4} alkyl, C_{2-4} alkenyl, and C_{2-4} alkynyl and is substituted with 0-1 substituents selected from the group -CN, OH, Cl, F, and C_{1-4} alkoxy;

R^3 and R^8 are independently selected at each occurrence from the group H, Br, Cl, F, -CN, C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{1-4} alkoxy, NH_2, C_{1-4} alkylamino, and $(C_{1-4}$ alkyl$)_2$-amino;

R^9 is independently selected at each occurrence from the group H, C_{1-4} alkyl and C_{3-8} cycloalkyl;

R^{13} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{13a} and R^{16a} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{14} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{14a} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{14b} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{15} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, phenyl and benzyl, each phenyl or benzyl being substituted on the aryl moiety with 0-3 groups chosen from the group C_{1-4} alkyl, Br, Cl, F, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{15a} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{17}, R^{18} and R^{19} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{1-4} haloalkyl;

alternatively, in an $NR^{17}R^{19}$ moiety, R^{17} and R^{19} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in

1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

R^{17a} and R^{19a} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} haloalkyl;

aryl is phenyl substituted with 1-4 substituents independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, $-OR^{17}$, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-S(O)_nR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-NR^{15}COR^{17}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted 1-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-OR^{17}$, $-S(O)_mR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15}, CO_2R^{14a}, COR^{14a} and SO_2R^{14a}.

[2b] In an even more preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

X is selected from the group O, S and a bond;

R^1 is substituted C_{1-6} alkyl;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-CO_2R^{13a}$, and C_{3-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, and $-NR^{13a}-$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, CF_3, $-OR^{13a}$, $-NR^{13a}R^{16a}$, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-6} cycloalkyl which is substituted with 0-1 CH_3 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

provided that R^1 is other than a cyclohexyl-$(CH_2)_2$- group;

R^{1a} is aryl and is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, and OCF_3, and 0-3 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each heteroaryl being substituted on any nitrogen

atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

provided that R^1 is other than a $-(CH_2)_{1-4}$-aryl or $-(CH_2)_{1-4}$-heteroaryl wherein the aryl or heteroaryl group is substituted or unsubstituted;

R^2 is selected from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

R^3 and R^8 are independently selected at each occurrence from the group H, CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, indolyl, benzothienyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, and benzoxazolin-2-on-yl, each heteroaryl being substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3.

[2c] In a still more preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

R^1 is substituted C_1;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-CO_2CH_3$, and $-CO_2CH_2CH_3$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH{=}CH_2$, $-CH{=}CH(CH_3)$, $-CH{\equiv}CH$, $-CH{\equiv}C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, CF_3, cyclopropyl, CH_3-cyclopropyl, cyclobutyl, CH_3-cyclobutyl, cyclopentyl, CH_3-cyclopentyl;

R^{1a} is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, and OCF_3, and 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, Br, Cl, F, CF_3, -CN, and SCH_3;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, and tetrazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, OCH_3, OCH_2CH_3, OCF_3, Br, Cl, F, CF_3, -CN, and SCH_3 and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

provided that R^1 is other than a $-(CH_2)_{1-4}$-aryl or $-(CH_2)_{1-4}$-heteroaryl wherein the aryl or heteroaryl group is substituted or unsubstituted;

R^2 is selected from the group CH_3, CH_2CH_3, and $CH(CH_3)_2$;

R^3 and R^8 are independently selected at each occurrence from the group H and CH_3;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$.

[2d] In a further preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

R^1 is substituted (cyclopropyl)-C_1 alkyl or (cyclobutyl)-C_1 alkyl;

R^1 is substituted with 0-1 -CN;

R^1 is also substituted with 0-1 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH=CH_2$, $-CH=CH(CH_3)$, $-CH\equiv CH$, $-CH\equiv C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, CF_3, cyclopropyl, and CH_3-cyclopropyl;

R^{1a} is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, and OCF_3, and 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, Br, Cl, F, CF_3, -CN, and SCH_3;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, and pyrazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, OCH_3, OCH_2CH_3, OCF_3, Br, Cl, F, CF_3, -CN, and SCH_3.

[2e] In another further preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

R^1 is (cyclopropyl)C_1 alkyl or (cyclobutyl)-C_1 alkyl substituted with 1 substituent independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH=CH_2$, $-CH=CH(CH_3)$, $-CH\equiv CH$, $-CH\equiv C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, CF_3, cyclopropyl, and CH_3-cyclopropyl;

R^{1a} is phenyl substituted with 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, Cl, F, and CF_3;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, and isoxazolyl, each heteroaryl being substituted on 0-2 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, OCH_3, Cl, F, and CF_3.

[2f] In an even further preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

R^1 is selected from the group (cyclopropyl)CH-CH_3, (cyclopropyl)CH-CH_2CH_3, (cyclopropyl)CH-CH_2OCH_3, (cyclopropyl)CH-$CH_2CH_2CH_3$, (cyclopropyl)CH-$CH_2CH_2OCH_3$, (cyclopropyl)$_2$CH, phenyl(cyclopropyl)CH,

furanyl(cyclopropyl)CH, thienyl(cyclopropyl)CH, isoxazolyl(cyclopropyl)CH, (CH_3-furanyl)(cyclopropyl)CH, (cyclobutyl)CH-CH_3, (cyclobutyl)CH-CH_2CH_3, (cyclobutyl)CH-CH_2OCH_3, (cyclobutyl)CH-$CH_2CH_2CH_3$, (cyclobutyl)CH-$CH_2CH_2OCH_3$, $(cyclobutyl)_2CH$, phenyl(cyclobutyl)CH, furanyl(cyclobutyl)CH, thienyl(cyclobutyl)CH, isoxazolyl(cyclobutyl)CH, and (CH_3-furanyl)(cyclobutyl)CH;

[2g] In another further preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

D is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[2h] In another further preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

D is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[2i] In another preferred embodiment, the present invention provides a novel compound of formula Ia, wherein the compound is selected from the group:

3-(1-cyclopropylpropyl)-7-(2,4-dichlorophenyl)-2-ethyl-3H-imidazo[4,5-b]pyridine;

3-(1-cyclopropylpropyl)-7-(2,4-dichlorophenyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

3-(1-cyclopropylpropyl)-7-(2,4-dichlorophenyl)-2-(methylsulfanyl)-3H-imidazo[4,5-b]pyridine;

7-[2-chloro-4-(trifluoromethyl)phenyl]-3-(1-cyclopropylpropyl)-2-ethyl-3H-imidazo[4,5-b]pyridine;

7-[2-chloro-4-(trifluoromethyl)phenyl]-3-(1-cyclopropylpropyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

7-[2-chloro-4-(trifluoromethyl)phenyl]-3-(1-cyclopropylpropyl)-2-(methylsulfanyl)-3H-imidazo[4,5-b]pyridine;

3-(1-cyclopropylpropyl)-2-ethyl-7-[2-methyl-4-(trifluoromethyl)phenyl]-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-4-methoxyphenyl)-3-(1-cyclopropylpropyl)-2-ethyl-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-4-methoxyphenyl)-3-(1-cyclopropylpropyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

3-(1-cyclopropylpropyl)-2-ethyl-7-(4-methoxy-2,5-dimethylphenyl)-3H-imidazo[4,5-b]pyridine;

3-(1-cyclopropylpropyl)-2-methoxy-7-(4-methoxy-2,5-dimethylphenyl)-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-4-methoxyphenyl)-3-(1-cyclopropylpropyl)-2-ethyl-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-4-methoxyphenyl)-3-(1-cyclopropylpropyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-5-fluoro-4-methoxyphenyl)-3-(1-cyclopropylpropyl)-2-ethyl-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-fluoro-4-methoxyphenyl)-3-(1-cyclopropylpropyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-5-fluoro-4-methylphenyl)-3-(1-cyclopropylpropyl)-2-ethyl-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-fluoro-4-methylphenyl)-3-(1-cyclopropylpropyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

3-(1-cyclopropylpropyl)-2-ethyl-7-(2,4,5-trimethylphenyl)-3H-imidazo[4,5-b]pyridine;

3-(1-cyclopropylpropyl)-2-methoxy-7-(2,4,5-trimethylphenyl)-3H-imidazo[4,5-b]pyridine;

3-(1-cyclopropylpropyl)-2-ethyl-7-(2,5,6-trimethyl-3-pyridinyl)-3H-imidazo[4,5-b]pyridine;

3-(1-cyclopropylpropyl)-2-methoxy-7-(2,5,6-trimethyl-3-pyridinyl)-3H-imidazo[4,5-b]pyridine;

3-(1-cyclopropylpropyl)-7-(2,6-dimethyl-3-pyridinyl)-2-ethyl-3H-imidazo[4,5-b]pyridine;

3-(1-cyclopropylpropyl)-7-(2,6-dimethyl-3-pyridinyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

3-(1-cyclopropylpropyl)-7-(2,6-dimethoxy-3-pyridinyl)-2-ethyl-3H-imidazo[4,5-b]pyridine;

7-(2,4-dichlorophenyl)-2-ethyl-3-(1-ethylpropyl)-3H-imidazo[4,5-b]pyridine;

7-(2,4-dichlorophenyl)-3-(1-ethylpropyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

7-[2-chloro-4-(trifluoromethyl)phenyl]-2-ethyl-3-(1-ethylpropyl)-3H-imidazo[4,5-b]pyridine;

7-[2-chloro-4-(trifluoromethyl)phenyl]-3-(1-ethylpropyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

7-[2-chloro-4-(methylsulfonyl)phenyl]-2-ethyl-3-(1-ethylpropyl)-3H-imidazo[4,5-b]pyridine;

7-[2-chloro-4-(methylsulfonyl)phenyl]-3-(1-ethylpropyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

2-ethyl-3-(1-ethylpropyl)-7-(4-methoxy-2,5-dimethylphenyl)-3H-imidazo[4,5-b]pyridine;

3-(1-ethylpropyl)-2-methoxy-7-(4-methoxy-2,5-dimethylphenyl)-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-4-methoxyphenyl)-2-ethyl-3-(1-ethylpropyl)-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-4-methoxyphenyl)-3-(1-ethylpropyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

2-ethyl-3-(1-ethylpropyl)-7-[4-methoxy-2-(trifluoromethyl)phenyl]-3H-imidazo[4,5-b]pyridine;

3-(1-ethylpropyl)-2-methoxy-7-[4-methoxy-2-(trifluoromethyl)phenyl]-3H-imidazo[4,5-b]pyridine;

7-(2,6-dimethoxy-3-pyridinyl)-2-ethyl-3-(1-ethylpropyl)-3H-imidazo[4,5-b]pyridine;

7-(2,6-dimethyl-3-pyridinyl)-2-ethyl-3-(1-ethylpropyl)-3H-imidazo[4,5-b]pyridine;

2-ethyl-3-(1-ethylpropyl)-7-(2,5,6-trimethyl-3-pyridinyl)-3H-imidazo[4,5-b]pyridine;

2-ethyl-3-(1-ethylpropyl)-7-(5-fluoro-4-methoxy-2-methylphenyl)-3H-imidazo[4,5-b]pyridine;

3-(1-ethylpropyl)-7-(5-fluoro-4-methoxy-2-methylphenyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

3-chloro-4-[2-ethyl-3-(1-ethylpropyl)-3H-imidazo[4,5-b]pyridin-7-yl]benzonitrile;

3-chloro-4-[3-(1-ethylpropyl)-2-methoxy-3H-imidazo[4,5-b]pyridin-7-yl]benzonitrile;

1-{3-chloro-4-[2-ethyl-3-(1-ethylpropyl)-3H-imidazo[4,5-b]pyridin-7-yl]phenyl}-1-ethanone;

1-{3-chloro-4-[3-(1-ethylpropyl)-2-methoxy-3H-imidazo[4,5-b]pyridin-7-yl]phenyl}-1-ethanone;

3-(dicyclopropylmethyl)-2-ethyl-7-(5-fluoro-4-methoxy-2-methylphenyl)-3H-imidazo[4,5-b]pyridine;

3-(dicyclopropylmethyl)-7-(5-fluoro-4-methoxy-2-methylphenyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-4-methoxyphenyl)-3-(dicyclopropylmethyl)-2-ethyl-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-4-methoxyphenyl)-3-(dicyclopropylmethyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

7-(2,4-dichlorophenyl)-3-(dicyclopropylmethyl)-2-ethyl-3H-imidazo[4,5-b]pyridine;

7-(2,4-dichlorophenyl)-3-(dicyclopropylmethyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

7-[2-chloro-4-(trifluoromethyl)phenyl]-3-(dicyclopropylmethyl)-2-ethyl-3H-imidazo[4,5-b]pyridine;

7-[2-chloro-4-(trifluoromethyl)phenyl]-3-(dicyclopropylmethyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

7-(2,4-dichlorophenyl)-2-ethyl-3-(1-ethyl-3-methoxypropyl)-3H-imidazo[4,5-b]pyridine;

7-(2,4-dichlorophenyl)-3-(1-ethyl-3-methoxypropyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

7-[2-chloro-4-(trifluoromethyl)phenyl]-2-ethyl-3-(1-ethyl-3-methoxypropyl)-3H-imidazo[4,5-b]pyridine;

7-[2-chloro-4-(trifluoromethyl)phenyl]-3-(1-ethyl-3-methoxypropyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-4-methoxyphenyl)-2-ethyl-3-(1-ethyl-3-methoxypropyl)-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-4-methoxyphenyl)-3-(1-ethyl-3-methoxypropyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-5-fluoro-4-methoxyphenyl)-2-ethyl-3-(1-ethyl-3-methoxypropyl)-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-5-fluoro-4-methoxyphenyl)-3-(1-ethyl-3-methoxypropyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

2-ethyl-3-(1-ethyl-3-methoxypropyl)-7-(4-methoxy-2,5-dimethylphenyl)-3H-imidazo[4,5-b]pyridine;

3-(1-ethyl-3-methoxypropyl)-2-methoxy-7-(4-methoxy-2,5-dimethylphenyl)-3H-imidazo[4,5-b]pyridine;

2-ethyl-3-(1-ethyl-3-methoxypropyl)-7-(5-fluoro-4-methoxy-2-methylphenyl)-3H-imidazo[4,5-b]pyridine;

3-(1-ethyl-3-methoxypropyl)-7-(5-fluoro-4-methoxy-2-methylphenyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-5-fluoro-4-methylphenl)-2-ethyl-3-(1-ethyl-3-methoxypropyl)-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-5-fluoro-4-methylphenyl)-3-(1-ethyl-3-methoxypropyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

7-[2-chloro-4-(methylsulfonyl)phenyl]-2-ethyl-3-(1-ethyl-3-methoxypropyl)-3H-imidazo[4,5-b]pyridine;

7-[2-chloro-4-(methylsulfonyl)phenyl]-3-(1-ethyl-3-methoxypropyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

1-{3-chloro-4-[2-ethyl-3-(1-ethyl-3-methoxypropyl)-3H-imidazo[4,5-b]pyridin-7-yl]phenyl}-1-ethanone;

1-{3-chloro-4-[3-(1-ethyl-3-methoxypropyl)-2-methoxy-3H-imidazo[4,5-b]pyridin-7-yl]phenyl}-1-ethanone;

1-{5-[2-ethyl-3-(1-ethyl-3-methoxypropyl)-3H-imidazo[4,5-b]pyridin-7-yl]-6-methyl-2-pyridinyl}-1-ethanone;

1-{5-[3-(1-ethyl-3-methoxypropyl)-2-methoxy-3H-imidazo[4,5-b]pyridin-7-yl]-6-methyl-2-pyridinyl}-1-ethanone;

2-ethyl-3-(1-ethyl-3-methoxypropyl)-7-(6-methoxy-2-methyl-3-pyridinyl)-3H-imidazo[4,5-b]pyridine;

3-(1-ethyl-3-methoxypropyl)-2-methoxy-7-(6-methoxy-2-methyl-3-pyridinyl)-3H-imidazo[4,5-b]pyridine;

7-(2,6-dimethoxy-3-pyridinyl)-2-ethyl-3-(1-ethyl-3-methoxypropyl)-3H-imidazo[4,5-b]pyridine;

7-(2,6-dimethoxy-3-pyridinyl)-3-(1-ethyl-3-methoxypropyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

7-(2,6-dimethyl-3-pyridinyl)-2-ethyl-3-(1-ethyl-3-methoxypropyl)-3H-imidazo[4,5-b]pyridine;

7-(2,6-dimethyl-3-pyridinyl)-3-(1-ethyl-3-methoxypropyl)-2-methoxy-3H-imidazo[4,5-b]pyridine;

2-ethyl-3-(1-ethyl-3-methoxypropyl)-7-(2,5,6-trimethyl-3-pyridinyl)-3H-imidazo[4,5-b]pyridine;

3-(1-ethyl-3-methoxypropyl)-2-methoxy-7-(2,5,6-trimethyl-3-pyridinyl)-3H-imidazo[4,5-b]pyridine;

7-(2,4-dichlorophenyl)-2-ethyl-3-[1-(methoxymethyl)propyl]-3H-imidazo[4,5-b]pyridine;

7-(2,4-dichlorophenyl)-2-methoxy-3-[1-(methoxymethyl)propyl]-3H-imidazo[4,5-b]pyridine;

7-[2-chloro-4-(trifluoromethyl)phenyl]-2-ethyl-3-[1-(methoxymethyl)propyl]-3H-imidazo[4,5-b]pyridine;

7-[2-chloro-4-(trifluoromethyl)phenyl]-2-methoxy-3-[1-(methoxymethyl)propyl]-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-5-fluoro-4-methylphenyl)-2-ethyl-3-[1-(methoxymethyl)propyl]-3H-imidazo[4,5-b]pyridine;

7-(2-chloro-5-fluoro-4-methylphenyl)-2-methoxy-3-[1-(methoxymethyl)propyl]-3H-imidazo[4,5-b]pyridine;

2-ethyl-7-(4-methoxy-2,5-dimethylphenyl)-3-[1-(methoxymethyl)propyl]-3H-imidazo[4,5-b]pyridine;

2-methoxy-7-(4-methoxy-2,5-dimethylphenyl)-3-[1-(methoxymethyl)propyl]-3H-imidazo[4,5-b]pyridine;

2-ethyl-7-(5-fluoro-4-methoxy-2-methylphenyl)-3-[1-(methoxymethyl)propyl]-3H-imidazo[4,5-b]pyridine;

7-(5-fluoro-4-methoxy-2-methylphenyl)-2-methoxy-3-[1-(methoxymethyl)propyl]-3H-imidazo[4,5-b]pyridine;

2-ethyl-3-[1-(methoxymethyl)propyl]-7-(6-methoxy-2-methyl-3-pyridinyl)-3H-imidazo[4,5-b]pyridine;

2-methoxy-3-[1-(methoxymethyl)propyl]-7-(6-methoxy-2-methyl-3-pyridinyl)-3H-imidazo[4,5-b]pyridine;

7-(2,6-dimethoxy-3-pyridinyl)-2-ethyl-3-[1-(methoxymethyl)propyl]-3H-imidazo[4,5-b]pyridine;

7-(2,6-dimethoxy-3-pyridinyl)-2-methoxy-3-[1-(methoxymethyl)propyl]-3H-imidazo[4,5-b]pyridine;

7-(2,6-dimethyl-3-pyridinyl)-2-ethyl-3-[1-(methoxymethyl)propyl]-3H-imidazo[4,5-b]pyridine;

7-(2,6-dimethyl-3-pyridinyl)-2-methoxy-3-[1-(methoxymethyl)propyl]-3H-imidazo[4,5-b]pyridine;

2-ethyl-3-[1-(methoxymethyl)propyl]-7-(2,5,6-trimethyl-3-pyridinyl)-3H-imidazo[4,5-b]pyridine;

2-methoxy-3-[1-(methoxymethyl)propyl]-7-(2,5,6-trimethyl-3-pyridinyl)-3H-imidazo[4,5-b]pyridine;

7-[2-chloro-4-(methylsulfonyl)phenyl]-2-ethyl-3-[1-(methoxymethyl)propyl]-3H-imidazo[4,5-b]pyridine; and

7-[2-chloro-4-(methylsulfonyl)phenyl]-2-methoxy-3-[1-(methoxymethyl)propyl]-3H-imidazo[4,5-b]pyridine;

or a pharmaceutically acceptable salt form thereof.

[2j] In another more preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

R^1 is C_{3-8} cycloalkyl;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-CO_2R^{13a}$, $-NR^{15a}COR^{13a}$, $-N(COR^{13a})_2$, $-NR^{15a}CONR^{13a}R^{16a}$, $-NR^{15a}CO_2R^{14b}$, $-CONR^{13a}R^{16a}$, 1-morpholinyl, 1-piperidinyl, 1-piperazinyl, and C_{4-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, $-NR^{13a}-$, $-NCO_2R^{14b}-$, $-NCOR^{14b}-$ and $-NSO_2R^{14b}-$, and wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b}; and,

R^1 is also substituted with 0-3 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, R^{1c}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, I, C_{1-4} haloalkyl, $-OR^{13a}$, C_{1-2} alkoxy-C_{1-2} alkyl, and $-NR^{13a}R^{16a}$.

[2k] In another even more preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

X is selected from the group O, $S(O)_n$ and a bond;

n is 0, 1 or 2;

R^1 is selected from the group cyclopropyl, cyclobutyl, and cyclopentyl;

R^1 is substituted with 0-1 substituents selected from the group -CN, -S(O)$_n$R^{14b}, -COR^{13a}, -CO$_2$R^{13a}, and C$_{4-8}$ cycloalkyl, wherein one carbon atom in the C$_{4-8}$ cycloalkyl is replaced by a group selected from the group -O-, -S(O)$_n$-, -NR^{13a}-, -NCO$_2$R^{14b}-, -NCOR^{14b}- and -NSO$_2$R^{14b}-;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C$_{1-6}$ alkyl, C$_{2-8}$ alkenyl, C$_{2-8}$ alkynyl, Br, Cl, F, CF$_3$, CF$_2$CF$_3$, -OR^{13a}, C$_{1-2}$ alkoxy-C$_{1-2}$ alkyl, and -NR^{13a}R^{16a};

R^{1a} is aryl and is selected from the group phenyl and indanyl, each R^{1a} being substituted with 0-1 -OR^{17} and 0-5 substituents independently selected at each occurrence from the group C$_{1-4}$ alkyl, C$_{3-6}$ cycloalkyl, Br, Cl, F, C$_{1-4}$ haloalkyl, -CN, -S(O)$_n$R^{18}, -COR^{17}, -NR^{17a}R^{19a}, and -CONR^{17a}R^{19a};

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, furanyl, thienyl, imidazolyl, thiazolyl, pyrrolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C$_{1-4}$ alkyl, C$_{3-6}$ cycloalkyl, Br, Cl, F, CF$_3$, -CN, -OR^{17}, -S(O)$_m$R^{18}, -COR^{17}, -NR^{17a}R^{19a}, and -CONR^{17a}R^{19a} and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO$_2$R^{14b}, COR^{14b} and SO$_2$R^{14b};

R^2 is selected from the group C$_{1-4}$ alkyl, C$_{2-4}$ alkenyl, and C$_{2-4}$ alkynyl and is substituted with 0-1 substituents selected from the group -CN, OH, Cl, F, and C$_{1-4}$ alkoxy;

R^9 is independently selected at each occurrence from the group H, C_{1-4} alkyl and C_{3-8} cycloalkyl;

R^3 and R^8 are independently selected at each occurrence from the group H, Br, Cl, F, -CN, C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{1-4} alkoxy, NH_2, C_{1-4} alkylamino, and (C_{1-4} alkyl)$_2$-amino;

R^{13} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{13a} and R^{16a} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{14} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{14a} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{14b} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{15} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, phenyl and benzyl, each phenyl or benzyl being substituted on the aryl moiety with 0-3 groups chosen from the group C_{1-4} alkyl, Br, Cl, F, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{15a} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{17}, R^{18} and R^{19} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{1-4} haloalkyl;

alternatively, in an $NR^{17}R^{19}$ moiety, R^{17} and R^{19} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

R^{17a} and R^{19a} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} haloalkyl;

aryl is phenyl substituted with 1-4 substituents independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, $-OR^{17}$, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-S(O)_nR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-NR^{15}COR^{17}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted 1-4 carbon atoms with a substituent independently selected

at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-OR^{17}$, $-S(O)_mR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15}, CO_2R^{14a}, COR^{14a} and SO_2R^{14a}.

[21] In another still more preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

X is selected from the group O, S and a bond;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-CO_2R^{13a}$, and C_{4-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, and $-NR^{13a}-$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, CF_3, CF_3, $-OR^{13a}$, -OH, $-OCH_3$, $-OCH_2CH_3$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, and $-NR^{13a}R^{16a}$;

R^{1a} is aryl and is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, and OCF_3, and 0-3 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each

heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, -$NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

R^2 is selected from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

R^3 and R^8 are independently selected at each occurrence from the group H, CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, indolyl, benzothienyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, and benzoxazolin-2-on-yl, each heteroaryl being substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3.

[2m] In another further preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

R^1 is substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH=CH_2$, $-CH=CH(CH_3)$, $-CH\equiv CH$, $-CH\equiv C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, and CF_3;

R^{1a} is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, and OCF_3, and 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, Br, Cl, F, CF_3, -CN, and SCH_3;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, and tetrazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, OCH_3, OCH_2CH_3, OCF_3, Br, Cl, F, CF_3, -CN, and SCH_3 and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

R^2 is selected from the group CH_3, CH_2CH_3, and $CH(CH_3)_2$;

R^3 and R^8 are independently selected at each occurrence from the group H and CH_3;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F,

CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$.

[2n] In another even further preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

R^1 is substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, and CF_3; and,

R^{1a} is phenyl substituted with 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, Br, Cl, F, CF_3, -CN, and SCH_3.

[2o] In a still further preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

D is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[2p] In another still further preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

D is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[2q] In another more preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

R^1 is selected from the group C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{3-8} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} alkoxy-C_{1-4} alkyl;

R^1 is substituted with a C_{3-8} cycloalkyl group, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl group is replaced by a group selected from the group -O-, $-S(O)_n-$, $-NR^{13a}-$, $-NCO_2R^{14b}-$, $-NCOR^{14b}-$ and $-NSO_2R^{14b}-$;

R^1 is also substituted with 0-3 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, R^{1c}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, I, C_{1-4} haloalkyl, $-OR^{13a}$, $-NR^{13a}R^{16a}$, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-8} cycloalkyl which is substituted with 0-1 R^9 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

provided that R^1 is other than a cyclohexyl-$(CH_2)_2$- group;

R^{1a} is aryl and is selected from the group phenyl, naphthyl, indanyl and indenyl, each R^{1a} being substituted with 0-1 $-OR^{17}$ and 0-5 substituents independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, SH, $-S(O)_nR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$,

$-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$;

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-onyl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_mR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b}; and,

R^{1c} is heterocyclyl and is a saturated or partially saturated heteroaryl, each heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{13a}$, SH, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-OC(O)R^{14b}$, $-NR^{15a}COR^{13a}$, $-N(COR^{13a})_2$, $-NR^{15a}CONR^{13a}R^{16a}$, $-NR^{15a}CO_2R^{14b}$, $-NR^{13a}R^{16a}$, and $-CONR^{13a}R^{16a}$ and each heterocyclyl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b} and wherein any sulfur atom is optionally monooxidized or dioxidized.

[2r] In another even more preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

X is selected from the group O, $S(O)_n$ and a bond;

n is 0, 1 or 2;

R^1 is selected from the group C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{3-8} cycloalkyl;

R^1 is substituted with a C_{3-6} cycloalkyl group, wherein 0-1 carbon atoms in the C_{4-6} cycloalkyl group is replaced by a group selected from the group -O-, $-S(O)_n-$, and $-NR^{13a}-$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, CF_3, CF_2CF_3, $-OR^{13a}$, $-NR^{13a}R^{16a}$, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-6} cycloalkyl which is substituted with 0-1 R^9 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

R^{1a} is aryl and is selected from the group phenyl and indanyl, each R^{1a} being substituted with 0-1 $-OR^{17}$ and 0-5 substituents independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-S(O)_nR^{18}$, $-COR^{17}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$;

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, furanyl, thienyl, imidazolyl, thiazolyl, pyrrolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the

group C_{1-4} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, CF_3, -CN, $-OR^{17}$, $-S(O)_mR^{18}$, $-COR^{17}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

R^2 is selected from the group C_{1-4} alkyl, C_{2-4} alkenyl, and C_{2-4} alkynyl and is substituted with 0-1 substituents selected from the group -CN, OH, Cl, F, and C_{1-4} alkoxy;

R^9 is independently selected at each occurrence from the group H, C_{1-4} alkyl and C_{3-8} cycloalkyl;

R^3 and R^8 are independently selected at each occurrence from the group H, Br, Cl, F, -CN, C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{1-4} alkoxy, NH_2, C_{1-4} alkylamino, and $(C_{1-4}$ alkyl$)_2$-amino;

R^{13} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{13a} and R^{16a} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{14} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{14a} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{14b} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{15} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, phenyl and benzyl, each phenyl or benzyl being substituted on the aryl moiety with 0-3 groups chosen from the group C_{1-4} alkyl, Br, Cl, F, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{15a} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{17}, R^{18} and R^{19} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{1-4} haloalkyl;

alternatively, in an $NR^{17}R^{19}$ moiety, R^{17} and R^{19} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

R^{17a} and R^{19a} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} haloalkyl;

aryl is phenyl substituted with 1-4 substituents independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, $-OR^{17}$, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-S(O)_nR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-NR^{15}COR^{17}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted 1-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-OR^{17}$, $-S(O)_mR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15}, CO_2R^{14a}, COR^{14a} and SO_2R^{14a}.

[2s] In another still more preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

X is selected from the group O, S and a bond;

R^1 is C_{1-6} alkyl;

R^1 is substituted with a C_{3-6} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-6} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, and $-NR^{13a}-$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, F, CF_3, $-OR^{13a}$, $-NR^{13a}R^{16a}$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, and C_{3-6} cycloalkyl

which is substituted with 0-1 CH_3 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

provided that R^1 is other than a cyclohexyl-$(CH_2)_2$- group;

R^{1a} is aryl and is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, and OCF_3, and 0-3 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

R^2 is selected from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

R^3 and R^8 are independently selected at each occurrence from the group H, CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, indolyl, benzothienyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, and benzoxazolin-2-on-yl, each heteroaryl being substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3.

[2t] In another further preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

R^1 is (cyclopropyl)C_1 alkyl or (cyclobutyl)C_1 alkyl;

R^1 is substituted with 1-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH=CH_2$, $-CH=CH(CH_3)$, $-CH\equiv CH$, $-CH\equiv C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, CF_3, cyclopropyl, CH_3-cyclopropyl, cyclobutyl, CH_3-cyclobutyl, cyclopentyl, CH_3-cyclopentyl;

R^{1a} is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, and OCF_3, and 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, Br, Cl, F, CF_3, -CN, and SCH_3;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl,

pyrazolyl, triazolyl, and tetrazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, OCH_3, OCH_2CH_3, OCF_3, Br, Cl, F, CF_3, -CN, and SCH_3 and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

R^2 is selected from the group CH_3, CH_2CH_3, and $CH(CH_3)_2$;

R^3 and R^8 are independently selected at each occurrence from the group H and CH_3;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$.

[2u] In another even further preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

R^1 is (cyclopropyl)C_1 alkyl or (cyclobutyl)C_1 alkyl;

R^1 is substituted with 1-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH{=}CH_2$, -

$CH=CH(CH_3)$, $-CH\equiv CH$, $-CH\equiv C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, CF_3, cyclopropyl, and CH_3-cyclopropyl;

R^{1a} is phenyl substituted with 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, Br, Cl, F, CF_3, -CN, and SCH_3;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, and pyrazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, OCH_3, OCH_2CH_3, OCF_3, Br, Cl, F, CF_3, -CN, and SCH_3.

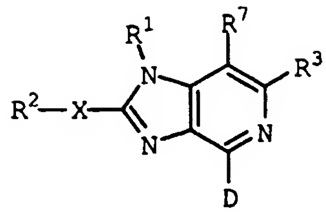
[2v] In another further preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

D is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[2w] In another further preferred embodiment, the present invention provides a novel compound of formula Ia, wherein:

D is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[3] In another preferred embodiment, the present invention provides a novel compound of formula Ib:



(Ib).

[3a] In another more preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

X is selected from the group O, $S(O)_n$ and a bond;

n is 0, 1 or 2;

R^1 is selected from the group C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{3-8} cycloalkyl;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-CO_2R^{13a}$, and C_{3-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, $-NR^{13a}-$, $-NCO_2R^{14b}-$, $-NCOR^{14b}-$ and $-NSO_2R^{14b}-$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, CF_3, CF_2CF_3, $-OR^{13a}$, $-NR^{13a}R^{16a}$, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-8} cycloalkyl which is substituted with 0-1 R^9 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

provided that R^1 is other than a cyclohexyl-$(CH_2)_2$- group;

R^{1a} is aryl and is selected from the group phenyl and indanyl, each R^{1a} being substituted with 0-1 $-OR^{17}$ and 0-5 substituents independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-S(O)_nR^{18}$, $-COR^{17}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$;

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, furanyl, thienyl, imidazolyl, thiazolyl, pyrrolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, CF_3, -CN, $-OR^{17}$, $-S(O)_mR^{18}$, $-COR^{17}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

provided that R^1 is other than a $-(CH_2)_{1-4}$-aryl or $-(CH_2)_{1-4}$-heteroaryl wherein the aryl or heteroaryl group is substituted or unsubstituted;

R^2 is selected from the group C_{1-4} alkyl, C_{2-4} alkenyl, and C_{2-4} alkynyl and is substituted with 0-1 substituents selected from the group -CN, OH,. Cl, F, and C_{1-4} alkoxy;

R^3 and R^7 are independently selected at each occurrence from the group H, Br, Cl, F, -CN, C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{1-4} alkoxy, NH_2, C_{1-4} alkylamino, and $(C_{1-4}$ alkyl$)_2$-amino;

R^9 is independently selected at each occurrence from the group H, C_{1-4} alkyl and C_{3-8} cycloalkyl;

R^{13} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{13a} and R^{16a} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{14} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{14a} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{14b} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{15} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, phenyl and benzyl, each phenyl or benzyl being substituted on the aryl moiety with 0-3 groups chosen from the group C_{1-4} alkyl, Br, Cl, F, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{15a} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{17}, R^{18} and R^{19} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{1-4} haloalkyl;

alternatively, in an $NR^{17}R^{19}$ moiety, R^{17} and R^{19} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

R^{17a} and R^{19a} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} haloalkyl;

aryl is phenyl substituted with 1-4 substituents independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, $-OR^{17}$, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-S(O)_nR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-NR^{15}COR^{17}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted 1-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-OR^{17}$, $-S(O)_mR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15}, CO_2R^{14a}, COR^{14a} and SO_2R^{14a}.

[3b] In another even more preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

X is selected from the group O, S and a bond;

R^1 is substituted C_{1-6} alkyl;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-CO_2R^{13a}$, and C_{3-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, and $-NR^{13a}-$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, CF_3, $-OR^{13a}$, $-NR^{13a}R^{16a}$, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-6} cycloalkyl which is substituted with 0-1 CH_3 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

provided that R^1 is other than a cyclohexyl-$(CH_2)_2$- group;

R^{1a} is aryl and is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, and OCF_3, and 0-3 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$,

$OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

provided that R^1 is other than a $-(CH_2)_{1-4}$-aryl or $-(CH_2)_{1-4}$-heteroaryl wherein the aryl or heteroaryl group is substituted or unsubstituted;

R^2 is selected from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

R^3 and R^7 are independently selected at each occurrence from the group H, CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, indolyl, benzothienyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, and benzoxazolin-2-on-yl, each heteroaryl being substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3.

[3c] In another still more preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

R^1 is substituted C_1;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-CO_2CH_3$, and $-CO_2CH_2CH_3$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH=CH_2$, $-CH=CH(CH_3)$, $-CH\equiv CH$, $-CH\equiv C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, CF_3, cyclopropyl, CH_3-cyclopropyl, cyclobutyl, CH_3-cyclobutyl, cyclopentyl, CH_3-cyclopentyl;

R^{1a} is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, and OCF_3, and 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, Br, Cl, F, CF_3, -CN, and SCH_3;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, and tetrazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, OCH_3, OCH_2CH_3, OCF_3, Br, Cl, F, CF_3, -CN, and SCH_3 and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

provided that R^1 is other than a $-(CH_2)_{1-4}$-aryl or $-(CH_2)_{1-4}$-heteroaryl wherein the aryl or heteroaryl group is substituted or unsubstituted;

R^2 is selected from the group CH_3, CH_2CH_3, and $CH(CH_3)_2$;

R^3 and R^7 are independently selected at each occurrence from the group H and CH_3;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$.

[3d] In another further preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

R^1 is substituted (cyclopropyl)-C_1 alkyl or (cyclobutyl)-C_1 alkyl;

R^1 is substituted with 0-1 -CN;

R^1 is also substituted with 0-1 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH=CH_2$, $-CH=CH(CH_3)$, $-CH\equiv CH$, $-CH\equiv C(CH_3)$, Br, Cl, F, CF_3, cyclopropyl, and CH_3-cyclopropyl;

R^1 is also substituted with 0-1 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH=CH_2$, -

$CH=CH(CH_3)$, $-CH{\equiv}CH$, $-CH{\equiv}C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, CF_3, cyclopropyl, and CH_3-cyclopropyl;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, and pyrazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, OCH_3, OCH_2CH_3, OCF_3, Br, Cl, F, CF_3, -CN, and SCH_3.

[3e] In another further preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

R^1 is (cyclopropyl)C_1 alkyl or (cyclobutyl)-C_1 alkyl substituted with 1 substituent independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH=CH_2$, $-CH=CH(CH_3)$, $-CH{\equiv}CH$, $-CH{\equiv}C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, CF_3, cyclopropyl, and CH_3-cyclopropyl;

R^{1a} is phenyl substituted with 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, Cl, F, and CF_3;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, and isoxazolyl, each heteroaryl being substituted on 0-2 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, OCH_3, Cl, F, and CF_3.

[3f] In an even further preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

R^1 is selected from the group (cyclopropyl)$CH-CH_3$, (cyclopropyl)$CH-CH_2CH_3$, (cyclopropyl)$CH-CH_2OCH_3$,

(cyclopropyl)CH-$CH_2CH_2CH_3$, (cyclopropyl)CH-$CH_2CH_2OCH_3$, $(cyclopropyl)_2CH$, phenyl(cyclopropyl)CH, furanyl(cyclopropyl)CH, thienyl(cyclopropyl)CH, isoxazolyl(cyclopropyl)CH, (CH_3-furanyl)(cyclopropyl)CH, (cyclobutyl)CH-CH_3, (cyclobutyl)CH-CH_2CH_3, (cyclobutyl)CH-CH_2OCH_3, (cyclobutyl)CH-$CH_2CH_2CH_3$, (cyclobutyl)CH-$CH_2CH_2OCH_3$, $(cyclobutyl)_2CH$, phenyl(cyclobutyl)CH, furanyl(cyclobutyl)CH, thienyl(cyclobutyl)CH, isoxazolyl(cyclobutyl)CH, and (CH_3-furanyl)(cyclobutyl)CH;

[3g] In another further preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

D is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[3h] In another further preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

D is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[3i] In another preferred embodiment, the present invention provides a novel compound of formula Ib, wherein the compound is selected from the group:

1-(1-cyclopropylpropyl)-4-(2,4-dichlorophenyl)-2-ethyl-1H-imidazo[4,5-c]pyridine;

1-(1-cyclopropylpropyl)-4-(2,4-dichlorophenyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

1-(1-cyclopropylpropyl)-2-ethyl-4-[2-methyl-4-(trifluoromethyl)phenyl]-1H-imidazo[4,5-c]pyridine;

4-[2-chloro-4-(trifluoromethyl)phenyl]-1-(1-cyclopropylpropyl)-2-ethyl-1H-imidazo[4,5-c]pyridine;

4-[2-chloro-4-(trifluoromethyl)phenyl]-1-(1-cyclopropylpropyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-[2-chloro-4-(trifluoromethyl)phenyl]-1-(1-cyclopropylpropyl)-2-(methylsulfanyl)-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-4-methoxyphenyl)-1-(1-cyclopropylpropyl)-2-ethyl-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-4-methoxyphenyl)-1-(1-cyclopropylpropyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

1-(1-cyclopropylpropyl)-2-ethyl-4-(4-methoxy-2,5-dimethylphenyl)-1H-imidazo[4,5-c]pyridine;

1-(1-cyclopropylpropyl)-2-methoxy-4-(4-methoxy-2,5-dimethylphenyl)-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-4-methoxyphenyl)-1-(1-cyclopropylpropyl)-2-ethyl-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-4-methoxyphenyl)-1-(1-cyclopropylpropyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-5-fluoro-4-methoxyphenyl)-1-(1-cyclopropylpropyl)-2-ethyl-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-fluoro-4-methoxyphenyl)-1-(1-cyclopropylpropyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-5-fluoro-4-methylphenyl)-1-(1-cyclopropylpropyl)-2-ethyl-1H-imidazo[4,5-c]pyridine;

2.4-(2-chloro-fluoro-4-methylphenyl)-1-(1-cyclopropylpropyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

1-(1-cyclopropylpropyl)-2-methoxy-4-(2,4,5-trimethylphenyl)-1H-imidazo[4,5-c]pyridine;

1-(1-cyclopropylpropyl)-2-ethyl-4-(2,4,5-trimethylphenyl)-1H-imidazo[4,5-c]pyridine;

1-(1-cyclopropylpropyl)-2-ethyl-4-(2,5,6-trimethyl-3-pyridinyl)-1H-imidazo[4,5-c]pyridine

1-(1-cyclopropylpropyl)-2-methoxy-4-(2,5,6-trimethyl-3-pyridinyl)-1H-imidazo[4,5-c]pyridine;

1-(1-cyclopropylpropyl)-4-(2,6-dimethyl-3-pyridinyl)-2-ethyl-1H-imidazo[4,5-c]pyridine;

1-(1-cyclopropylpropyl)-4-(2,6-dimethyl-3-pyridinyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

1-(1-cyclopropylpropyl)-4-(2,6-dimethoxy-3-pyridinyl)-2-ethyl-1H-imidazo[4,5-c]pyridine;

4-(2,4-dichlorophenyl)-2-ethyl-1-(1-ethylpropyl)-1H-imidazo[4,5-c]pyridine;

4-(2,4-dichlorophenyl)-1-(1-ethylpropyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-[2-chloro-4-(trifluoromethyl)phenyl]-1-(1-ethylpropyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-[2-chloro-4-(trifluoromethyl)phenyl]-2-ethyl-1-(1-ethylpropyl)-1H-imidazo[4,5-c]pyridine;

4-[2-chloro-4-(methylsulfonyl)phenyl]-2-ethyl-1-(1-ethylpropyl)-1H-imidazo[4,5-c]pyridine;

4-[2-chloro-4-(methylsulfonyl)phenyl]-1-(1-ethylpropyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

2-ethyl-1-(1-ethylpropyl)-4-(4-methoxy-2,5-dimethylphenyl)-1H-imidazo[4,5-c]pyridine;

1-(1-ethylpropyl)-2-methoxy-4-(4-methoxy-2,5-dimethylphenyl)-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-4-methoxyphenyl)-2-ethyl-1-(1-ethylpropyl)-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-4-methoxyphenyl)-1-(1-ethylpropyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

2-ethyl-1-(1-ethylpropyl)-4-[4-methoxy-2-(trifluoromethyl)phenyl]-1H-imidazo[4,5-c]pyridine;

1-(1-ethylpropyl)-2-methoxy-4-[4-methoxy-2-(trifluoromethyl)phenyl]-1H-imidazo[4,5-c]pyridine;

1-(1-ethylpropyl)-4-(5-fluoro-4-methoxy-2-methylphenyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

2-ethyl-1-(1-ethylpropyl)-4-(5-fluoro-4-methoxy-2-methylphenyl)-1H-imidazo[4,5-c]pyridine;

3-chloro-4-[1-(1-ethylpropyl)-2-methoxy-1H-imidazo[4,5-c]pyridin-4-yl]benzonitrile;

3-chloro-4-[2-ethyl-1-(1-ethylpropyl)-1H-imidazo[4,5-c]pyridin-4-yl]benzonitrile;

1-{3-chloro-4-[2-ethyl-1-(1-ethylpropyl)-1H-imidazo[4,5-c]pyridin-4-yl]phenyl}-1-ethanone;

1-{3-chloro-4-[1-(1-ethylpropyl)-2-methoxy-1H-imidazo[4,5-c]pyridin-4-yl]phenyl}-1-ethanone;

1-(dicyclopropylmethyl)-2-ethyl-4-(5-fluoro-4-methoxy-2-methylphenyl)-1H-imidazo[4,5-c]pyridine;

1-(dicyclopropylmethyl)-4-(5-fluoro-4-methoxy-2-methylphenyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-4-methoxyphenyl)-1-(dicyclopropylmethyl)-2-ethyl-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-4-methoxyphenyl)-1-(dicyclopropylmethyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-(2,4-dichlorophenyl)-1-(dicyclopropylmethyl)-2-ethyl-1H-imidazo[4,5-c]pyridine;

4-(2,4-dichlorophenyl)-1-(dicyclopropylmethyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-[2-chloro-4-(trifluoromethyl)phenyl]-1-(dicyclopropylmethyl)-2-ethyl-1H-imidazo[4,5-c]pyridine;

4-[2-chloro-4-(trifluoromethyl)phenyl]-1-(dicyclopropylmethyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-(2,4-dichlorophenyl)-1-(1-ethyl-3-methoxypropyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-(2,4-dichlorophenyl)-2-ethyl-1-(1-ethyl-3-methoxypropyl)-1H-imidazo[4,5-c]pyridine;

4-[2-chloro-4-(trifluoromethyl)phenyl]-1-(1-ethyl-3-methoxypropyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-[2-chloro-4-(trifluoromethyl)phenyl]-2-ethyl-1-(1-ethyl-3-methoxypropyl)-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-4-methoxyphenyl)-1-(1-ethyl-3-methoxypropyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-4-methoxyphenyl)-2-ethyl-1-(1-ethyl-3-methoxypropyl)-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-5-fluoro-4-methoxyphenyl)-1-(1-ethyl-3-methoxypropyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-5-fluoro-4-methoxyphenyl)-2-ethyl-1-(1-ethyl-3-methoxypropyl)-1H-imidazo[4,5-c]pyridine;

1-(1-ethyl-3-methoxypropyl)-2-methoxy-4-(4-methoxy-2,5-dimethylphenyl)-1H-imidazo[4,5-c]pyridine;

2-ethyl-1-(1-ethyl-3-methoxypropyl)-4-(4-methoxy-2,5-dimethylphenyl)-1H-imidazo[4,5-c]pyridine;

2-ethyl-1-(1-ethyl-3-methoxypropyl)-4-(5-fluoro-4-methoxy-2-methylphenyl)-1H-imidazo[4,5-c]pyridine;

1-(1-ethyl-3-methoxypropyl)-4-(5-fluoro-4-methoxy-2-methylphenyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-5-fluoro-4-methylphenyl)-1-(1-ethyl-3-methoxypropyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-5-fluoro-4-methylphenl)-2-ethyl-1-(1-ethyl-3-methoxypropyl)-1H-imidazo[4,5-c]pyridine;

4-[2-chloro-4-(methylsulfonyl)phenyl]-1-(1-ethyl-3-methoxypropyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-[2-chloro-4-(methylsulfonyl)phenyl]-2-ethyl-1-(1-ethyl-3-methoxypropyl)-1H-imidazo[4,5-c]pyridine;

1-{3-chloro-4-[1-(1-ethyl-3-methoxypropyl)-2-methoxy-1H-imidazo[4,5-c]pyridin-4-yl]phenyl}-1-ethanone;

1-{3-chloro-4-[2-ethyl-1-(1-ethyl-3-methoxypropyl)-1H-imidazo[4,5-c]pyridin-4-yl]phenyl}-1-ethanone;

1-{5-[1-(1-ethyl-3-methoxypropyl)-2-methoxy-1H-imidazo[4,5-c]pyridin-4-yl]-6-methyl-2-pyridinyl}-1-ethanone;

1-{5-[2-ethyl-1-(1-ethyl-3-methoxypropyl)-1H-imidazo[4,5-c]pyridin-4-yl]-6-methyl-2-pyridinyl}-1-ethanone;

1-(1-ethyl-3-methoxypropyl)-2-methoxy-4-(6-methoxy-2-methyl-3-pyridinyl)-1H-imidazo[4,5-c]pyridine;

2-ethyl-1-(1-ethyl-3-methoxypropyl)-4-(6-methoxy-2-methyl-3-pyridinyl)-1H-imidazo[4,5-c]pyridine;

4-(2,6-dimethoxy-3-pyridinyl)-2-ethyl-1-(1-ethyl-3-methoxypropyl)-1H-imidazo[4,5-c]pyridine;

4-(2,6-dimethoxy-3-pyridinyl)-1-(1-ethyl-3-methoxypropyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-(2,6-dimethyl-3-pyridinyl)-1-(1-ethyl-3-methoxypropyl)-2-methoxy-1H-imidazo[4,5-c]pyridine;

4-(2,6-dimethyl-3-pyridinyl)-2-ethyl-1-(1-ethyl-3-methoxypropyl)-1H-imidazo[4,5-c]pyridine;

2-ethyl-1-(1-ethyl-3-methoxypropyl)-4-(2,5,6-trimethyl-3-pyridinyl)-1H-imidazo[4,5-c]pyridine;

1-(1-ethyl-3-methoxypropyl)-2-methoxy-4-(2,5,6-trimethyl-3-pyridinyl)-1H-imidazo[4,5-c]pyridine;

4-(2,4-dichlorophenyl)-2-ethyl-1-[1-(methoxymethyl)propyl]-1H-imidazo[4,5-c]pyridine;

4-(2,4-dichlorophenyl)-2-methoxy-1-[1-(methoxymethyl)propyl]-1H-imidazo[4,5-c]pyridine;

4-[2-chloro-4-(trifluoromethyl)phenyl]-2-ethyl-1-[1-(methoxymethyl)propyl]-1H-imidazo[4,5-c]pyridine;

4-[2-chloro-4-(trifluoromethyl)phenyl]-2-methoxy-1-[1-(methoxymethyl)propyl]-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-5-fluoro-4-methylphenyl)-2-ethyl-1-[1-(methoxymethyl)propyl]-1H-imidazo[4,5-c]pyridine;

4-(2-chloro-5-fluoro-4-methylphenyl)-2-methoxy-1-[1-(methoxymethyl)propyl]-1H-imidazo[4,5-c]pyridine;

2-methoxy-4-(4-methoxy-2,5-dimethylphenyl)-1-[1-(methoxymethyl)propyl]-1H-imidazo[4,5-c]pyridine;

2-ethyl-4-(4-methoxy-2,5-dimethylphenyl)-1-[1-(methoxymethyl)propyl]-1H-imidazo[4,5-c]pyridine;

2-ethyl-4-(5-fluoro-4-methoxy-2-methylphenyl)-1-[1-(methoxymethyl)propyl]-1H-imidazo[4,5-c]pyridine;

4-(5-fluoro-4-methoxy-2-methylphenyl)-2-methoxy-1-[1-(methoxymethyl)propyl]-1H-imidazo[4,5-c]pyridine;

2-methoxy-1-[1-(methoxymethyl)propyl]-4-(6-methoxy-2-methyl-3-pyridinyl)-1H-imidazo[4,5-c]pyridine;

2-ethyl-1-[1-(methoxymethyl)propyl]-4-(6-methoxy-2-methyl-3-pyridinyl)-1H-imidazo[4,5-c]pyridine;

4-(2,6-dimethoxy-3-pyridinyl)-2-ethyl-1-[1-(methoxymethyl)propyl]-1H-imidazo[4,5-c]pyridine;

4-(2,6-dimethoxy-3-pyridinyl)-2-methoxy-1-[1-(methoxymethyl)propyl]-1H-imidazo[4,5-c]pyridine;

4-(2,6-dimethyl-3-pyridinyl)-2-ethyl-1-[1-(methoxymethyl)propyl]-1H-imidazo[4,5-c]pyridine;

4-(2,6-dimethyl-3-pyridinyl)-2-methoxy-1-[1-(methoxymethyl)propyl]-1H-imidazo[4,5-c]pyridine;

2-ethyl-1-[1-(methoxymethyl)propyl]-4-(2,5,6-trimethyl-3-pyridinyl)-1H-imidazo[4,5-c]pyridine;

2-methoxy-1-[1-(methoxymethyl)propyl]-4-(2,5,6-trimethyl-3-pyridinyl)-1H-imidazo[4,5-c]pyridine;

4-[2-chloro-4-(methylsulfonyl)phenyl]-2-ethyl-1-[1-(methoxymethyl)propyl]-1H-imidazo[4,5-c]pyridine; and

4-[2-chloro-4-(methylsulfonyl)phenyl]-2-methoxy-1-[1-(methoxymethyl)propyl]-1H-imidazo[4,5-c]pyridine;

or a pharmaceutically acceptable salt form thereof.

[3j] In another more preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

R^1 is C_{3-8} cycloalkyl;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-CO_2R^{13a}$, $-NR^{15a}COR^{13a}$, $-N(COR^{13a})_2$, $-NR^{15a}CONR^{13a}R^{16a}$, $-NR^{15a}CO_2R^{14b}$,

$-CONR^{13a}R^{16a}$, 1-morpholinyl, 1-piperidinyl, 1-piperazinyl, and C_{4-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, $-NR^{13a}-$, $-NCO_2R^{14b}-$, $-NCOR^{14b}-$ and $-NSO_2R^{14b}-$, and wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b}; and,

R^1 is also substituted with 0-3 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, R^{1c}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, I, C_{1-4} haloalkyl, $-OR^{13a}$, C_{1-2} alkoxy-C_{1-2} alkyl, and $-NR^{13a}R^{16a}$.

[3k] In another even more preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

X is selected from the group O, $S(O)_n$ and a bond;

n is 0, 1 or 2;

R^1 is selected from the group cyclopropyl, cyclobutyl, and cyclopentyl;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-CO_2R^{13a}$, and C_{4-8} cycloalkyl, wherein one carbon atom in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, $-NR^{13a}-$, $-NCO_2R^{14b}-$, $-NCOR^{14b}-$ and $-NSO_2R^{14b}-$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, CF_3, CF_2CF_3, $-OR^{13a}$, C_{1-2} alkoxy-C_{1-2} alkyl, and $-NR^{13a}R^{16a}$;

R^{1a} is aryl and is selected from the group phenyl and indanyl, each R^{1a} being substituted with 0-1 $-OR^{17}$ and 0-5 substituents independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-S(O)_nR^{18}$, $-COR^{17}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$;

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, furanyl, thienyl, imidazolyl, thiazolyl, pyrrolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, CF_3, -CN, $-OR^{17}$, $-S(O)_mR^{18}$, $-COR^{17}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

R^2 is selected from the group C_{1-4} alkyl, C_{2-4} alkenyl, and C_{2-4} alkynyl and is substituted with 0-1 substituents selected from the group -CN, OH, Cl, F, and C_{1-4} alkoxy;

R^9 is independently selected at each occurrence from the group H, C_{1-4} alkyl and C_{3-8} cycloalkyl;

R^3 and R^7 are independently selected at each occurrence from the group H, Br, Cl, F, -CN, C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{1-4} alkoxy, NH_2, C_{1-4} alkylamino, and $(C_{1-4}$ alkyl$)_2$-amino;

R^{13} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{13a} and R^{16a} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{14} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{14a} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{14b} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{15} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, phenyl and benzyl, each phenyl or benzyl being substituted on the aryl moiety with 0-3 groups chosen from the group C_{1-4} alkyl, Br, Cl, F, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{15a} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{17}, R^{18} and R^{19} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{1-4} haloalkyl;

alternatively, in an $NR^{17}R^{19}$ moiety, R^{17} and R^{19} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in

1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

R^{17a} and R^{19a} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} haloalkyl;

aryl is phenyl substituted with 1-4 substituents independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, $-OR^{17}$, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-S(O)_nR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-NR^{15}COR^{17}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted 1-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-OR^{17}$, $-S(O)_mR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15}, CO_2R^{14a}, COR^{14a} and SO_2R^{14a}.

[31] In another still more preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

X is selected from the group O, S and a bond;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-CO_2R^{13a}$, and C_{4-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, and $-NR^{13a}-$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, CF_3, CF_3, $-OR^{13a}$, -OH, $-OCH_3$, $-OCH_2CH_3$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, and $-NR^{13a}R^{16a}$;

R^{1a} is aryl and is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, and OCF_3, and 0-3 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

R^2 is selected from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

R^3 and R^7 are independently selected at each occurrence from the group H, CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, indolyl, benzothienyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, and benzoxazolin-2-on-yl, each heteroaryl being substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3.

[3m] In another further preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

R^1 is substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH=CH_2$, $-CH=CH(CH_3)$, $-CH\equiv CH$, $-CH\equiv C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, and CF_3;

R^{1a} is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, and OCF_3, and

0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, Br, Cl, F, CF_3, -CN, and SCH_3;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, and tetrazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, OCH_3, OCH_2CH_3, OCF_3, Br, Cl, F, CF_3, -CN, and SCH_3 and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

R^2 is selected from the group CH_3, CH_2CH_3, and $CH(CH_3)_2$;

R^3 and R^7 are independently selected at each occurrence from the group H and CH_3;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$.

[3n] In another even further preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

R^1 is substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, and CF_3; and,

R^{1a} is phenyl substituted with 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, Br, Cl, F, CF_3, -CN, and SCH_3.

[3o] In another still further preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

D is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[3p] In another still further preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

D is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[3q] In another more preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

R^1 is selected from the group C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{3-8} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} alkoxy-C_{1-4} alkyl;

R^1 is substituted with a C_{3-8} cycloalkyl group, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl group is replaced by a group selected from the group -O-, $-S(O)_n-$, $-NR^{13a}-$, $-NCO_2R^{14b}-$, $-NCOR^{14b}-$ and $-NSO_2R^{14b}-$;

R^1 is also substituted with 0-3 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, R^{1c}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, I, C_{1-4} haloalkyl, $-OR^{13a}$, $-NR^{13a}R^{16a}$, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-8} cycloalkyl which is substituted with 0-1 R^9 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

provided that R^1 is other than a cyclohexyl-$(CH_2)_2$- group;

R^{1a} is aryl and is selected from the group phenyl, naphthyl, indanyl and indenyl, each R^{1a} being substituted with 0-1 $-OR^{17}$ and 0-5 substituents independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, SH, $-S(O)_nR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$;

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide,

2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-onyl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_mR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b}; and,

R^{1c} is heterocyclyl and is a saturated or partially saturated heteroaryl, each heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{13a}$, SH, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-OC(O)R^{14b}$, $-NR^{15a}COR^{13a}$, $-N(COR^{13a})_2$, $-NR^{15a}CONR^{13a}R^{16a}$, $-NR^{15a}CO_2R^{14b}$, $-NR^{13a}R^{16a}$, and $-CONR^{13a}R^{16a}$ and each heterocyclyl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b} and wherein any sulfur atom is optionally monooxidized or dioxidized.

[3r] In another even more preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

X is selected from the group O, $S(O)_n$ and a bond;

n is 0, 1 or 2;

R^1 is selected from the group C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-8} cycloalkyl;

R^1 is substituted with a C_{3-6} cycloalkyl group, wherein 0-1 carbon atoms in the C_{4-6} cycloalkyl group is replaced by a group selected from the group -O-, $-S(O)_n-$, and $-NR^{13a}-$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, CF_3, CF_2CF_3, $-OR^{13a}$, $-NR^{13a}R^{16a}$, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-6} cycloalkyl which is substituted with 0-1 R^9 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

R^{1a} is aryl and is selected from the group phenyl and indanyl, each R^{1a} being substituted with 0-1 $-OR^{17}$ and 0-5 substituents independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-S(O)_nR^{18}$, $-COR^{17}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$;

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, furanyl, thienyl, imidazolyl, thiazolyl, pyrrolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, CF_3, -CN, $-OR^{17}$, $-S(O)_mR^{18}$, $-COR^{17}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

R^2 is selected from the group C_{1-4} alkyl, C_{2-4} alkenyl, and C_{2-4} alkynyl and is substituted with 0-1 substituents selected from the group -CN, OH, Cl, F, and C_{1-4} alkoxy;

R^9 is independently selected at each occurrence from the group H, C_{1-4} alkyl and C_{3-8} cycloalkyl;

R^3 and R^7 are independently selected at each occurrence from the group H, Br, Cl, F, -CN, C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{1-4} alkoxy, NH_2, C_{1-4} alkylamino, and (C_{1-4} alkyl)$_2$-amino;

R^{13} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{13a} and R^{16a} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{14} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{14a} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{14b} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{15} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, phenyl and benzyl, each phenyl or benzyl being substituted on the aryl moiety with 0-3 groups chosen from the group C_{1-4} alkyl, Br, Cl, F, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{15a} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{17}, R^{18} and R^{19} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{1-4} haloalkyl;

alternatively, in an $NR^{17}R^{19}$ moiety, R^{17} and R^{19} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

R^{17a} and R^{19a} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} haloalkyl;

aryl is phenyl substituted with 1-4 substituents independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, $-OR^{17}$, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-S(O)_nR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-NR^{15}COR^{17}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted 1-4 carbon atoms with a substituent independently selected

at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-OR^{17}$, $-S(O)_mR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15}, CO_2R^{14a}, COR^{14a} and SO_2R^{14a}.

[3s] In another still more preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

X is selected from the group O, S and a bond;

R^1 is C_{1-6} alkyl;

R^1 is substituted with a C_{3-6} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-4} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, and $-NR^{13a}-$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, F, CF_3, $-OR^{13a}$, $-NR^{13a}R^{16a}$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, and C_{3-6} cycloalkyl which is substituted with 0-1 CH_3 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

provided that R^1 is other than a cyclohexyl-$(CH_2)_2$- group;

R^{1a} is aryl and is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, and OCF_3, and 0-3 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

R^2 is selected from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

R^3 and R^7 are independently selected at each occurrence from the group H, CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, indolyl, benzothienyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, and benzoxazolin-2-on-yl, each heteroaryl being substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each

heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3.

[3t] In another further preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

R^1 is (cyclopropyl)C_1 alkyl or (cyclobutyl)C_1 alkyl;

R^1 is substituted with 1-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH=CH_2$, $-CH=CH(CH_3)$, $-CH\equiv CH$, $-CH\equiv C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, CF_3, cyclopropyl, CH_3-cyclopropyl, cyclobutyl, CH_3-cyclobutyl, cyclopentyl, CH_3-cyclopentyl;

R^{1a} is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, and OCF_3, and 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, Br, Cl, F, CF_3, -CN, and SCH_3;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, and tetrazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, OCH_3, OCH_2CH_3, OCF_3, Br, Cl, F, CF_3, -CN, and SCH_3 and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

R^2 is selected from the group CH_3, CH_2CH_3, and $CH(CH_3)_2$;

R^3 and R^7 are independently selected at each occurrence from the group H and CH_3;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$.

[3u] In another even further preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

R^1 is (cyclopropyl)C_1 alkyl or (cyclobutyl)C_1 alkyl;

R^1 is substituted with 1-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH=CH_2$, $-CH=CH(CH_3)$, $-CH\equiv CH$, $-CH\equiv C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, CF_3, cyclopropyl, and CH_3-cyclopropyl;

R^{1a} is phenyl substituted with 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, Br, Cl, F, CF_3, -CN, and SCH_3;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, and pyrazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3,

$CH(CH_3)_2$, $CH_2CH_2CH_3$, OCH_3, OCH_2CH_3, OCF_3, Br, Cl, F, CF_3, -CN, and SCH_3.

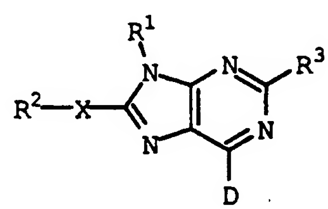
[3v] In another further preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

D is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[3w] In another further preferred embodiment, the present invention provides a novel compound of formula Ib, wherein:

D is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[4] In another preferred embodiment, the present invention provides a novel compound of formula Ic:



(Ic).

[4a] In another more preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

X is selected from the group O, $S(O)_n$ and a bond;

n is 0, 1 or 2;

R^1 is selected from the group C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{3-8} cycloalkyl;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-CO_2R^{13a}$, and C_{3-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, $-NR^{13a}-$, $-NCO_2R^{14b}-$, $-NCOR^{14b}-$ and $-NSO_2R^{14b}-$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, CF_3, CF_2CF_3, $-OR^{13a}$, $-NR^{13a}R^{16a}$, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-8} cycloalkyl which is substituted with 0-1 R^9 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

provided that R^1 is other than a cyclohexyl-$(CH_2)_2$- group;

R^{1a} is aryl and is selected from the group phenyl and indanyl, each R^{1a} being substituted with 0-1 $-OR^{17}$ and 0-5 substituents independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-S(O)_nR^{18}$, $-COR^{17}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$;

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, furanyl, thienyl, imidazolyl, thiazolyl, pyrrolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, CF_3, -CN,

$-OR^{17}$, $-S(O)_mR^{18}$, $-COR^{17}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

provided that R^1 is other than a $-(CH_2)_{1-4}$-aryl or $-(CH_2)_{1-4}$-heteroaryl wherein the aryl or heteroaryl group is substituted or unsubstituted;

R^2 is selected from the group C_{1-4} alkyl, C_{2-4} alkenyl, and C_{2-4} alkynyl and is substituted with 0-1 substituents selected from the group -CN, OH, Cl, F, and C_{1-4} alkoxy;

R^3 is selected from the group H, Br, Cl, F, -CN, C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{1-4} alkoxy, NH_2, C_{1-4} alkylamino, and $(C_{1-4}$ alkyl$)_2$-amino;

R^9 is independently selected at each occurrence from the group H, C_{1-4} alkyl and C_{3-8} cycloalkyl;

R^{13} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{13a} and R^{16a} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{14} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{14a} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{14b} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{15} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, phenyl and benzyl, each phenyl or benzyl being substituted on the aryl moiety with 0-3 groups chosen from the group C_{1-4} alkyl, Br, Cl, F, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{15a} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{17}, R^{18} and R^{19} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{1-4} haloalkyl;

alternatively, in an $NR^{17}R^{19}$ moiety, R^{17} and R^{19} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

R^{17a} and R^{19a} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} haloalkyl;

aryl is phenyl substituted with 1-4 substituents independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, $-OR^{17}$, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-S(O)_nR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-NR^{15}COR^{17}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted 1-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-OR^{17}$, $-S(O)_mR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15}, CO_2R^{14a}, COR^{14a} and SO_2R^{14a}.

[4b] In another even more preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

X is selected from the group O, S and a bond;

R^1 is substituted C_{1-6} alkyl;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-CO_2R^{13a}$, and C_{3-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, and $-NR^{13a}-$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, CF_3,

$-OR^{13a}$, $-NR^{13a}R^{16a}$, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-6} cycloalkyl which is substituted with 0-1 CH_3 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-; .

provided that R^1 is other than a cyclohexyl-$(CH_2)_2$- group;

R^{1a} is aryl and is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, and OCF_3, and 0-3 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

provided that R^1 is other than a $-(CH_2)_{1-4}$-aryl or $-(CH_2)_{1-4}$-heteroaryl wherein the aryl or heteroaryl group is substituted or unsubstituted;

R^2 is selected from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

R^3 is selected from the group H, CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, indolyl, benzothienyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, and benzoxazolin-2-on-yl, each heteroaryl being substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3.

[4c] In another still more preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

R^1 is substituted C_1;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-CO_2CH_3$, and $-CO_2CH_2CH_3$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH{=}CH_2$, $-CH{=}CH(CH_3)$, $-CH{\equiv}CH$, $-CH{\equiv}C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, CF_3, cyclopropyl, CH_3-cyclopropyl, cyclobutyl, CH_3-cyclobutyl, cyclopentyl, CH_3-cyclopentyl;

R^{1a} is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, and OCF_3, and 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, Br, Cl, F, CF_3, -CN, and SCH_3;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, and tetrazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, OCH_3, OCH_2CH_3, OCF_3, Br, Cl, F, CF_3, -CN, and SCH_3 and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

provided that R^1 is other than a $-(CH_2)_{1-4}$-aryl or $-(CH_2)_{1-4}$-heteroaryl wherein the aryl or heteroaryl group is substituted or unsubstituted;

R^2 is selected from the group CH_3, CH_2CH_3, and $CH(CH_3)_2$;

R^3 is selected from the group H and CH_3;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3,

$-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$.

[4d] In another further preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

R^1 is substituted (cyclopropyl)-C_1 alkyl or (cyclobutyl)C_1 alkyl;

R^1 is substituted with 0-1 -CN;

R^1 is also substituted with 0-1 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH=CH_2$, $-CH=CH(CH_3)$, $-CH\equiv CH$, $-CH\equiv C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, CF_3, cyclopropyl, and CH_3-cyclopropyl;

R^{1a} is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, and OCF_3, and 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, Br, Cl, F, CF_3, -CN, and SCH_3;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, and pyrazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, OCH_3, OCH_2CH_3, OCF_3, Br, Cl, F, CF_3, -CN, and SCH_3.

[4e] In another further preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

R^1 is (cyclopropyl)C_1 alkyl or (cyclobutyl)-C_1 alkyl substituted with 1 substituent independently selected

at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH{=}CH_2$, $-CH{=}CH(CH_3)$, $-CH{\equiv}CH$, $-CH{\equiv}C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, CF_3, cyclopropyl, and CH_3-cyclopropyl;

R^{1a} is phenyl substituted with 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, Cl, F, and CF_3;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, and isoxazolyl, each heteroaryl being substituted on 0-2 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, OCH_3, Cl, F, and CF_3.

[4f] In an even further preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

R^1 is selected from the group (cyclopropyl)$CH-CH_3$, (cyclopropyl)$CH-CH_2CH_3$, (cyclopropyl)$CH-CH_2OCH_3$, (cyclopropyl)$CH-CH_2CH_2CH_3$, (cyclopropyl)$CH-CH_2CH_2OCH_3$, (cyclopropyl)$_2$CH, phenyl(cyclopropyl)CH, furanyl(cyclopropyl)CH, thienyl(cyclopropyl)CH, isoxazolyl(cyclopropyl)CH, (CH_3-furanyl)(cyclopropyl)CH, (cyclobutyl)$CH-CH_3$, (cyclobutyl)$CH-CH_2CH_3$, (cyclobutyl)$CH-CH_2OCH_3$, (cyclobutyl)$CH-CH_2CH_2CH_3$, (cyclobutyl)$CH-CH_2CH_2OCH_3$, (cyclobutyl)$_2$CH, phenyl(cyclobutyl)CH, furanyl(cyclobutyl)CH, thienyl(cyclobutyl)CH, isoxazolyl(cyclobutyl)CH, and (CH_3-furanyl)(cyclobutyl)CH;

[4g] In another further preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

D is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[4h] In another further preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

D is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[4i] In another preferred embodiment, the present invention provides a novel compound of formula Ic, wherein the compound is selected from the group:

6-(2,4-bis(trifluoromethyl)phenyl-9-(dicyclopropylmethyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-cyanophenyl)-9-(dicyclopropylmethyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-methoxy-5-chlorophenyl)-9-(dicyclopropylmethyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-methoxy-5-methylphenyl)-9-(dicyclopropylmethyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-methoxyphenyl)-8-ethyl-9-(2-hexyl)-9*H*-purine;

6-(2-chloro-4-methoxyphenyl)-8-ethyl-9-(2-pentyl)-9*H*-purine;

6-(2-chloro-4-methoxyphenyl)-8-ethyl-9-(3-heptyl)-9*H*-purine;

6-(2-chloro-4-methoxyphenyl)-8-ethyl-9-(3-hexyl)-9*H*-purine;

6-(2-chloro-4-methoxyphenyl)-8-ethyl-9-(4-heptyl)-9*H*-purine;

6-(2-chloro-4-methoxyphenyl)-9-(1-cyclopropylbutyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-methoxyphenyl)-9-(1-cyclopropylpropyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-methoxyphenyl)-9-(dicyclopropylmethyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-methoxyphenyl)-9-(dicyclopropylmethyl)-8-methoxy-9*H*-purine;

6-(2-chloro-4-methyl-5-fluorophenyl)-9-(dicyclopropylmethyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-methylphenyl)-8-ethyl-9-(2-pentyl)-9*H*-purine;

6-(2-chloro-4-methylphenyl)-8-ethyl-9-(4-heptyl)-9*H*-purine;

6-(2-chloro-4-methylphenyl)-9-(1-cyclopropylbutyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-methylphenyl)-9-(dicyclopropylmethyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-trifluoromethoxyphenyl)-8-ethyl-9-(2-pentyl)-9*H*-purine;

6-(2-chloro-4-trifluoromethoxyphenyl)-8-ethyl-9-(3-hexyl)-9*H*-purine;

6-(2-chloro-4-trifluoromethoxyphenyl)-9-(1-cyclopropylbutyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-trifluoromethoxyphenyl)-9-(1-cyclopropylpropyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-trifluoromethoxyphenyl)-9-(dicyclopropylmethyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-8-ethyl-9-(1-hexyn-3-yl)-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-8-ethyl-9-(1-pentyn-3-yl)-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-8-ethyl-9-(1-pentyn-4-yl)-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-8-ethyl-9-(1-phenyl-2-butynyl)-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-8-ethyl-9-(2-heptyn-4-yl)-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-8-ethyl-9-(2-hexyn-4-yl)-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-8-ethyl-9-(2-pentyl)-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-8-ethyl-9-(4-heptyl)-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-8-ethyl-9-[(2-furanyl)-cyclopropylmethyl]-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-8-ethyl-9-[1-(2-furanyl)propyl]-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-9-(1-cyclobutylethyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-9-(1-cyclopropyl-2-butynyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-9-(1-cyclopropyl-2-propenyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-9-(1-cyclopropylbutyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-9-(1-cyclopropylpropyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-9-(dicyclopropylmethyl)-8-ethyl-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-9-(dicyclopropylmethyl)-8-methoxy-9*H*-purine;

6-(2-chloro-4-trifluoromethylphenyl)-9-[1-cyclopropyl-1-(2-thienyl)methyl]-8-ethyl-9*H*-purine;

9-(1-cyclobutylethyl)-6-(2,4-dichlorophenyl)-8-ethyl-9*H*-purine;

9-[1-cyclopropyl-(3-methylisoxazol-5-yl)methyl]-6-(2,4-dichlorophenyl)-8-ethyl-9*H*-purine;

9-(1-cyclopropyl-2-butynyl)-6-(2,4-dichlorophenyl)-8-ethyl-9*H*-purine;

9-(1-cyclopropyl-2-butynyl)-6-(2,4-dichlorophenyl)-8-ethyl-9*H*-purine;

9-(1-cyclopropyl-2-propenyl)-6-(2,4-dichloro-6-methylphenyl)-8-ethyl-9*H*-purine;

9-(1-cyclopropyl-2-propenyl)-6-(2,4-dichlorophenyl)-8-ethyl-9*H*-purine;

9-(1-cyclopropyl-2-propynyl)-8-ethyl-6-(2-trifluoromethyl-4-methoxyphenyl)-9*H*-purine;

9(1-cyclopropyl-4'-fluorobenzyl)-6-(2,4-dichlorophenyl)-8-ethyl-9*H*-purine;

9-(1-cyclopropylbenzyl)-6-(2,4-dichlorophenyl)-8-ethyl-9*H*-purine;

9-(1-cyclopropylbenzyl)-8-ethyl-6-(2-trifluoromethyl-4-methoxyphenyl)-9*H*-purine;

9-(1-cyclopropylbutyl)-6-(2,4-dichlorophenyl)-8-ethyl-9*H*-purine;

9-(1-cyclopropylbutyl)-8-ethyl-6-(2,4,6-trimethylphenyl)-9*H*-purine;

9-(1-cyclopropylbutyl)-8-ethyl-6-(2-methyl-4,5-dimethoxyphenyl)-9*H*-purine;

9-(1-cyclopropylbutyl)-8-ethyl-6-(2-methyl-4-chlorophenyl)-9*H*-purine;

9-(1-cyclopropylbutyl)-8-ethyl-6-(2-methyl-4-methoxyphenyl)-9*H*-purine;

9-(1-cyclopropylbutyl)-8-ethyl-6-(2-trifluoromethyl-4-chlorophenyl)-9*H*-purine;

9-(1-cyclopropylbutyl)-8-ethyl-6-(2-trifluoromethyl-4-methoxyphenyl)-9*H*-purine;

9-(1-cyclopropylethyl)-6-(2,4-dichlorophenyl)-8-ethyl-9*H*-purine;

9-(1-cyclopropylethyl)-8-ethyl-6-(2-trifluoromethyl-4-chlorophenyl)-9*H*-purine;

9-(1-cyclopropylpentyl)-8-ethyl-6-(2-methyl-4-methoxyphenyl)-9*H*-purine;

9-(1-cyclopropylpropyl)-6-(2,4-dichloro-6-methylphenyl)-8-ethyl-9*H*-purine;

9-(1-cyclopropylpropyl)-6-(2,4-dichlorophenyl)-8-ethyl-9*H*-purine;

9-(1-cyclopropylpropyl)-8-ethyl-6-(2,4,6-trimethylphenyl)-9*H*-purine;

9-(1-cyclopropylpropyl)-8-ethyl-6-(2-trifluoromethyl-4-chlorophenyl)-9*H*-purine;

6-(2,4-dichloro-5-fluorophenyl)-9-(dicyclopropylmethyl)-8-ethyl-9*H*-purine;

6-(2,4-dichloro-6-methylphenyl)-8-ethyl-9-(2-penten-3-yl)-9*H*-purine;

6-(2,4-dichloro-6-methylphenyl)-9-(dicyclopropylmethyl)-8-ethyl-9*H*-purine;

6-(2,4-dichlorophenyl)-8-ethyl-9-(1-hexyn-3-yl)-9*H*-purine;

6-(2,4-dichlorophenyl)-8-ethyl-9-(1-methoxycarbonylpropyl)-9*H*-purine;

6-(2,4-dichlorophenyl)-8-ethyl-9-(1-phenyl-2-butynyl)-9*H*-purine;

6-(2,4-dichlorophenyl)-8-ethyl-9-(2-heptyn-4-yl)-9*H*-purine;

6-(2,4-dichlorophenyl)-8-ethyl-9-(2-hexyl)-9*H*-purine;

6-(2,4-dichlorophenyl)-8-ethyl-9-(2-hexyn-4-yl)-9*H*-purine;

6-(2,4-dichlorophenyl)-8-ethyl-9-(2-penten-3-yl)-9*H*-purine;

6-(2,4-dichlorophenyl)-8-ethyl-9-(2-pentyl)-9*H*-purine;

6-(2,4-dichlorophenyl)-8-ethyl-9-(3-heptyl)-9*H*-purine;

6-(2,4-dichlorophenyl)-8-ethyl-9-(3-hexyl)-9*H*-purine;

6-(2,4-dichlorophenyl)-8-ethyl-9-(3-pentyl)-9*H*-purine;

6-(2,4-dichlorophenyl)-8-ethyl-9-(4-heptyl)-9*H*-purine;

6-(2,4-dichlorophenyl)-8-ethyl-9-[1-(2-methylcyclopropyl)ethyl]-9*H*-purine;

6-(2,4-dichlorophenyl)-9-(dicyclopropylmethyl)-8-ethyl-9*H*-purine;

6-(2,4-dichlorophenyl)-9-(dicyclopropylmethyl)-8-ethyl-9*H*-purine;

6-(2,4-dichlorophenyl)-9-(dicyclopropylmethyl)-8-methoxy-9*H*-purine;

6-(2,4-dichlorophenyl)-9-(diphenylmethyl)-8-ethyl-9*H*-purine;

9-(dicyclopropylmethyl)-6-(2,4-dimethylphenyl)-8-ethyl-9*H*-purine;

9-(dicyclopropylmethyl)-6-(2,4-dimethylphenyl)-8-ethyl-9*H*-purine;

9-(dicyclopropylmethyl)-6-(2,6-dimethoxypyridin-3-yl)-8-methoxy-9*H*-purine;

9-(dicyclopropylmethyl)-8-ethyl-6-(2,4,5-trichlorophenyl)-9*H*-purine;

9-(dicyclopropylmethyl)-8-ethyl-6-(2-methoxy-4-trifluoromethylphenyl)-9*H*-purine;

9-(dicyclopropylmethyl)-8-ethyl-6-(2-methyl-4,5-dimethoxyphenyl)-9*H*-purine;

9-(dicyclopropylmethyl)-8-ethyl-6-(2-methyl-4-chlorophenyl)-9*H*-purine;

9-(dicyclopropylmethyl)-8-ethyl-6-(2-methyl-4-dimethylaminophenyl)-9*H*-purine;

9-(dicyclopropylmethyl)-8-ethyl-6-(2-methyl-4-methoxy-5-chlorophenyl)-9*H*-purine;

9-(dicyclopropylmethyl)-8-ethyl-6-(2-methyl-4-methoxy-5-fluorophenyl)-9*H*-purine;

9-(dicyclopropylmethyl)-8-ethyl-6-(2-chloro-4-methoxy-5-fluorophenyl)-9*H*-purine;

9-(dicyclopropylmethyl)-8-ethyl-6-(2-methyl-4-methoxyphenyl)-9*H*-purine;

9-(dicyclopropylmethyl)-8-ethyl-6-(2-trifluoromethyl-4-chlorophenyl)-9*H*-purine;

9-(dicyclopropylmethyl)-8-ethyl-6-(2-trifluoromethyl-4-methoxyphenyl)-9*H*-purine;

9-(dicyclopropylmethyl)-8-ethyl-6-(2-trifluoromethyl-4-propyloxyphenyl)-9*H*-purine;

6-(2,6-dimethoxypyridin-3-yl)-8-ethyl-9-(2-pentyl)-9*H*-purine;

6-(2,4-dimethylphenyl)-8-ethyl-9-(2-pentyl)-9*H*-purine;

8-ethyl-6-(2-methyl-4,5-dimethoxyphenyl)-9-(2-pentyl)-9*H*-purine;

8-ethyl-6-(2-methyl-4,5-dimethoxyphenyl)-9-(3-pentyl)-9*H*-purine;

8-ethyl-9-(1-hexen-3-yl)-6-(2-methyl-4,5-dimethoxyphenyl)-9*H*-purine;

8-ethyl-9-(1-hexen-3-yl)-6-(2-trifluoromethyl-4-methoxyphenyl)-9*H*-purine;

8-ethyl-9-(2-hexyl)-6-(2-trifluoromethyl-4-methoxyphenyl)-9*H*-purine;

8-ethyl-9-(2-pentyl)-6-(2-trifluoromethyl-4-methoxyphenyl)-9*H*-purine;

8-ethyl-9-(3-hexyl)-6-(2-methyl-4-methoxyphenyl)-9*H*-purine;

8-ethyl-9-(3-hexyl)-6-(2-trifluoromethyl-4-methoxyphenyl)-9*H*-purine;

8-ethyl-9-(3-pentyl)-6-(2-trifluoromethyl-4-chlorophenyl)-9*H*-purine;

8-ethyl-9-(4-heptyl)-6-(2-methyl-4-chlorophenyl)-9*H*-purine;

8-ethyl-9-(4-heptyl)-6-(2-methyl-4-methoxyphenyl)-9*H*-purine;

8-ethyl-9-(4-heptyl)-6-(2-trifluoromethyl-4-chlorophenyl)-9*H*-purine;

8-ethyl-9-(4-heptyl)-6-(2-trifluoromethyl-4 methoxyphenyl)-9*H*-purine; and

9-(dicyclopropylmethyl)-8-ethyl-6-(2-methyl-6-methoxy-3-pyridyl)-9*H*-purine;

or a pharmaceutically acceptable salt form thereof.

[4j] In another more preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

R^1 is C_{3-8} cycloalkyl;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-CO_2R^{13a}$, $-NR^{15a}COR^{13a}$, $-N(COR^{13a})_2$, $-NR^{15a}CONR^{13a}R^{16a}$, $-NR^{15a}CO_2R^{14b}$, $-CONR^{13a}R^{16a}$, 1-morpholinyl, 1-piperidinyl, 1-piperazinyl, and C_{4-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, $-NR^{13a}-$, $-NCO_2R^{14b}-$, $-NCOR^{14b}-$ and $-NSO_2R^{14b}-$, and wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b}; and,

R^1 is also substituted with 0-3 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, R^{1c}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, I, C_{1-4} haloalkyl, $-OR^{13a}$, C_{1-2} alkoxy-C_{1-2} alkyl, and $-NR^{13a}R^{16a}$.

[4k] In another even more preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

X is selected from the group O, $S(O)_n$ and a bond;

n is 0, 1 or 2;

R^1 is selected from the group cyclopropyl, cyclobutyl, and cyclopentyl;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-CO_2R^{13a}$, and C_{4-8} cycloalkyl, wherein one carbon atom in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, $-NR^{13a}-$, $-NCO_2R^{14b}-$, $-NCOR^{14b}-$ and $-NSO_2R^{14b}-$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, CF_3, CF_2CF_3, $-OR^{13a}$, C_{1-2} alkoxy-C_{1-2} alkyl, and $-NR^{13a}R^{16a}$;

R^{1a} is aryl and is selected from the group phenyl and indanyl, each R^{1a} being substituted with 0-1 $-OR^{17}$ and 0-5 substituents independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-S(O)_nR^{18}$, $-COR^{17}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$;

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, furanyl, thienyl, imidazolyl, thiazolyl, pyrrolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, CF_3, -CN, $-OR^{17}$, $-S(O)_mR^{18}$, $-COR^{17}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

R^2 is selected from the group C_{1-4} alkyl, C_{2-4} alkenyl, and C_{2-4} alkynyl and is substituted with 0-1 substituents

selected from the group -CN, OH, Cl, F, and C_{1-4} alkoxy;

R^9 is independently selected at each occurrence from the group H, C_{1-4} alkyl and C_{3-8} cycloalkyl;

R^3 is selected from the group H, Br, Cl, F, -CN, C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{1-4} alkoxy, NH_2, C_{1-4} alkylamino, and (C_{1-4} alkyl)$_2$-amino;

R^{13} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{13a} and R^{16a} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{14} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{14a} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{14b} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{15} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, phenyl and benzyl, each phenyl or benzyl being substituted on the aryl moiety with 0-3 groups chosen from the group C_{1-4} alkyl, Br, Cl, F, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{15a} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{17}, R^{18} and R^{19} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{1-4} haloalkyl;

alternatively, in an $NR^{17}R^{19}$ moiety, R^{17} and R^{19} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

R^{17a} and R^{19a} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} haloalkyl;

aryl is phenyl substituted with 1-4 substituents independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, $-OR^{17}$, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-S(O)_nR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-NR^{15}COR^{17}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted 1-4 carbon atoms with a substituent independently selected

at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-OR^{17}$, $-S(O)_mR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15}, CO_2R^{14a}, COR^{14a} and SO_2R^{14a}.

[41] In another still more preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

X is selected from the group O, S and a bond;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-CO_2R^{13a}$, and C_{4-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, and $-NR^{13a}-$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, CF_3, CF_3, $-OR^{13a}$, -OH, $-OCH_3$, $-OCH_2CH_3$, $-CH_2OCH_3$, -$CH_2CH_2OCH_3$, and $-NR^{13a}R^{16a}$;

R^{1a} is aryl and is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, and OCF_3, and 0-3 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each

heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

R^2 is selected from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

R^3 is selected from the group H, CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, indolyl, benzothienyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, and benzoxazolin-2-on-yl, each heteroaryl being substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3.

[4m] In another further preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

R^1 is substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH=CH_2$, $-CH=CH(CH_3)$, $-CH\equiv CH$, $-CH\equiv C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, and CF_3;

R^{1a} is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, and OCF_3, and 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, Br, Cl, F, CF_3, -CN, and SCH_3;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, and tetrazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, OCH_3, OCH_2CH_3, OCF_3, Br, Cl, F, CF_3, -CN, and SCH_3 and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

R^2 is selected from the group CH_3, CH_2CH_3, and $CH(CH_3)_2$;

R^3 is selected from the group H and CH_3;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$.

[4n] In another even further preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

R^1 is substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, and CF_3; and,

R^{1a} is phenyl substituted with 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, Br, Cl, F, CF_3, -CN, and SCH_3.

[4o] In another still further preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

D is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[4p] In another still further preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

D is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[4q] In another more preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

R^1 is selected from the group C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{3-8} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} alkoxy-C_{1-4} alkyl;

R^1 is substituted with a C_{3-8} cycloalkyl group, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl group is replaced by a group selected from the group -O-, $-S(O)_n-$, $-NR^{13a}-$, $-NCO_2R^{14b}-$, $-NCOR^{14b}-$ and $-NSO_2R^{14b}-$;

R^1 is also substituted with 0-3 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, R^{1c}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, I, C_{1-4} haloalkyl, $-OR^{13a}$, $-NR^{13a}R^{16a}$, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-8} cycloalkyl which is substituted with 0-1 R^9 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

provided that R^1 is other than a cyclohexyl-$(CH_2)_2$- group;

R^{1a} is aryl and is selected from the group phenyl, naphthyl, indanyl and indenyl, each R^{1a} being substituted with 0-1 $-OR^{17}$ and 0-5 substituents independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, SH, $-S(O)_nR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$;

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-onyl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_mR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b}; and,

R^{1c} is heterocyclyl and is a saturated or partially saturated heteroaryl, each heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{13a}$, SH, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-OC(O)R^{14b}$, $-NR^{15a}COR^{13a}$, $-N(COR^{13a})_2$, $-NR^{15a}CONR^{13a}R^{16a}$, $-NR^{15a}CO_2R^{14b}$, $-NR^{13a}R^{16a}$, and $-CONR^{13a}R^{16a}$ and each heterocyclyl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b} and wherein any sulfur atom is optionally monooxidized or dioxidized.

[4r] In another even more preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

X is selected from the group O, $S(O)_n$ and a bond;

n is 0, 1 or 2;

R^1 is selected from the group C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, and C_{3-8} cycloalkyl;

R^1 is substituted with a C_{3-6} cycloalkyl group, wherein 0-1 carbon atoms in the C_{4-6} cycloalkyl group is replaced by a group selected from the group -O-, $-S(O)_n-$, and $-NR^{13a}-$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, CF_3, CF_2CF_3, $-OR^{13a}$, $-NR^{13a}R^{16a}$, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-6} cycloalkyl which is substituted with 0-1 R^9 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

R^{1a} is aryl and is selected from the group phenyl and indanyl, each R^{1a} being substituted with 0-1 $-OR^{17}$ and 0-5 substituents independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-S(O)_nR^{18}$, $-COR^{17}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$;

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, furanyl, thienyl, imidazolyl, thiazolyl, pyrrolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, CF_3, -CN,

$-OR^{17}$, $-S(O)_mR^{18}$, $-COR^{17}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

R^2 is selected from the group C_{1-4} alkyl, C_{2-4} alkenyl, and C_{2-4} alkynyl and is substituted with 0-1 substituents selected from the group -CN, OH, Cl, F, and C_{1-4} alkoxy;

R^9 is independently selected at each occurrence from the group H, C_{1-4} alkyl and C_{3-8} cycloalkyl;

R^3 is selected from the group H, Br, Cl, F, -CN, C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{1-4} alkoxy, NH_2, C_{1-4} alkylamino, and $(C_{1-4}$ alkyl$)_2$-amino;

R^{13} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{13a} and R^{16a} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{14} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl-C_{1-2} alkyl, aryl(C_{1-2} alkyl)-, and heteroaryl(C_{1-2} alkyl)-;

R^{14a} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{14b} is selected from the group C_{1-4} alkyl, C_{1-2} haloalkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-2} alkyl;

R^{15} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, phenyl and benzyl, each phenyl or benzyl being substituted on the aryl moiety with 0-3 groups chosen from the group C_{1-4} alkyl, Br, Cl, F, C_{1-4} haloalkyl, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{15a} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{17}, R^{18} and R^{19} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{1-4} haloalkyl;

alternatively, in an $NR^{17}R^{19}$ moiety, R^{17} and R^{19} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

R^{17a} and R^{19a} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} haloalkyl;

aryl is phenyl substituted with 1-4 substituents independently selected at each occurrence from the group C_{1-4} alkyl, C_{3-6} cycloalkyl, $-OR^{17}$, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-S(O)_nR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-NR^{15}COR^{17}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl,

benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted 1-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, C_{1-4} haloalkyl, -CN, $-OR^{17}$, $-S(O)_mR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15}, CO_2R^{14a}, COR^{14a} and SO_2R^{14a}.

[4s] In another still more preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

X is selected from the group O, S and a bond;

R^1 is C_{1-6} alkyl;

R^1 is substituted with a C_{3-6} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-4} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, and $-NR^{13a}-$;

R^1 is also substituted with 0-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, F, CF_3, $-OR^{13a}$, $-NR^{13a}R^{16a}$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, and C_{3-6} cycloalkyl which is substituted with 0-1 CH_3 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

provided that R^1 is other than a cyclohexyl-$(CH_2)_2$- group;

R^{1a} is aryl and is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, and OCF_3, and 0-3 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, and indazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, $-NH_2$, -$NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

R^2 is selected from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

R^3 is selected from the group H, CH_3, CH_2CH_3, $CH(CH_3)_2$, and $CH_2CH_2CH_3$;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is independently selected at each occurence from the group pyridyl, indolyl, benzothienyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide,

2,3-dihydrobenzothienyl-S-dioxide, indolinyl, and benzoxazolin-2-on-yl, each heteroaryl being substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3.

[4t] In another further preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

R^1 is (cyclopropyl)C_1 alkyl or (cyclobutyl)C_1 alkyl;

R^1 is substituted with 1-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH=CH_2$, $-CH=CH(CH_3)$, $-CH\equiv CH$, $-CH\equiv C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, CF_3, cyclopropyl, CH_3-cyclopropyl, cyclobutyl, CH_3-cyclobutyl, cyclopentyl, CH_3-cyclopentyl;

R^{1a} is phenyl substituted with 0-1 substituents selected from OCH_3, OCH_2CH_3, and OCF_3, and 0-2 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, Br, Cl, F, CF_3, -CN, and SCH_3;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, pyrazolyl, triazolyl, and tetrazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, OCH_3, OCH_2CH_3, OCF_3, Br, Cl, F, CF_3, -CN, and SCH_3 and each

heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group CH_3, CO_2CH_3, $COCH_3$ and SO_2CH_3;

R^2 is selected from the group CH_3, CH_2CH_3, and $CH(CH_3)_2$;

R^3 is selected from the group H and CH_3;

aryl is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$; and,

heteroaryl is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, CF_3, -CN, SCH_3, SO_2CH_3, $-NH_2$, $-NHCH_3$, $-N(CH_3)_2$, $-C(O)NH_2$, $-C(O)NHCH_3$, and $-C(O)N(CH_3)_2$.

[4u] In another even further preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

R^1 is (cyclopropyl)C_1 alkyl or (cyclobutyl)C_1 alkyl;

R^1 is substituted with 1-2 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, $-(CH_2)_3CH_3$, $-CH=CH_2$, $-CH=CH(CH_3)$, $-CH\equiv CH$, $-CH\equiv C(CH_3)$, $-CH_2OCH_3$, $-CH_2CH_2OCH_3$, F, CF_3, cyclopropyl, and CH_3-cyclopropyl;

R^{1a} is phenyl substituted with 0-2 substituents independently selected at each occurrence from the

group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, Br, Cl, F, CF_3, -CN, and SCH_3;

R^{1b} is heteroaryl and is selected from the group furanyl, thienyl, imidazolyl, thiazolyl, oxazolyl, isoxazolyl, and pyrazolyl, each heteroaryl being substituted on 0-3 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, OCH_3, OCH_2CH_3, OCF_3, Br, Cl, F, CF_3, -CN, and SCH_3.

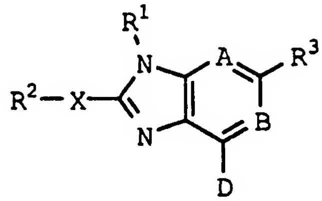
[4v] In another further preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

D is phenyl substituted with 2-4 substituents independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[4w] In another further preferred embodiment, the present invention provides a novel compound of formula Ic, wherein:

D is pyridyl substituted on 2-4 carbon atoms with a substituent independently selected at each occurrence from the group CH_3, CH_2CH_3, $CH(CH_3)_2$, $CH_2CH_2CH_3$, cyclopropyl, OCH_3, OCH_2CH_3, $OCH(CH_3)_2$, $OCH_2CH_2CH_3$, OCF_3, Br, Cl, F, and CF_3.

[5] In a third embodiment, the present invention provides a novel pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of formula (I):



(I)

or a stereoisomer or pharmaceutically acceptable salt form thereof, wherein:

A is N or C-R^7;

B is N or C-R^8;

provided that at least one of the groups A and B is N;

D is an aryl or heteroaryl group attached through an unsaturated carbon atom;

X is selected from the group CH-R^9, N-R^{10}, O, $S(O)_n$ and a bond;

n is 0, 1 or 2;

R^1 is selected from the group C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{3-8} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-4} alkoxy-C_{1-4} alkyl, $-SO_2-C_{1-10}$ alkyl, $-SO_2-R^{1a}$, and $-SO_2-R^{1b}$;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-CO_2R^{13a}$, $-NR^{15a}COR^{13a}$, $-N(COR^{13a})_2$, $-NR^{15a}CONR^{13a}R^{16a}$, $-NR^{15a}CO_2R^{14b}$, $-CONR^{13a}R^{16a}$, 1-morpholinyl, 1-piperidinyl, 1-piperazinyl, and C_{3-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, $-NR^{13a}-$, $-NCO_2R^{14b}-$, $-NCOR^{14b}-$ and $-NSO_2R^{14b}-$, and wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents

selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

R^1 is also substituted with 0-3 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, R^{1c}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, I, C_{1-4} haloalkyl, $-OR^{13a}$, $-NR^{13a}R^{16a}$, and C_{3-8} cycloalkyl which is substituted with 0-1 R^9 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

provided that R^1 is other than:

(a) a 3-cyclopropyl-3-methoxypropyl group;

(b) an unsubstituted-(alkoxy)methyl group; and,

(c) a 1-hydroxyalkyl group;

also provided that when R^1 alkyl substituted with OH, then the carbon adjacent to the ring N is other than CH_2;

R^{1a} is aryl and is selected from the group phenyl, naphthyl, indanyl and indenyl, each R^{1a} being substituted with 0-5 substituents independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_nR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$;

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide,

2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-onyl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_mR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

R^{1c} is heterocyclyl and is a saturated or partially saturated heteroaryl, each heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{13a}$, SH, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-OC(O)R^{14b}$, $-NR^{15a}COR^{13a}$, $-N(COR^{13a})_2$, $-NR^{15a}CONR^{13a}R^{16a}$, $-NR^{15a}CO_2R^{14b}$, $-NR^{13a}R^{16a}$, and $-CONR^{13a}R^{16a}$ and each heterocyclyl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b} and wherein any sulfur atom is optionally monooxidized or dioxidized;

R^2 is selected from the group C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{2-4} alkenyl, and C_{2-4} alkynyl and is substituted with 0-3 substituents selected from the group -CN, hydroxy, halo and C_{1-4} alkoxy;

alternatively R^2, in the case where X is a bond, is selected from the group -CN, CF_3 and C_2F_5;

R^3, R^7 and R^8 are independently selected at each occurrence from the group H, Br, Cl, F, I, -CN, C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{1-4} alkoxy, C_{1-4} alkylthio, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, amino, C_{1-4}

alkylamino, (C_{1-4} alkyl)$_2$amino and phenyl, each phenyl is substituted with 0-3 groups selected from the group C_{1-7} alkyl, C_{3-8} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} alkylthio, C_{1-4} alkyl sulfinyl, C_{1-4} alkylsulfonyl, C_{1-6} alkylamino and (C_{1-4} alkyl)$_2$amino;

provided that when R^1 is unsubstituted C_{1-10} alkyl, then R^3 is other than substituted or unsubstituted phenyl;

R^9 and R^{10} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-6} cycloalkyl-C_{1-4} alkyl and C_{3-8} cycloalkyl;

R^{13} is selected from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl)-, heteroaryl and heteroaryl(C_{1-4} alkyl)-;

R^{13a} and R^{16a} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{14} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl)-, heteroaryl and heteroaryl(C_{1-4} alkyl)- and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy C_{1-4} haloalkoxy, and dimethylamino;

R^{14a} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4}

haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{14b} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{15} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, phenyl and benzyl, each phenyl or benzyl being substituted on the aryl moiety with 0-3 groups chosen from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{15a} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{17} is selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{1-4} haloalkyl, $R^{14}S(O)_n$-C_{1-4} alkyl, and $R^{17b}R^{19b}N$-C_{2-4} alkyl;

R^{18} and R^{19} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{1-4} haloalkyl;

alternatively, in an $NR^{17}R^{19}$ moiety, R^{17} and R^{19} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

alternatively, in an $NR^{17b}R^{19b}$ moiety, R^{17b} and R^{19b} taken together form 1-pyrrolidinyl, 1-morpholinyl,

1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

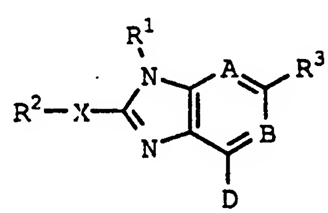
R^{17a} and R^{19a} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} haloalkyl;

aryl is independently selected at each occurrence from the group phenyl, naphthyl, indanyl and indenyl, each aryl being substituted with 0-5 substituents independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, methylenedioxy, C_{1-4} alkoxy-C_{1-4} alkoxy, $-OR^{17}$, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, $-NO_2$, SH, $-S(O)_nR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CONR^{17}R^{19}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and up to 1 phenyl, each phenyl substituent being substituted with 0-4 substituents selected from the group C_{1-3} alkyl, C_{1-3} alkoxy, Br, Cl, F, I, -CN, dimethylamino, CF_3, C_2F_5, OCF_3, SO_2Me and acetyl; and,

heteroaryl is independently selected at each occurence from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_mR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CONR^{17}R^{19}$, $-NR^{15}CO_2R^{18}$,

$-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15}, CO_2R^{14a}, COR^{14a} and SO_2R^{14a}.

[6] In a second embodiment, the present invention provides a novel method of treating affective disorder, anxiety, depression, headache, irritable bowel syndrome, post-traumatic stress disorder, supranuclear palsy, immune suppression, Alzheimer's disease, gastrointestinal diseases, anorexia nervosa or other feeding disorder, drug addiction, drug or alcohol withdrawal symptoms, inflammatory diseases, cardiovascular or heart-related diseases, fertility problems, human immunodeficiency virus infections, hemorrhagic stress, obesity, infertility, head and spinal cord traumas, epilepsy, stroke, ulcers, amyotrophic lateral sclerosis, hypoglycemia or a disorder the treatment of which can be effected or facilitated by antagonizing CRF, including but not limited to disorders induced or facilitated by CRF, in mammals, comprising: administering to the mammal a therapeutically effective amount of a compound of formula (I):



(I)

or a stereoisomer or pharmaceutically acceptable salt form thereof, wherein:

A is N or $C-R^7$;

B is N or $C-R^8$;

provided that at least one of the groups A and B is N;

D is an aryl or heteroaryl group attached through an unsaturated carbon atom;

X is selected from the group CH-R^9, N-R^{10}, O, $S(O)_n$ and a bond;

n is 0, 1 or 2;

R^1 is selected from the group C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{3-8} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-4} alkoxy-C_{1-4} alkyl, $-SO_2-C_{1-10}$ alkyl, $-SO_2-R^{1a}$, and $-SO_2-R^{1b}$;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-CO_2R^{13a}$, $-NR^{15a}COR^{13a}$, $-N(COR^{13a})_2$, $-NR^{15a}CONR^{13a}R^{16a}$, $-NR^{15a}CO_2R^{14b}$, $-CONR^{13a}R^{16a}$, 1-morpholinyl, 1-piperidinyl, 1-piperazinyl, and C_{3-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, $-NR^{13a}-$, $-NCO_2R^{14b}-$, $-NCOR^{14b}-$ and $-NSO_2R^{14b}-$, and wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

R^1 is also substituted with 0-3 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, R^{1c}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, I, C_{1-4} haloalkyl, $-OR^{13a}$, $-NR^{13a}R^{16a}$, and C_{3-8} cycloalkyl which is substituted with 0-1 R^9 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

provided that R^1 is other than:

(a) a 3-cyclopropyl-3-methoxypropyl group;

(b) an unsubstituted-(alkoxy)methyl group; and,

(c) a 1-hydroxyalkyl group;

also provided that when R^1 alkyl substituted with OH, then the carbon adjacent to the ring N is other than CH_2;

R^{1a} is aryl and is selected from the group phenyl, naphthyl, indanyl and indenyl, each R^{1a} being substituted with 0-5 substituents independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_nR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$;

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-onyl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_mR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

R^{1c} is heterocyclyl and is a saturated or partially saturated heteroaryl, each heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{13a}$, SH, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-OC(O)R^{14b}$, $-NR^{15a}COR^{13a}$, $-N(COR^{13a})_2$, $-NR^{15a}CONR^{13a}R^{16a}$, $-NR^{15a}CO_2R^{14b}$, $-NR^{13a}R^{16a}$, and $-CONR^{13a}R^{16a}$ and each heterocyclyl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b} and wherein any sulfur atom is optionally monooxidized or dioxidized;

R^2 is selected from the group C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{2-4} alkenyl, and C_{2-4} alkynyl and is substituted with 0-3 substituents selected from the group -CN, hydroxy, halo and C_{1-4} alkoxy;

alternatively R^2, in the case where X is a bond, is selected from the group -CN, CF_3 and C_2F_5;

R^3, R^7 and R^8 are independently selected at each occurrence from the group H, Br, Cl, F, I, -CN, C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{1-4} alkoxy, C_{1-4} alkylthio, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, amino, C_{1-4} alkylamino, $(C_{1-4}$ alkyl$)_2$amino and phenyl, each phenyl is substituted with 0-3 groups selected from the group C_{1-7} alkyl, C_{3-8} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} alkylthio, C_{1-4} alkyl sulfinyl, C_{1-4} alkylsulfonyl, C_{1-6} alkylamino and $(C_{1-4}$ alkyl$)_2$amino;

provided that when R^1 is unsubstituted C_{1-10} alkyl, then R^3 is other than substituted or unsubstituted phenyl;

R^9 and R^{10} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-6} cycloalkyl-C_{1-4} alkyl and C_{3-8} cycloalkyl;

R^{13} is selected from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl)-, heteroaryl and heteroaryl(C_{1-4} alkyl)-;

R^{13a} and R^{16a} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{14} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl)-, heteroaryl and heteroaryl(C_{1-4} alkyl)- and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy C_{1-4} haloalkoxy, and dimethylamino;

R^{14a} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{14b} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{15} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, phenyl and benzyl, each phenyl or benzyl

being substituted on the aryl moiety with 0-3 groups chosen from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{15a} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{17} is selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{1-4} haloalkyl, $R^{14}S(O)_n$-C_{1-4} alkyl, and $R^{17b}R^{19b}N$-C_{2-4} alkyl;

R^{18} and R^{19} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{1-4} haloalkyl;

alternatively, in an $NR^{17}R^{19}$ moiety, R^{17} and R^{19} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

alternatively, in an $NR^{17b}R^{19b}$ moiety, R^{17b} and R^{19b} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

R^{17a} and R^{19a} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} haloalkyl;

aryl is independently selected at each occurrence from the group phenyl, naphthyl, indanyl and indenyl, each aryl

being substituted with 0-5 substituents independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, methylenedioxy, C_{1-4} alkoxy-C_{1-4} alkoxy, $-OR^{17}$, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, $-NO_2$, SH, $-S(O)_nR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CONR^{17}R^{19}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and up to 1 phenyl, each phenyl substituent being substituted with 0-4 substituents selected from the group C_{1-3} alkyl, C_{1-3} alkoxy, Br, Cl, F, I, -CN, dimethylamino, CF_3, C_2F_5, OCF_3, SO_2Me and acetyl; and,

heteroaryl is independently selected at each occurence from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_mR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CONR^{17}R^{19}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15}, CO_2R^{14a}, COR^{14a} and SO_2R^{14a}.

In another preferred embodiment, R^1 is other than a cyclohexyl-$(CH_2)_{1,\ 2,\ 3,\ 4,\ 5,\ 6,\ 7,\ 8,\ 9,\ \text{or}\ 10}$- group.

In another preferred embodiment, R^1 is other than an aryl-$(CH_2)_{1, 2, 3, 4, 5, 6, 7, 8, 9, \text{ or } 10}$- group, wherein the aryl group is substituted or unsubstituted.

In another preferred embodiment, R^1 is other than a heteroaryl-$(CH_2)_{1, 2, 3, 4, 5, 6, 7, 8, 9, \text{ or } 10}$- group, wherein the heteroaryl group is substituted or unsubstituted.

In another preferred embodiment, R^1 is other than a heterocyclyl-$(CH_2)_{1, 2, 3, 4, 5, 6, 7, 8, 9, \text{ or } 10}$- group, wherein the heterocyclyl group is substituted or unsubstituted.

In another preferred embodiment, when D is imidazole or triazole, R^1 is other than unsubstituted $C_{1, 2, 3, 4, 5, 6, 7, 8, 9, \text{ or } 10}$ linear or branched alkyl or $C_{3, 4, 5, 6, 7, \text{ or } 8}$ cycloalkyl.

In another preferred embodiment, R^{1a} is not substituted with OR^{17}.

Many compounds of this invention have one or more asymmetric centers or planes. Unless otherwise indicated, all chiral (enantiomeric and diastereomeric) and racemic forms are included in the present invention. Many geometric isomers of olefins, C=N double bonds, and the like can also be present in the compounds, and all such stable isomers are contemplated in the present invention. The compounds may be isolated in optically active or racemic forms. It is well known in the art how to prepare optically active forms, such as by resolution of racemic forms or by synthesis from optically active starting materials. All chiral, (enantiomeric and diastereomeric) and racemic forms and all geometric isomeric forms of a structure are intended, unless the specific stereochemistry or isomer form is specifically indicated.

The term "alkyl" includes both branched and straight-chain alkyl having the specified number of carbon atoms. "Alkenyl" includes hydrocarbon chains of either a straight or branched configuration and one or more unsaturated carbon-carbon bonds which may occur in any stable point along the chain, such as ethenyl, propenyl, and the like. "Alkynyl" includes hydrocarbon chains of either a straight or branched configuration and one or more triple carbon-carbon bonds which may occur in any stable point along the chain, such as ethynyl, propynyl and the like. "Haloalkyl" is intended to include both branched and straight-chain alkyl having the specified number of carbon atoms, substituted with 1 or more halogen; "alkoxy" represents an alkyl group of indicated number of carbon atoms attached through an oxygen bridge; "cycloalkyl" is intended to include saturated ring groups, including mono-,bi- or poly-cyclic ring systems, such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and so forth. "Halo" or "halogen" includes fluoro, chloro, bromo, and iodo.

The term "substituted", as used herein, means that one or more hydrogen on the designated atom is replaced with a selection from the indicated group, provided that the designated atom's normal valency is not exceeded, and that the substitution results in a stable compound. When a substitent is keto (i.e., =O), then 2 hydrogens on the atom are replaced.

Combinations of substituents and/or variables are permissible only if such combinations result in stable compounds. By "stable compound" or "stable structure" is meant a compound that is sufficiently robust to survive isolation to a useful degree of purity from a reaction mixture, and formulation into an efficacious therapeutic agent.

The term "pharmaceutically acceptable salts" includes acid or base salts of the compounds of formulas (I) and (II). Examples of pharmaceutically acceptable salts include, but are not limited to, mineral or organic acid salts of basic residues such as amines; alkali or organic

salts of acidic residues such as carboxylic acids; and the like.

Pharmaceutically acceptable salts of the compounds of the invention can be prepared by reacting the free acid or base forms of these compounds with a stoichiometric amount of the appropriate base or acid in water or in an organic solvent, or in a mixture of the two; generally, nonaqueous media like ether, ethyl acetate, ethanol, isopropanol, or acetonitrile are preferred. Lists of suitable salts are found in Remington's Pharmaceutical Sciences, 17th ed., Mack Publishing Company, Easton, PA, 1985, p. 1418, the disclosure of which is hereby incorporated by reference.

"Prodrugs" are considered to be any covalently bonded carriers which release the active parent drug of formula (I) or (II) *in vivo* when such prodrug is administered to a mammalian subject. Prodrugs of the compounds of formula (I) and (II) are prepared by modifying functional groups present in the compounds in such a way that the modifications are cleaved, either in routine manipulation or *in vivo*, to the parent compounds. Prodrugs include compounds wherein hydroxy, amine, or sulfhydryl groups are bonded to any group that, when administered to a mammalian subject, cleaves to form a free hydroxyl, amino, or sulfhydryl group, respectively. Examples of prodrugs include, but are not limited to, acetate, formate and benzoate derivatives of alcohol and amine functional groups in the compounds of formulas (I) and (II); and the like.

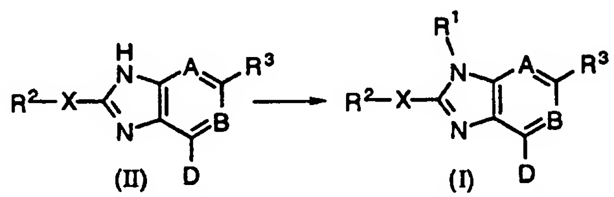
The term "therapeutically effective amount" of a compound of this invention means an amount effective to antagonize abnormal level of CRF or treat the symptoms of affective disorder, anxiety, depression, immunological, cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathological disturbance and stress in a host.

Synthesis

Compounds of formula (I) can be prepared by the following synthetic routes and schemes. Where a detailed description is not provided, it is assumed that those skilled in the art of organic synthesis will readily understand the meaning.

Synthesis of compounds of formula (I) may be prepared by the reaction shown in Scheme 1.

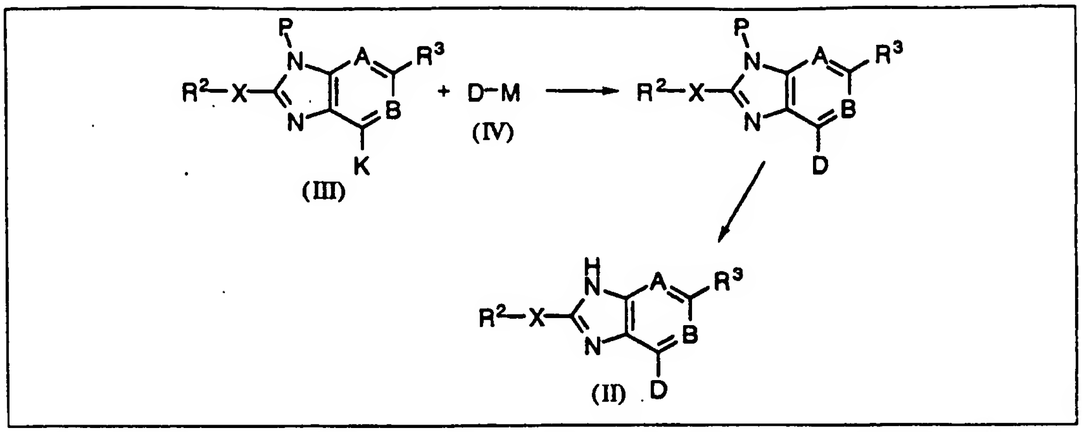
Scheme 1



A compound of formula (II) can be alkylated on the imidazole nitrogen atom with an appropriate reagent. Typical conditions for this transformation include treatment of compound (II) with a base, such as sodium hydride, potassium *tert*-butoxide, sodium hexamethyldisilazide, etc., followed by a reagent J-R^1, where J represents a halide (chloride, bromide or iodide) or psuedohalide (tosylate, mesylate, triflate, etc.), at an appropriate temperature (0 °C or room temperature, with warming if necessary) in a solvent such as tetrahydrofuran, dimethylformamide or dimethylsulfoxide. Alternatively, this reaction may be performed using the Mitsunobu conditions (Mitsunobu, *Synthesis* **1981**, pp. 1-28).The compound (II) is treated with an alcohol compound R^{1}OH, along with a phosphine (triphenyl, tributyl, etc.) and a phosphine-activating reagent such as diethyl azodicarboxylate.

Compounds of Formula (II) may be prepared according to the route shown in Scheme 2.

Scheme 2

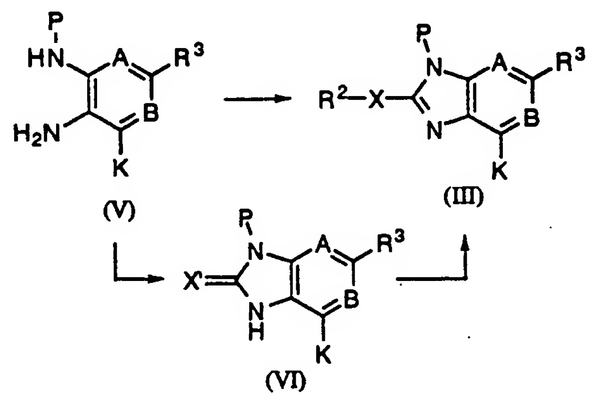


A compound of Formula (III) may be coupled to an aromatic compound of Formula (IV), with elimination of the elements of M-K. For compound (III), K represents a halide, psuedohalide (such as mesylate, tosylate or triflate), or thiomethyl, and P represents a protecting group (if the conditions of the reaction warrant protection of the imidazole N-H; otherwise, P can be H). Suitable P groups may include benzyl, 4-methoxybenzyl, methoxymethyl, trimethylsilylethoxymethyl, *tert*-butoxycarbonyl or benzyloxycarbonyl. For compound (IV), M represents groups such as lithium, bromomagnesium, chlorozinc, (dihydroxy)boron, (dialkoxy)boron, trialkylstannyl and the like. The coupling reaction may be performed in the presence of an appropriate catalyst, such as tetrakis(triphenylphosphine)palladium, bis(triphenylphosphine)palladium dichloride, [1,3-bis(diphenylphosphino)propane]nickel dichloride, etc. Two particularly useful methods involve the coupling of chloroheterocycles with *in-situ*-prepared arylzinc reagents according to the method of Negishi et al. (*J. Org. Chem.* **1977**, *42*, 1821), and the coupling with arylboronic esters according to the method of Suzuki et al. (*Chem. Letters* **1989**, 1405). Appropriate solvents for reactions of this type usually include tetrahydrofuran, diethyl ether, dimethylformamide, or dimethylsulfoxide. Typical temperatures range from ambient up to the boiling point of the solvent. Once coupled, the P group may be removed to afford compound (II). Conditions for the removal of the protecting groups are well known to those familiar to the art of organic synthesis; e.g. hydrogenation

to remove benzyl or benzyloxycarbonyl, a fluoride source (such as tetrabutylammonium fluoride) to remove silylethoxymethyl, an acid source (such as trifluoroacetic acid) to remove *tert*-butoxycarbonyl or 4-methoxybenzyl, etc.

Compounds of formula (III) can be prepared according to the plan shown in Scheme 3.

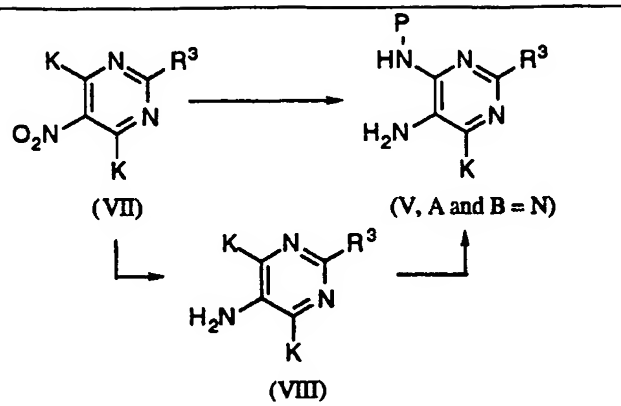
Scheme 3



A diamine compound of formula (V) (in this case, P is a group such as benzyl, which can be introduced already attached to the nitrogen atom; otherwise, P could represent H initially, and another protecting group being introduced in a later step) is used in a cyclocondensation reaction to make the imidazole ring. The conditions used will, of course, depend on the X group chosen, and may include the intermediacy of the compound (VI). A review of imidazole-forming reactions may be found in *Comprehensive Heterocyclic Chemistry* (Pergamon Press, 1984) vol. 5, pp. 457-498.

Preparation of compounds of formula (V) wherein both A and B are nitrogen atoms may proceed according to the route of Scheme 4.

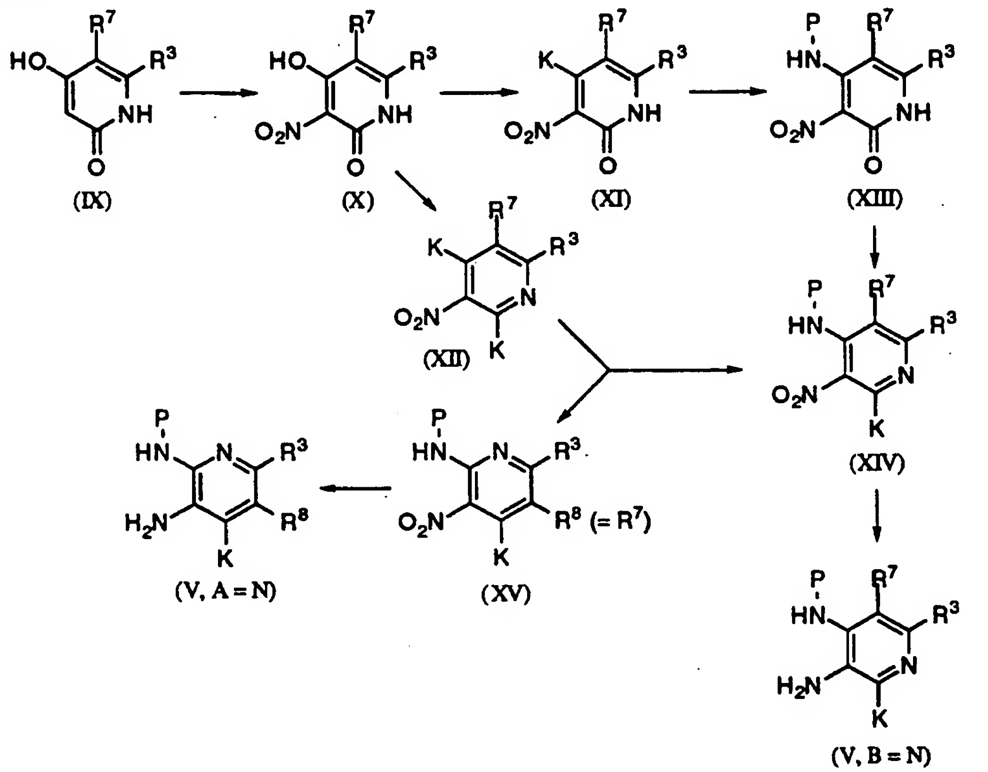
Scheme 4



A compound of formula (VII) may be available from commercial sources, particularly for K = chloride. Compounds bearing psuedohalide K groups may be available from the corresponding dihydroxy compounds by treatment with an appropriate activating reagent, such as an organosulfonic anhydride or sulfonyl chloride. Compound (VII) may be converted to (V) by either (i) monoalkylation with a compound P-NH_2, followed by reduction of the nitro group; (ii) reduction of the nitro group, to give an amine compound of formula (VIII), followed by monoalkylation with a compound P-NH_2; or (iii) use of a source of ammonia (ammonia gas, ammonium hydroxide, etc.) in either route, followed by protection of the amine group with the group P. Pyrimidine chemistry of this type is well represented in the literature, and is reviewed in *Comprehensive Heterocyclic Chemistry*, vol. 6. Alkylation of chloropyrimidines with amine compounds can be accomplished under either acidic (e.g. HCl or acetic) or basic (trialkylamines, potassium *tert*-butoxide, etc.) conditions. Nitro groups in compounds of this type can be reduced to amino groups using one of any number of conditions, including catalytic hydrogenation, tin dichloride, sodium dithionite, zinc metal, iron powder, etc.

Preparation of compounds of formula (V) wherein either A or B represent nitrogen atoms is shown in Scheme 5.

Scheme 5

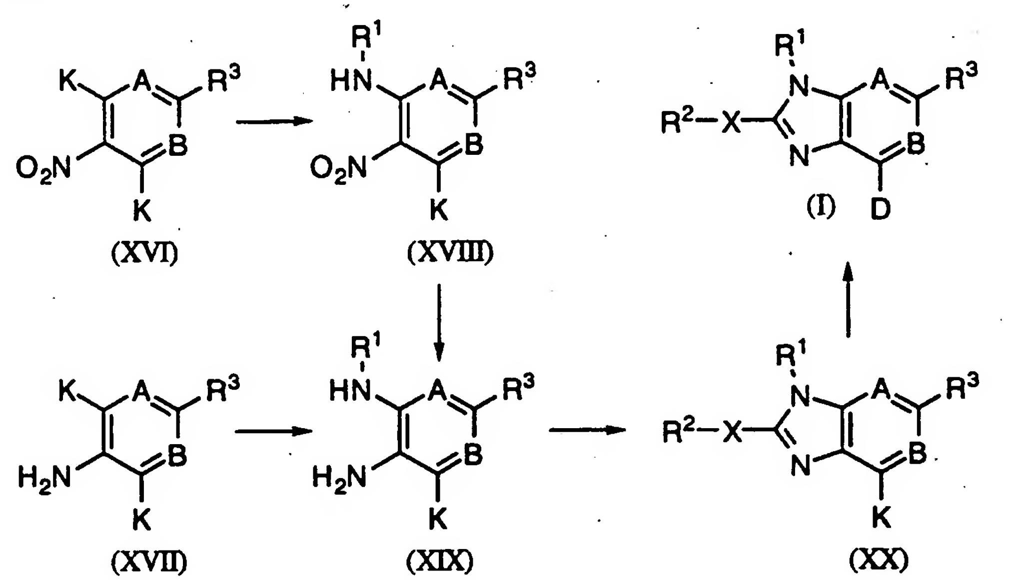


An hydroxypyridone compound of formula (IX) can be nitrated to give compound (X) employing conditions such as concentrated or fuming nitric acid, optionally in the presence of concentrated sulfuric or acetic acid. The hydroxypyridone can be selectively monoactivated with a K group to give a compound of formula (XI); one method to do this involves treatment of the dicyclohexylamine salt of compound (X) with phosphorus oxychloride to give (XI) wherein K = Cl. Alternatively, both the hydroxy and pyridone groups in compound (X) can be activated at the same time, using stronger conditions such as phosphorus oxychloride and heat, or excess toluenesulfonic anhydride, to give compound (XII). Compound (XI) may be converted to the protected amine compound (XIII) using the same general route discussed above for the pyrimidines.

Selective monoalkylation using compound (XII) is also possible, but will probably give mixtures of regioisomeric products (XIV) and (XV). The nitro groups in these compounds can then be reduced as discussed above, to give compounds for formula (V) wherein either A or B is nitrogen.

An alternative approach to the method involving introduction of the R^1 group at the initial step is shown in Scheme 6.

Scheme 6

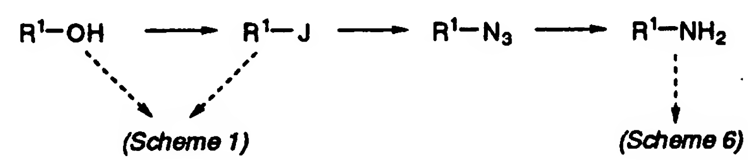


This is particularly useful in the cases where R^1 represents a group where alkylation of compound (II) is impractical (e.g. a very bulky R^1 group), but can also be used in a general manner. Here, compounds of formula (XVI) or (XVII) (either amino- or nitro-pyridines or pyrimidines) are alkylated with an amine reagent R^1-NH_2, under either acidic or basic conditions as described above. Nitro compound (XVIII) can be converted to amine compound (XIX) by nitro reduction reactions described earlier. Compound (XIX) can be cyclized to imidazole compound (XX). As above, this reaction will depend upon the choice of X group. For example, for X = CHR^9, one can use an orthoester reagent such as $R^2CH(R^9)C(OR)_3$, with heating in neat solution or high-boiling solvents, and the optional presence of an acid catalyst (such as hydrochloric or sulfuric acid) (see

Montgomery and Temple, *J. Org. Chem.* **1960**, *25*, 395). For X = NR^{10}, the cyclization is performed using reagents such as an guanidine reagent of the structure $R^2R^{10}N\text{-}C(=NH)NH_2$ or a urea-derived reagent of the structure $R^2R^{10}N\text{-}C(=NH)D$, where D represents a group like OCH_3, SCH_3 or SO_2CH_3. For X = O, the ring is formed using a reagent of the structure $(R^2O)_4C$ (with acetic acid catalysis), provided one has access to the reagent with the R^2 group of choice (see Brown and Lynn, *J. Chem. Soc. Perkin Trans. I* **1974**, 349). Alternatively, the diamine (XIX) is treated with phosgene, followed by O-alkylation to introduce the R^2 group (such as a reagent like R^2-I or R^2-Br). A similar route can be used for X = S, which would use thiophosgene or some similar reagent, followed by S-alkylation with the R^2 group. The sulfur atom in this compound (and sulfide groups throughout the molecule in general) can be oxidized to either the sulfoxide or sulfone if desired by treatment with an appropriate oxidizing agent such as potassium permanganate, potassium peroxomonosulfate or m-chloroperbenzoic acid. Finally, compound (XX) can be used in an aryl coupling reaction as described above to replace the K group with the desired aryl group in compound (I).

Methods of synthesis of compounds R^1-OH, R^1-J and R^1-NH_2 are related, in that the alcohol can be used in the synthesis of the other two compounds, as is shown in Scheme 7.

Scheme 7

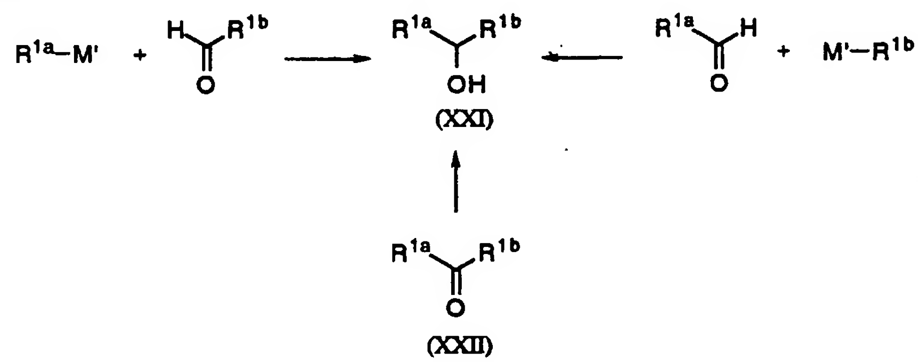


For example, the hydroxy group may be converted to the following J groups, using the indicated reagents (this route is not limited to these J groups): methanesulfonate, using methanesulfonyl chloride or anhydride and an appropriate base; toluenesulfonate, using toluenesulfonyl chloride or anhydride and an appropriate base; iodide; using iodine / triphenylphosphine; bromide, using phosphorus tribromide or

carbon tetrabromide / triphenylphosphine; or trifluoromethanesulfonate, using trifluoromethane-sulfonic anhydride and an appropriate base. Both compounds R^1-OH and R^1-J are used in the methods portrayed in Scheme 1. Conversion of R^1-J to R^1-N_3 requires the use of an azide source, such as sodium azide, and a solvent such as dimethylsulfoxide or dimethylformamide, or water and a phase-transfer catalyst (such as tetrabutylammonium hydrogen sulfate). Reduction of the azide compound R^1-N_3 to R^1-NH_2 may be accomplished using reagents such as sodium borohydride or triphenylphosphine, or hydrogen gas and a catalyst (such as palladium on carbon). The amine R^1-NH_2 may then be employed in the methods portrayed in Scheme 6.

In the cases where the compound R^1-OH could be represented by a structure of formula (XXI) (Scheme 8), wherein R^{1a} and R^{1b} represents substructures which, taken together with the carbinol methine group, comprise the entire group R^1, this compound may be prepared by addition to a carbonyl compound.

Scheme 8

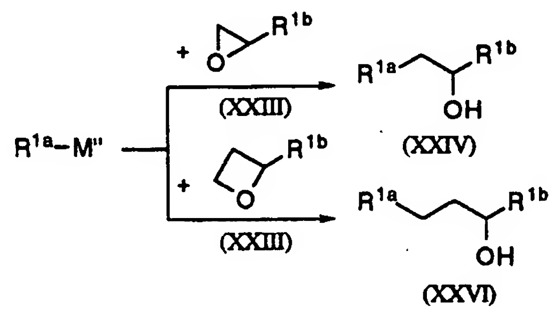


This route is particularly useful in the case where R^{1a} or R^{1b} represents a cycloalkyl group, such as cyclopropyl. An organometallic reagent (where M' represents a metallic group, such as Li, CuCN, CuI, MgCl, MgBr, MgI, ZnCl, CrCl, etc.) can be allowed to react with an aldehyde reagent to prepare the alcohol compound of formula (XXI). Alternatively, a ketone of formula (XXII) may be treated with a reducing agent, such as sodium borohydride, lithium aluminum hydride, etc., which will

also generate the alcohol of formula (XXI). Standard methods of ketone synthesis may be used where appropriate in the preparation of compounds for formula (XXII), which will be familiar to those skilled in the art of organic synthesis.

An homologous approach may also be employed in the synthesis of alcohols R^1-OH, involving the ring-opening reaction of cyclic ether compounds with organometallic reagents (Scheme 9).

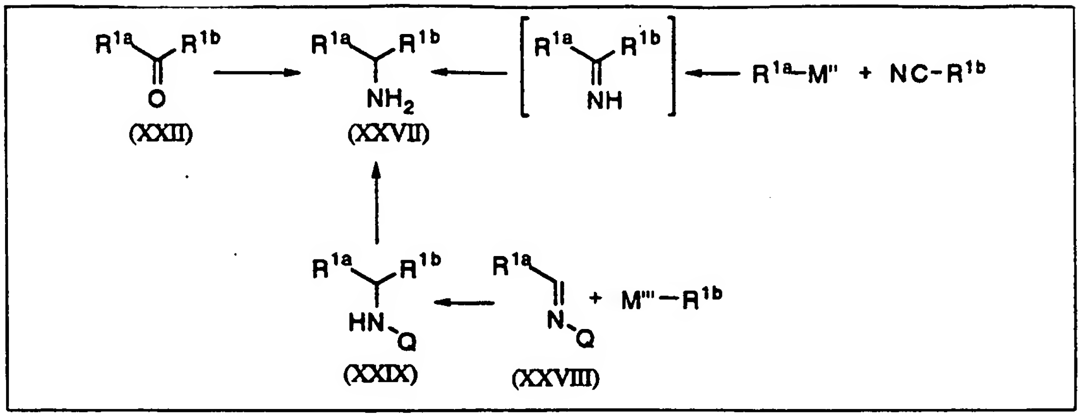
Scheme 9



Here, an organometallic reagent R^{1a}-M" is used, where M" represents metals such as Mg, Zn or Cu. Especially useful is the method described in Huynh, et al., Tetrahedron Letters **1979**, (17), pp. 1503-1506, where organomagnesium reagents are allowed to react with cyclic ethers with catalysis provided by copper (I) iodide. Use of an epoxide compound of formula (XXIII) in this manner would result in synthesis of an alcohol compound of formula (XXIV), and use of an oxetane compound of formula (XXV) would generate an alcohol of formula (XXVI). Both compounds (XXIV) and (XXVI) are variants of R^1-OH.

Synthesis of compound R^1-NH_2 with formula (XXVII) is portrayed in Scheme 10.

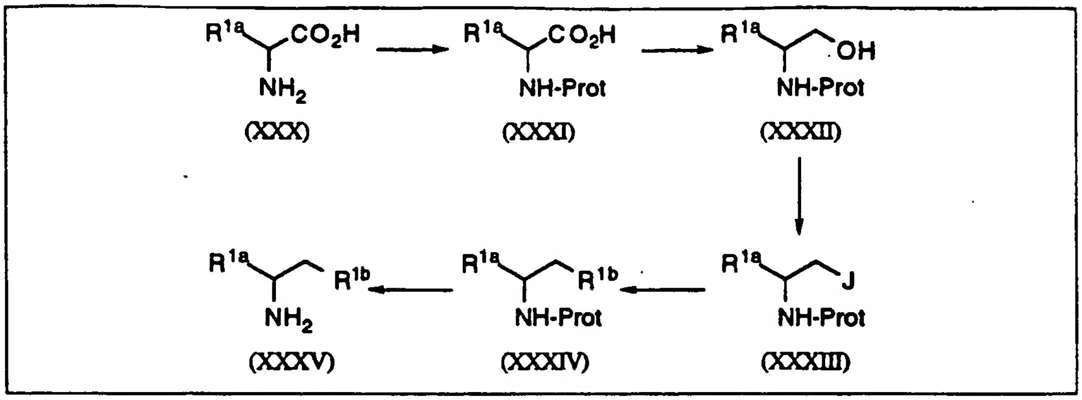
Scheme 10



A simple reductive amination of ketone (XXII) will produce amine (XXVII). This reaction may be performed using anhydrous ammonia in the presence of hydrogen and a catalyst. Alternatively, addition of an organometallic reagent to a nitrile compound gives and imine, which may be treated in situ with a reducing agent (such as sodium cyanoborohydride) to give amine (XXVII). Finally, a compound of formula (XXVIII), wherein Q is an optionally-substituted oxygen atom (i.e. an oxime) or nitrogen atom (i.e. a hydrazone), may be allowed to react with an organometallic reagent R^{1b}-M'''. Here, metallic groups M''' such as MgBr, CuCl or $CeCl_2$ have been used in additions to oximes or hydrazones. The intermediate addition products of formula (XXIX) may be subjected to reductive cleavage (using conditions such as sodium/liquid ammonia or catalytic hydrogenation), which will afford amines (XXVII).

Amino acids, either naturally-occurring or synthetic, are potential sources of useful starting materials for the synthesis of the compounds of this invention. Scheme 11 shows some possible applications of this approach.

Scheme 11

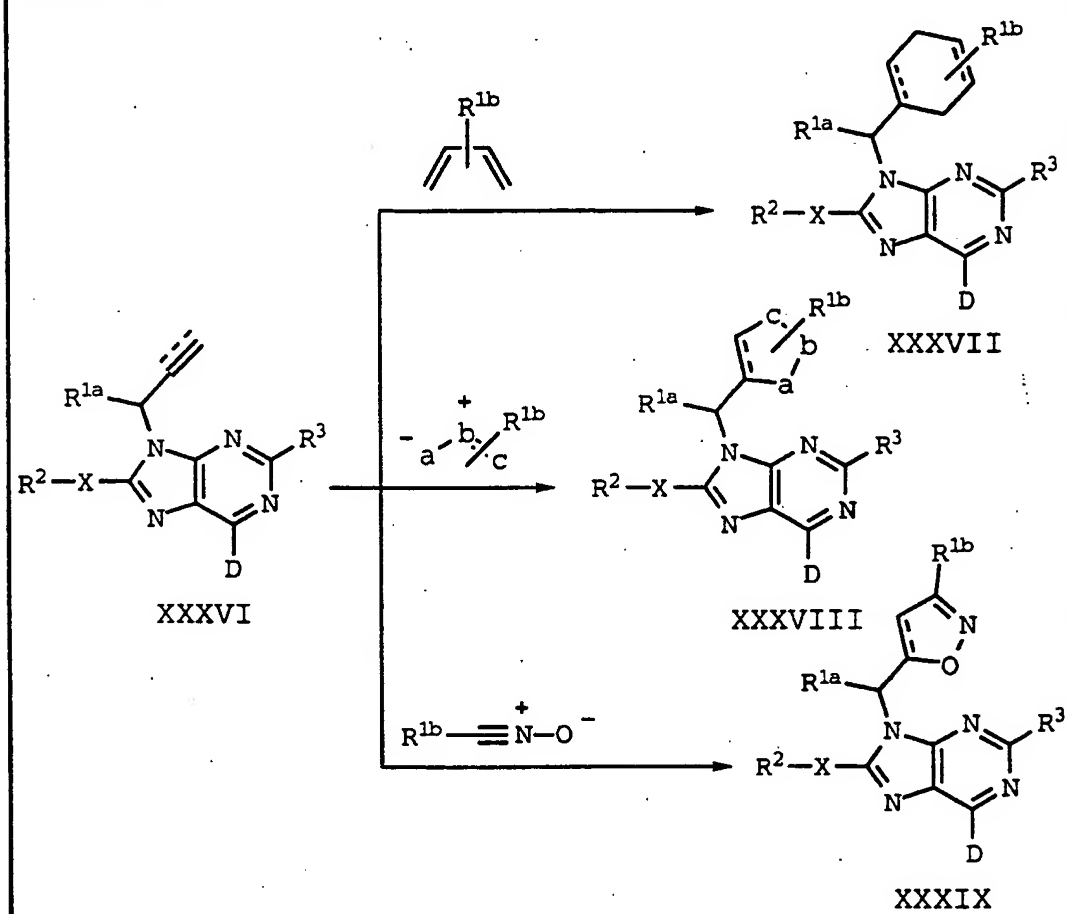


Protected amino acids of formula (XXXI) are prepared from the parent compounds of formula (XXX); useful protecting groups ("Prot") include tert-butoxycarbonyl, benzyloxycarbonyl and triphenylmethyl. Standard texts in peptide chemistry describe this protection. The carboxylic acid group may be reduced using reagents such as lithium borohydride, which gives alcohol (XXXII). The hydroxy group may be converted to a leaving group "J" as described before. The compound of formula (XXXIII) may be treated with appropriate reagents to produce a wide variety of functional groups included in the scope of this invention (compound (XXXIV)); displacement of J with cyanide (sodium cyanide in warm dimethylformamide may be used here) gives a nitrile, displacement of J with a mercaptan (in the presence of a base, such as potassium carbonate) gives a disulfide, displacement of J with a secondary amine gives a tertiary amine, etc.

The compounds of Formula (I) with unsaturated R^1 groups can be a further source of compounds covered under this invention. Unsaturated (double and triple) bonds can take part in cycloaddition chemistry with appropriate reagents (Scheme 12). Cycloaddition of an alkyne compound of Formula XXXVI with 1,3-dienes to give six-membered ring compounds like that of Formula XXXVII (commonly known as the Diels-Alder reaction), and cycloaddition with 3-atom dipolar reagents to give heterocyclic compounds of Formula XXXVIII, are familiar to those skilled in the art of organic synthesis. One specific

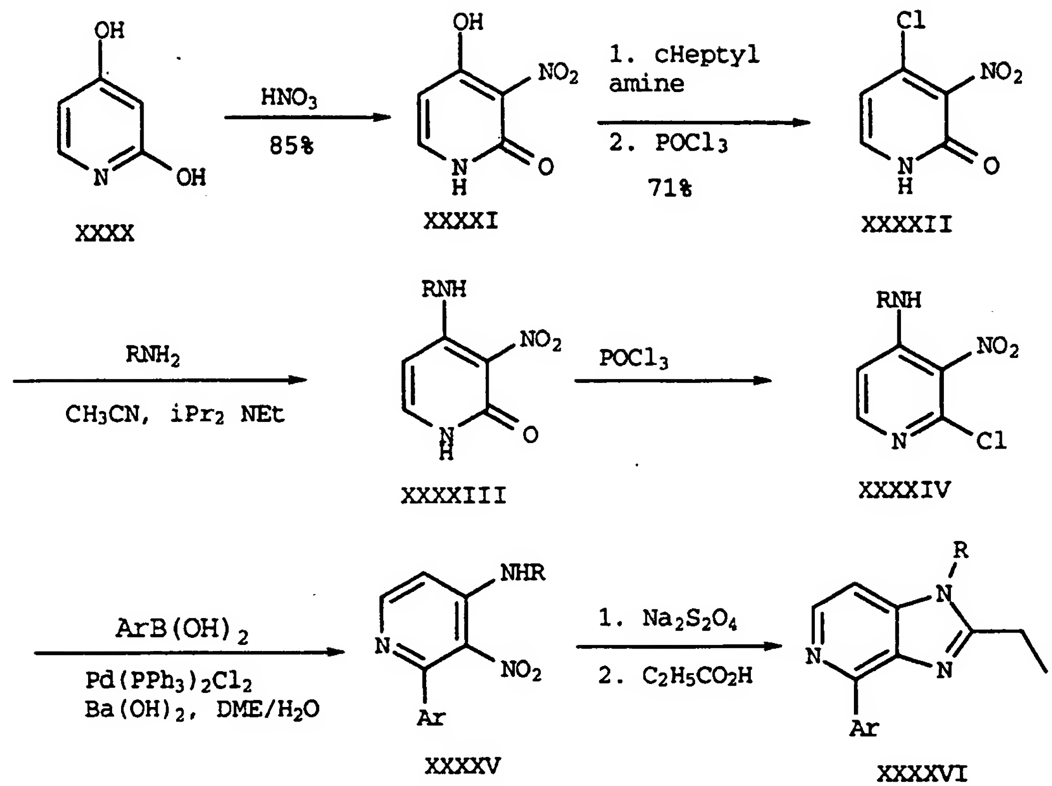
example of this approach is the synthesis of an isoxazole compounds of Formula XXXIX from the alkyne XXXVI and a nitrile oxide reagent.

Scheme 12



The synthetic procedure in Scheme 13 shown below may be used to prepare 4,5-c imidazopyridines.

Scheme 13

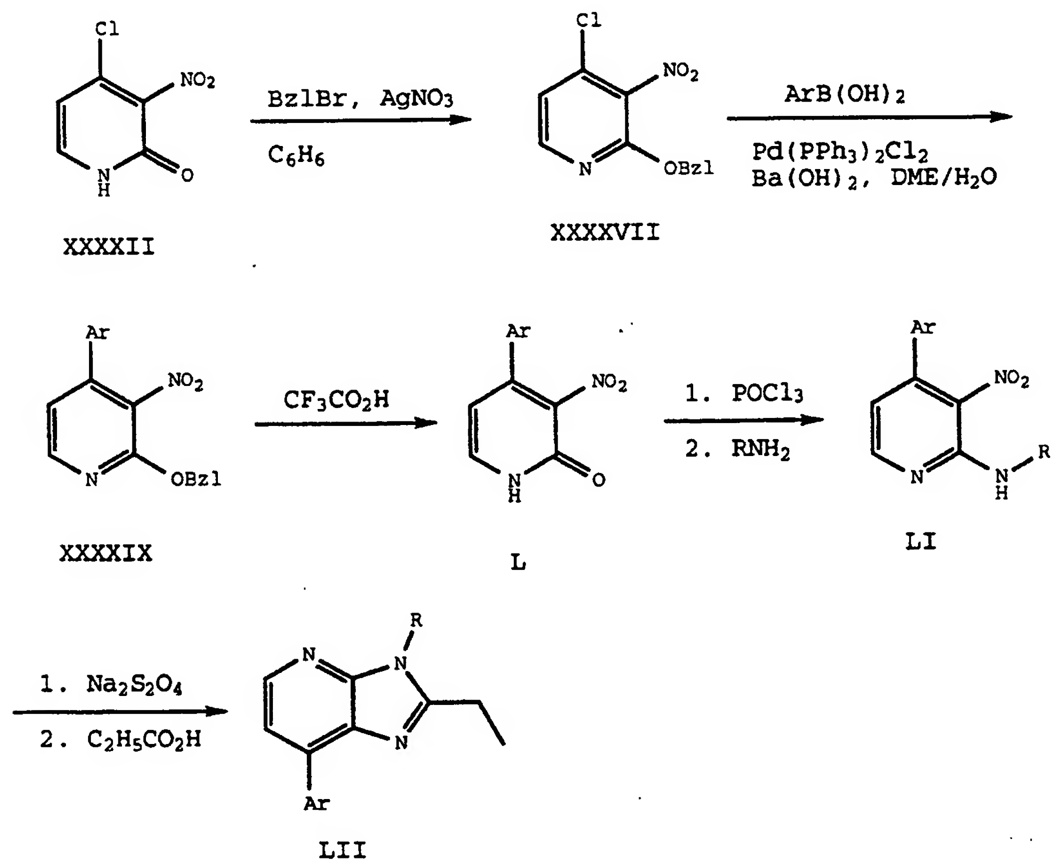


Nitration of 2,4-dihydroxypyridine (XXXX) with HNO_3 as described earlier (Koagel et al. Recl. Trav. Chim. Pays-Bas. 29, 38, 67, **1948**) gave the corresponding 3-nitropyridone (XXXXI) which was treated with an organic amine base, such as cycloheptyl amine to give selectively the corresponding 4-chloropyridone (XXXXIII). This in turn was reacted with a primary amine RNH_2, where R is a group described earlier in an aprotic or protic solvent, such as CH_3CN, DMSO, DMF, or an alkyl alcohol in the presence of an organic or inorganic base, such as a trialkylamine, K_2CO_3, Na_2CO_3 etc, and in temperature range of 20-200 °C to give the 4-amino adduct (XXXXIII). Pyridone (XXXXIII) was converted to the 2-chloropyridine (XXXXIV) by treatment with $POCl_3$, and (XXXXIV) was coupled with an arylboronic acid $ArB(OH)_2$ under palladium catalysis to

give (XXXXV). Nitropyridine (XXXXV) was reduced to the corresponding aminopyridine by use of $Na_2S_2O_4$ or a Fe, Sn or $SnCl_2$ and converted to the imidazo[4,5-c]pyridine in refluxing propionic acid. The same transformation can be affected by the use of a nitrile, an imidate, thioimidate or trialkylorthopropionate.

The synthetic procedure in Scheme 14 shown below may be used to prepare 4,5-b imidazopyridines.

Scheme 14



Reaction of 4-chloropyridone (XXXXII) with an aryl halide, such as benzyl bromide in benzene and in the presence of Ag_2CO_3 as described in Scheme 13 (Smith A. M.; et al. J. Med. Chem.. 36, 8, **1993**) and at temperature ranges of 30-80 °C afforded the corresponding 2-benzyloxypyridine (XXXXVII). This was coupled with an arylboronic acid, $ArB(OH)_2$ under palladium-catalyzed conditions to give (XXXXIX). The benzyloxy group can be removed by treatment with a strong acid, such as trifluoroacetic, triflic, sulfuric, HCl, etc. to give pyridone (L). This was converted to the 2-halopyridine with the action of POX_3, PX_5 or the corresponding triflate, tosylate or mesylate, which was displaced with a primary amine RNH_2 to give (LI). The nitro group was reduced under conditions described in scheme 13 and the aminopyridine was cyclized to the imidazolo[4,5-b]pyridine (LII) under conditions described in scheme 13.

The following examples are provided to describe the invention in further detail. These examples, which set forth the best mode presently contemplated for carrying out the invention, are intended to illustrate and not to limit the invention.

The methods discussed below in the preparation of 8-ethyl-9-(1-ethylpentyl)-6-(2,4,6-trimethylphenyl)purine (Table 1, Example 2, Structure A) and 9-butyl-8-ethyl-6-(2,4,6-trimethylphenyl)purine (Table 1, Example 27, Structure A) may be used to prepare all of the examples of Structure A contained in Table 1, Table 1A and Table 1B, with minor procedural modifications where necessary and use of reagents of the appropriate structure.

The methods discussed below in the preparation of 3-(1-cyclopropylpropyl)-7-(2,4-dichlorophenyl)-2-ethyl-3H-imidazo[4,5-b]pyridine (Table 1, Example 38, Structure B) and 1-(1-cyclopropylpropyl)-4-(2,4-dichlorophenyl)-2-ethyl-1H-imidazo[4,5-c]pyridine (Table 1, Example 38, Structure C) may be used to prepare many of the examples of

Structures B and C contained in Table 1, Table 1A, Table 1B and Table 1C, with minor procedural modifications where necessary and use of reagents of the appropriate structure.

Example 2

Preparation of 8-Ethyl-9-(1-ethylpentyl)-6-(2,4,6-trimethylphenyl)purine

Part A. A solution of 5-amino-4,6-dichloropyrimidine (10.0 g, 61.0 mmol) and triethylamine (12.8 mL, 91.5 mmol) in ethanol (100 mL) was treated with benzylamine (7.30 mL, 67.1 mmol), and heated to 50 °C overnight. The resulting mixture was cooled, and the resulting crystalline solid was collected by filtration. The solid was triturated with hexane, refiltered and dried under vacuum. A second crop was collected from the mother liquor and purified like the first crop to afford in total 12.67 g (48.8 mmol, 80%) of 5-amino-6-benzylamino-4-chloropyrimidine. TLC R_F 0.10 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): d 7.62 (1H, s), 7.13-6.97 (5H, m), 6.61 (1H, br t, J = 5 Hz), 4.43 (2H, d, J = 5.5 Hz), 4.24 (2H, br s). MS (NH_3-CI): *m/e* 238 (4), 237 (33), 236 (15), 235 (100).

Part B. A solution of the diamine from Part A (10.45 g, 44.5 mmol) and 3 drops concentrated hydrochloric acid in triethyl orthopropionate (70 mL) was heated to 100 °C for 1 hour, then cooled, poured into water (200 mL) and extracted with ethyl acetate (2 x 200 mL). The extracts were washed in sequence with brine (100 mL), then combined, dried over anhydrous sodium sulfate, filtered and evaporated. The residue was separated by column chromatography (silica gel, 20:80 ethyl acetate-hexane) to afford the product, N-(6-benzylamino-4-chloropyrimidin-5-yl)-*O*-ethyl-propionimidate (12.82 g, 40.2 mmol, 90%) as a crystalline solid, m.p. 85-86 °C. TLC R_F 0.25 (20:80 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): d 8.19 (1H, s), 7.35-7.29 (5H, m), 5.21 (1H, br t, J = 5 Hz), 4.70 (2H, d, J = 5.9 Hz), 4.29 (2H, br), 2.15 (2H, br q, J = 7.3

Hz), 1.35 (3H, t, J = 7.0 Hz), 1.06 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* 322 (6), 321 (34), 320 (20), 319 (100).

Part C. A solution of the imidate compound prepared in Part B above (10.66 g, 33.4 mmol) and p-toluenesulfonic acid monohydrate (100 mg) in diphenyl ether (10 mL) was heated to 170 °C for 2 hours. The resulting mixture was cooled and poured into 50 mL water. This was extracted with ethyl acetate (2 x 50 mL), and the extracts were washed in sequence with brine (50 mL), combined, dried over anhydrous sodium sulfate, filtered and evaporated. The residual material was separated by column chromatography (silica gel, hexane to remove diphenyl ether, then 30:70 ethyl acetate-hexane) to afford the product, 9-benzyl-6-chloro-8-ethylpurine, as an oil (8.16 g, 29.9 mmol, 89%). TLC R_F 0.20 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): d 8.72 (1H, s), 7.37-7.29 (3H, m), 7.19-7.14 (2H, m), 5.46 (2H, s), 2.89 (2H, q, J = 7.7 Hz), 1.38 (3H, t, J = 7.7 Hz). MS (NH_3-CI): *m/e* 276 (6), 275 (36), 274 (20), 273 (100).

Part D. A solution of zinc chloride (5.32 g, 39.1 mmol) in anhydrous, freshly-distilled tetrahydrofuran (50 mL) was treated at ambient temperature with a solution of mesitylmagnesium bromide (39.1 mL, 1.0 M, 39.1 mmol) in diethyl ether. After 45 minutes, a separate flask containing a solution of bis(triphenylphosphine)-palladium dichloride (0.92 g, 1.3 mmol) in tetrahydrofuran (30 mL) was treated with a solution of diisobutylaluminum hydride (2.6 mL, 1.0 M, 2.6 mmol) in hexane. This mixture was allowed to stir for 15 minutes, then treated with the mesitylzinc chloride solution dropwise by cannula. Then, the chloropurine compound in 10 mL tetrahydrofuran solution was added by syringe, and the mixture was allowed to stir for 12 hours at ambient temperature. It was poured into water (150 mL), and acidified with dropwise addition of 1 N aqueous hydrochloric acid until the mixture is homogeneous. This is extracted with ethyl acetate (2 x 150 mL), and the extracts were washed in sequence with saturated brine solution (100 mL), combined, dried over anhydrous sodium

sulfate, filtered and evaporated. The residue was separated by column chromatography (silica gel, 30:70 ethyl acetate-hexane) to afford the product, 9-benzyl-8-ethyl-6-(2,4,6-trimethylphenyl)purine (6.68 g, 18.7 mmol, 72%), as an off-white waxy solid, m.p. 121-122 °C. ^1H NMR (300 MHz, $CDCl_3$): d 9.00 (1H, s), 7.38-7.31 (3H, m), 7.23-7.21 (2H, m), 6.96 (2H, s), 5.50 (2H, s), 2.84 (2H, q, J = 7.6 Hz), 2.33 (3H, s), 2.06 (6H, s), 1.26 (3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* 359 (3), 358 (26), 357 (100).

Part E. A solution of the benzyl compound from Part D above (5.33 g, 14.95 mmol) in trifluoroacetic acid (320 mL) partitioned into four Parr bottles, and each was treated with 0.8 g 20% palladium hydroxide on carbon. The bottles were each subjected to hydrogenation (50 psi) in shaker apparati for 18 hours. The atmospheres were purged with nitrogen, and the solutions were combined, filtered through celite and evaporated. The residual material was separated by column chromatography (silica gel, 50:50 ethyl acetate-hexane) to afford the product, 8-ethyl-6-(2,4,6-trimethylphenyl)purine (3.75 g, 14.1 mmol, 94%), as a white crystalline solid, m.p. 215-217 °C. TLC R_F 0.17 (50:50 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): d 12.35 (1H, br s), 9.03 (1H, s), 6.96 (2H, s), 3.05 (2H, q, J = 7.7 Hz), 2.32 (3H, s), 2.05 (6H, s), 1.50 (3H, t, J = 7.7 Hz). MS (NH_3-CI): *m/e* 269 (2), 268 (19), 267 (100).

Part F. A solution of the purine compound from Part E above (200 mg, 0.75 mmol), 3-heptanol (0.13 mL, 0.90 mmol) and triphenylphosphine (0.24 g, 0.90 mmol) in freshly-distilled tetrahydrofuran (5 mL) was cooled to 0 °C, and treated with diethyl azodicarboxylate (0.14 mL, 0.90 mmol) dropwise by syringe. The mixture was allowed to stir for 12 hours, then evaporated. The residual material was separated by column chromatography (silica gel, 15:85 ethyl acetate-hexane) to afford the title product as a white solid (0.152 g, 0.42 mmol, 56%), m.p. 99-100 °C. TLC R_F 0.17 (10:90 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): d 8.91 (1H, s), 6.95 (2H, s),

4.22 (1H, br), 2.92 (2H, q, J = 7.7 Hz), 2.41 (2H, br), 2.32 (3H, s), 2.10-1.98 (2H, m), 2.05 (3H, s), 2.04 (3H, s), 1.37 (3H, t, J = 7.5 Hz), 1.34-1.23 (4H, m), 0.84 (3H, t, J = 7.1 Hz), 0.81 (3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* 367 (3), 366 (27), 365 (100).

Example 27

Preparation of 9-Butyl-8-ethyl-6-(2,4,6-trimethylphenyl)purine

A solution of 8-ethyl-6-(2,4,6-trimethylphenyl)purine (200 mg, 0.75 mmol) in anhydrous dimethylfomamide (5 mL) was cooled to 0 °C, and treated with sodium hydride dispersion in mineral oil (72 mg 50% w/w, 1.50 mmol). After 1 hour, bromobutane (0.10 mL, 0.90 mmol) was added by syringe, and the mixture was allowed to stir for 12 hours. It was poured into ethyl acetate (120 mL), and was washed with water (3 x 120 mL) and brine (100 mL). The aqueous layers were back-extracted in sequence with ethyl acetate (120 mL), and the extracts were combined, dried over anhydrous sodium sulfate, filtered and evaporated. The residue was separated by column chromatography (silica gel, 20:80 ethyl acetate-hexane) to afford the title product as a viscous oil (64.2 mg, 0.20 mmol, 27%). TLC R_F 0.20 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): d 8.96 (1H, s), 6.95 (2H, s), 4.25 (2H, t, J = 7.5 Hz), 2.93 (2H, q, J = 7.7 Hz), 2.32 (3H, s), 2.04 (6H, s), 1.91-1.86 (2H, m), 1.50-1.38 (2H, m), 1.39 (3H, t, J = 7.7 Hz), 1.01 (3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* 325 (3), 324 (23), 323 (100).

Example 35

Preparation of 6-(2,4-Dichlorophenyl)-8-ethyl-9-(1-ethylpentyl)purine

A solution of 2,4-dichlorobenzeneboronic acid (572 mg, 3.00 mmol) and ethylene glycol (205 mg, 3.30 mmol) in benzene (20 mL) was heated to reflux with azeotropic removal of water for a period of 8 h. The resulting solution was cooled, and treated with 6-chloro-8-ethyl-9-(1-ethylpentyl)purine (see Example 2, Part C above; 562 mg, 2.00 mmol), thallium

carbonate (1.03 g, 2.20 mmol) and tetrakis(triphenylphosphine)palladium (116 mg, 0.10 mmol). The resulting mixture was heated to reflux with stirring for 12 h, then cooled, filtered through celite and evaporated. The resulting residue was separated by column chromatography (silica gel, 10:90 ethyl acetate-hexane) to afford the title compound as a viscous oil (530 mg, 1.35 mmol, 68%). TLC R_F 0.31 (20:80 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): d 8.94 (1H, s), 7.71 (1H, d, J = 8.4 Hz), 7.58 (1H, d, J = 1.8 Hz), 7.41 (1H, dd, J = 8.4, 1.8 Hz), 4.27 (1H, br), 2.95 (2H, q, J = 7.3 Hz), 2.41 (2H, br), 2.11-1.98 (2H, br), 1.42 (3H, t, J = 7.3 Hz), 1.37-1.20 (3H, m), 1.09-0.99 (1H, m), 0.84 (3H, t, J = 7.7 Hz), 0.82 (3H, t, J = 7.7 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{20}H_{25}N_4Cl_2$: 391.1456, found 391.1458; 395 (11), 394 (14), 393 (71), 392 (29), 391 (100).

Example 38

Preparation of 3-(1-cyclopropylpropyl)-7-(2,4-dichlorophenyl)-2-ethyl-3H-imidazo[4,5-b]pyridine

Part A. 2,4-Dihydroxypyridine (15.0 g, 135 mmol) was heated in HNO_3 (85 mL) at 80 °C for 15-20 min at which time it went into solution. The temperature was maintained for 5 min and after cooling it was poured into ice/water (~200 mL). The precipitated solid was collected and dried (19.0 g, 90% yield). ^{1}H NMR(300 MHz, dmso d6): 12.3-12.5 (1H, brs), 11.75-11.95 (1H, brs), 7.41 (1H, d J = 7.3 Hz), 5.99 (1H, d J = 7.3 Hz).

Part B. 4-Hydroxy-3-nitropyridone (8.0 g, 51.25 mmol) and cycloheptyl amine (6.8 mL, 53.4 mmol) were heated at reflux in methanol (100 mL) for 15 min. The solvent was stripped off and the residual solid was washed with 1:1 EWtOAc/hexanes and dried under vacuum. The cycloheptyl amine salt was stirred in $POCl_3$ (60 mL) for 40 h and poured into ice/water (~600 mL). The precipitated producd was collected and dried under vacuum

(7.0 g, 78% yield). ^1H NMR(300 MHz, dmso d6): 12.8-13.05 (1H, brs), 7.73 (1h, d J = 7.0 Hz), 6.50 (1H, d J = 7.0 Hz).

Part C. 4-Chloro-3-nitro-pyridone (0.5 g, 2.86 mmol) Ag_2CO_3 (0.83 g, 3 mmol) and benzyl bromide (0.36 mL, 3 mmol) were stirred in dry benzene (20 mL) at 60 °C for 5 h. The reaction mixture was filtered and stripped in vacuo. The residue was chromatographed on silica gel (10% EtOAc/hexanes eluent) to give the product (0.6 g, 79%). ^1H NMR(300 MHz, $CDCl_3$): 8.15 (1 H, d J = 4.0 Hz), 7.30-7.42 (5 H, m), 7.04 (1H, d J = 4.0 Hz), 5.50 (2H, s).

Part D. 2-Benzyloxy-4-chloro-3-nitropyridine (0.5 g, 1.9 mmol), 2,4-dichlorophenylboronic acid (0.363 g, 1.9 mmol) $Pd(PPh_3)_2Cl_2$ (76 mg, 0.11 mmol) and $Ba(OH)_2.8H_2O$ (0.6 g, 1.9 mmol) were heated at reflux in 1,2-dimethoxyethane (6 mL), and water (6 mL) for 5 h. The mixture was partitioned beteween EtOAc (100 mL) and water (30 mL) and the EtOAc was washed with water, brine, dried and stripped in vacuo. The residue was chromatographed on silica gel (10% EtOAc/hexanes eluent) to give the product (370 mg, 52% yield). ^1H NMR(300 MHz, $CDCl_3$): 8.31 (1H, d J = 5.1 Hz), 7.51 (1H, d J = 2.2 Hz), 7.30-7.43 (6 H, m), 7.20 (1H, d J = 8.0 Hz), 6.91 (1H, d J = 5.1 Hz), 5.56 (2h, s).

Part E. 2-Benzyloxy-4-(2,4-dichlorophenyl)-3-nitropyridine (1.65 g, 4.39 mmol) was stirred in CF_3CO_2H (5 mL) at 25 °C for 4 h. The CF_3CO_2H was stripped in vacuo and the residue was washed with 20% EtOAc/hexanes and used in the next reaction. ^1H NMR(300 MHz, $CDCl_3$): 7.62 (1H, d J = 7.0 Hz), 7.53 (1H, d J = 2.2 Hz), 7.34 (1H, dd J = 7.0, 2.2 Hz), 7.22 (1H, d J = 8.1 Hz), 6.33 (1H, d J = 7.0 Hz).

Part F. 4-(2,4-dichlorophenyl)-3-nitropyridone (4.39 mmol) was heated at reflux in $POCl_3$ (5 mL) for 5 h. After cooling it was poured into ice/water (~60 mL) and extracted with EtOAc (2x100 mL). The EtOAc was washed with with $satNaHCO_3$, brine, dried and stripped in vacuo. Used in the next reaction without

further purification. ^1H NMR(300 MHz, $CDCl_3$):8.60 (1H, d J = 5.2 Hz), 7.54 (1H, d, J = 2.2 Hz), 7.36 (1H, dd J = 8.1, 2.2 Hz), 7.20 (1H, d J = 8.1 Hz).

Part G. 2-Chloro-4-(2,4-dichlorophenyl)-3-nitropyridine (0.5 g, 1.65 mmol) 1-cyclopropylpropylamine hydrochloride (461 mg, 3.4 mmol) and diisopropyl ethylamine (1.26 mL, 0.72 mmol) were heated at reflux in CH_3CN (10 mL) for 64 h. The mixture was partitioned between EtOAc (70 mL) and water (40 mL). The aqueous layer was extracted with EtOAc (50 mL) and the combined EtOAc exctracts washed with brine, dried and stripped in vacuo. The residue was chromatographed on silica gel (10% EtOAc/hexanes eluent) to give the product (310 mg, 51% yield). ^1H NMR(300 MHz, $CDCl_3$): 8.29 (1H, d J = 4.7 Hz), 7.76 (1H, brd J = 8.0 Hz), 7.46 (1H, d J = 2.2 Hz), 7.32 (1H, dd J = 8.5, 2.2 Hz), 7.15 (1H, d J = 8.5 Hz), 3.72-3.85 (1H, m), 1.70-1.80 (2H, m), 0.90-1.08 (4H, m), 0.30-0.66 (4H, m).

Part H. 2-(1-cyclopropyl)propylamino-4-(2,4-dichlorophenyl)-3-nitropyridine (310 mg, 0.85 mmol) was dissolved in dioxane (8 mL) and water (8 mL) containing concNH_4OH (0.3 mL) was added, followed by $Na_2S_2O_4$ (1.1 g, 6.86 mmol). The reaction was stirred at 25 °C for 4 h and extracted with EtOAc (100 mL). The EtOAc was washed with brine, dried and stripped in vacuo. The residue was chromatographed on silica gel (25% EtOAc/hexanes and ~1% conc NH_4OH eluent) to give the product (150 mg, 53% yield). ^1H NMR(300 MHz, $CDCl_3$): 7.73 (1H, d J = 5.5 Hz), 7.53 (1H, d J = 1.8 Hz), 7.35 (1H, dd J = 8.1, 1.8 Hz), 7.24 (1H, d J = 8.1 Hz), 6.35 (1H, d J = 5.5 Hz), 4.3 (1H, brs), 3.5 (1H, brs), 3.42-3.55 (1H, m), 3.04 (2H, brs), 1.70-1.81 (2H, m), 0.88-1.08 (4H, m), 0.3-0.6 (4H, m).

Part I. 3-amino-2-(1-cyclopropyl)propylamino-4-(2,4-dichlorophenyl)-pyridine (140 mg, 0.42 mmol) was heated at reflux in propionic acid (5 mL) for 23 h. Then the mixture was diluted with water (50 mL),neutralized with solid NaHCO3 and basified with 50%NaOH. Then it was extracted with EtOAc (80 mL) and the EtOAc was dried and stripped in vacuo. The

residue was chromatographed on silica gel (10% and 20%EtOAc/hexanes eluant) to give the product, which was crystallized from hexanes (70 mg, 45% yield) mp 118-119 °C. ^1H NMR(300 MHz, $CDCl_3$): 8.31 (1H, d J = 4.7 Hz), 7.62 (1H, d J = 7.2 Hz), 7.55 (1H, d J = 1.8 Hz), 7.37 (1H, dd J = 7.2, 1.8 Hz), 7.23 (1H, d J = 4.7 Hz), 3.50-3.70 (1H, brs), 2.87-2.96 (2H, q), 2.36-2.56(1H, m), 2.18-2.35 (1H, m), 1.90-2.05 (1H, m), 1.38 (3H, t), 0.86 (3H, t), 0.75-0.84 (1H, m), 0.40-0.54 (1H, m), 0.15-0.25 (1H, m).

Example 38A

Preparation of 1-(1-cyclopropylpropyl)-4-(2,4-dichlorophenyl)-2-ethyl-1H-imidazo[4,5-c]pyridine

Part A. A mixture of 4-chloro-3-nitro-2-pyridone (2.0 g, 11.4 mmol), 1-cyclopropylpropyl amine hydrochloride (1.5 g, 11.4 mmol) and N,N-diisopropylethylamine (4.8 ml, 27.4 mmol) in CH_3CN (50 ml) were stirred at 25 oC for 16 h and at reflux for 4h. After cooling it was stripped in vacuo, and the residue was partitioned between EtOAc (100 mL) and H2O (50 mL). The insolubles were separated, washed with H_2O and EtOAc and vacuum dried 1.51 g. The filtrate layers were separated and the aqueous layer was extracted with EtOAc (2x50 mL). The Combined extracts were washed with brine, dried over MgSO4, filtered and concd. in vacuo. The residue was washed with EtOAc (2x) and vacuum dried, to give 0.69 g, yellow solid. Combined wt. of 4-(1-cyclopropylpropyl)amino-3-nitro-2-pyridone 2.20 g, 81% yield. ^1H NMR(300 MHz, dmso d6): 11.19 (1H, br), 8.94 (1H, d J = 8.8 Hz), 7.33 (1H, t J = 6.9 Hz), 6.03 (1H, d J = 7.7 Hz), 3.18-3.24 (1H, m), 1.60-1.74 (2H, m), 1.03-1.11(1H, m), 0.91 (3H, t), 0.40-0.60 (1H, m), 0.20-0.39 (1H, m).

Part B. 4-(1-Cyclopropyl)propylamino-3-nitro-2-pyridone (2.20 g, 9.27 mmol) was stirring in $POCl_3$ (15 mL) at 25 °C for 16 h. Then it was poured into ice/water (220 mL) and stirred until all the $POCl_3$ had reacted. The mixture was neutralized

with solid $NaHCO_3$, filtered and extracted with EtOAc (3x60 mL). The combined organic extracts were washed with brine, dried over $MgSO_4$, filtered and stripped in vacuo. The crude oil was chromatographed on silica gel (100 g.) and eluted with a gradient from 10-20% EtOAc/hexane to afford 1.91 g 2-chloro-4-(1-cyclopropylpropyl)amino-3-nitropyridine, 81% yield. ^1H NMR(300 MHz, $CDCl_3$): 7.96 (1H, d J = 6.3 Hz), 6.58 (1H, d J = 6.3 Hz), 6.52 (1H, brd J = 5.5 Hz), 2.90-3.00 (1H, m), 1.61-1.82 (2H, m), 1.01 (3H, t J = 7.7 Hz), 0.90-1.02 (1H, m), 0.51-0.70 (2H, m), 0.21-0.34 (2H, m).

Part C. In a dried flask, under N_2, a mixture of 2-chloro-4-(1-cyclopropyl)propylamino-3-nitropyridine (730 mg, 2.85 mmol), 2,4-dichlorophenylboronic acid (544 mg, 2.85 mmol), dichlorobis(triphenylphosphine) palladium (III) (114 mg, 0.17 mmol) and barium hydroxide octahydrate (899 mg, 2.85 mmol) was heated at reflux in dimethoxyethane (8.6 mL) and H_2O (8.6 mL for 1.5 h. After cooling it was partitioned between EtOAc (100 mL) and water (20 mL) and filtered through celite. The aqueous layer was extracted with EtOAc (2x50 mL). The combined organics were washed with brine, dried over $MgSO_4$, filtered and stripped in vacuo. The residue was chromatographed on silica gel (40 gm), and eluted with 30% EtOAc/hexane to afford a yellow oil, 1.00 g, 90% yield. ^1H NMR(300 MHz, $CDCl_3$): 8.24 (1H, d J = 6.2 Hz), 7.87 (1H, brd J = 7.3 Hz), 7.43 (1H, s), 7.34 (2H, s), 6.71 (1H, d J = 6.2 Hz), 3.00-3.10 (1H, m), 1.70-1.85 (2H, m), 0.95-1.15 (4H, m), 0.50-0.71 (2H, m), 0.25-0.40 (2H, m).

Part D. The product from Part C (0.94 g, 2.57 mmol), by dissolving in dioxane (26 ml), H_2O (26 ml) and conc. NH_4OH (1.0 ml) while adding $Na_2S_2O_4$ and stirring at room temperature for 2 hrs. Added CH_2Cl_2 and extracted. Extracted the aqueous layer with CH_2Cl_2 (2x). Combined the organics and washed with brine, dried over MgSO4, filtered and concd. in vacuo to give a yellow solid, 1.01 g. It was carried over to the next reaction without purification.

Part E. The amine from Part D (1.01 g, 3.00 mmol) was cyclized by refluxing with propionic acid (27 ml, 365.45 mmol) for 8 hrs.. Allowed to cool to RT. then basified with 1M NaOH and 50% NaOH. Extracted with EtOAc (2x60 mL) and CH_2Cl_2(60 mL). Combined the organics and washed with H_2O, brine, dried over $MgSO_4$, filtered and concd. in vacuo. The crude oil was chromatographed on silica gel (40 g.) and eluted with 30% EtOAc/hexane to obtain a pale yellow solid (triturated from hexane), 520 mg, 46% yield. ^1H NMR(300 MHz, $CDCl_3$): 8.43 (1H, d J = 5.8 Hz), 7.63 (1H, d J = 8.1 Hz), 7.55 (1H, d J = 1.8 Hz), 7.46 (1H, d J = 5.8 Hz), 7.36 (1H, dd J = 8.1 , 1.8 Hz), 3.40-3.50 (1H, m), 2.80-2.90 (2H, q J = 7.7 Hz), 2.10-2.30 (2H, m), 1.50-1.64 (1H, m), 1.37 (3H, t J = 7.3 Hz), 0.87 (3H, t J = 7.3 Hz), 0.81-0.91 (1H, m), 0.48-0.58 (2H, m), 0.18-0.26 (1H, m). Elemental analysis calcd for $C_{20}H_{21}N_3Cl_2$: C, 64.18; H, 5.665; N, 11.23; found: C, 64.37; H, 5.66; N, 11.15.

Example 831

Preparation of 6-(2-Chloro-4-methoxyphenyl)-9-dicyclopropylmethyl-8-ethylpurine

Part A. A solution of dicyclopropyl ketone (50 g) in absolute methanol (150 mL) in an autoclave vessel was charged with W4 Raney nickel (12 g, washed free of water and in methanol slurry) and then anhydrous ammonia (17 g). The mixture was subjected to 120 atm of hydrogen at 150-160 °C for 5 hours, then cooled and excess gasses purged. The resulting slurry was filtered through celite, and the filtrate was distilled to about one-third the original volume (atmospheric pressure, Vigreaux column). The pot solution was cooled to 0 °C, diluted with 3 volumes diethyl ether, and treated with 4 N hydrochloric acid solution in anhydrous dioxane until precipitate formation ceased. The solid product (dicyclopropylmethylamine hydrochloride) was collected by filtration, washed with excess diethyl ether, and dried under vacuum (45.22 g, 306 mmol, 67%). ^1H NMR (300 MHz, methanol-d_4):

d 1.94 (1H, t, J = 9.3 Hz), 1.11-0.99 (2H, m), 0.75-0.59 (4H, m), 0.48-0.37 (4H, m). MS (NH_3-DCI): *m/e* 114 (5), 113 (100).

Part B. A solution of 5-amino-4,6-dichloropyrimidine (5.00 g, 30.5 mmol) and diisopropylethylamine (12.0 mL, 68.9 mmol) in ethanol (100 mL) was treated with the amine from Part A (3.81 g, 25.8 mmol), and heated to reflux for 72 h. The resulting mixture was cooled and poured into water (300 mL), which was extracted with ethyl acetate (2 x 300 mL). The extracts were washed with brine, combined, dried over sodium sulfate, filtered and evaporated. The residual oil was separated by column chromatography (30:70 ethyl acetate-hexane), and the desired product, 5-amino-4-chloro-6-dicyclopropylmethylaminopyrimidine, was triturated with warm ether-hexane, collected by filtration, and dried under vacuum (3.15 g, 13.2 mmol, 43%). m.p. 137-138 °C. TLC R_F 0.17 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): d 8.01 (1H, s), 4.95 (1H, br d, J = 7.3 Hz), 3.45 (1H, q, J = 7.0 Hz), 3.37 (2H, br s), 1.06-0.94 (2H, m), 0.59-0.32 (8H, m). MS (NH_3-CI): *m/e* 243 (1), 242 (5), 241 (36), 240 (16), 239 (100).

Part C. A solution of the diamine from Part B (1.80 g, 7.54 mmol) and 1 drop concentrated hydrochloric acid in triethyl orthopropionate (12 mL) was heated to 100 °C for 6 hours. The excess orthoester was removed by distillation (partial vacuum, short-path), and the pot residue solidified to give the product, N-(4-chloro-6-dicyclopropylmethylaminopyrimidin-5-yl)-*O*-ethyl-propionimidate. ^1H NMR (300 MHz, $CDCl_3$): d 8.08 (1H, s), 4.84 (1H, br d, J = 8.0 Hz), 4.35 (2H, br), 3.45 (1H, q, J = 7.7 Hz), 2.14 (2H, q, J = 7.3 Hz), 1.41 (3H, t, J = 7.1 Hz), 1.08 (3H, t, J = 7.7 Hz), 1.03-0.93 (2H, m), 0.58-0.27 (8H, m). MS (NH_3-CI): *m/e* 327 (1), 326 (7), 325 (36), 324 (21), 323 (100).

Part D. A solution of the imidate compound prepared in Part C above and p-toluenesulfonic acid monohydrate (50 mg) in diphenyl ether (10 mL) was heated to 170 °C for 2 hours. The resulting mixture was cooled and separated by column

chromatography (silica gel, hexane to remove diphenyl ether, then 30:70 ethyl acetate-hexane) to afford the product, 6-chloro-9-dicyclopropylmethyl-8-ethylpurine, as an solid (1.42 g, 5.13 mmol, 68% for both steps C and D). m.p. 99-100 °C. TLC R_F 0.26 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): d 8.63 (1H, s), 2.99 (2H, br), 1.92 (1H, br), 1.50 (3H, t, J = 7.3 Hz), 0.87-0.78 (2H, m), 0.50-0.39 (4H, m), 0.20-0.10 (4H, m). MS (NH_3-CI): *m/e* 280 (6), 279 (36), 278 (19), 277 (100).

Part E. A solution of 4-amino-3-chlorophenol hydrochloride (18.6 g, 103 mmol) and sodium acetate (18.6 g, 227 mmol) in glacial acetic acid (200 mL) was heated to gentle reflux for 12 hours, then cooled and poured into 4 volumes water. This was neutralized with portionwise addition of sodium bicarbonate, and the resulting mixture was extracted with ethyl acetate (2 x 500 mL). The extracts were washed with brine, combined, dried over magnesium sulfate, filtered and evaporated. The resulting solid was triturated with warm ether; filtration and vacuum drying gave 4-acetamido-3-chlorophenol (16.1 g, 86.7 mmol, 84%). m.p. 128-129 °C. TLC R_F 0.14 (50:50 ethyl acetate-hexane). ^{1}H NMR (300 MHz, 4:1 $CDCl_3 \cdot CD_3OD$): d 7.66 (1H, d, J = 8.8 Hz), 6.88 (1H, d, J = 1.7 Hz), 6.74 (1H, dd, J = 8.8, 1.7 Hz), 2.19 (3H, s). MS (H_2O-GC/MS): *m/e* 186 (100).

Part F. A solution of the phenol of Part E (14.6 g, 78.8 mmol), methyl iodide (10.0 mL, 160 mmol), and sodium carbonate (10.0 g, 94.3 mmol) in acetonitrile (200 mL) was heated to reflux for 48 hours, the cooled and poured into water (800 mL). This was extracted with ethyl acetate (2 x 800 mL), and the extracts were washed with brine, combined, dried over magnesium sulfate, filtered and evaporated. The resulting solid was recrystallized from ether-ethyl acetate to afford pure product, 2-chloro-4-methoxyacetanilide (13.2 g, 66.3 mmol, 84%), m. p. 118-119 °C (ether-ethyl acetate). TLC R_F 0.30 (50:50 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): d 8.15 (1H, d, J = 9.2 Hz), 7.39 (1H, br s), 6.92 (1H, d, J = 3.0 Hz), 6.82 (1H, dd, J = 9.2, 3.0 Hz), 3.78 (3H, s), 2.22

(3H, s). MS (NH_3-CI): *m/e* 219 (19), 217 (60), 202 (40), 201 (14), 200 (100).

Part G. A solution of the amide from Part F (10.1 g, 50.7 mmol) and sodium hydroxide (10 mL, 5 N, 50 mmol) in 95% ethanol (200 mL) was heated to 50 °C for 24 hours. Then, an additional 5 mL sodium hydroxide solution was added, and the mixture was heated to full reflux for an additional 48 hours. The solution was cooled and evaporated, and the residual material was partitioned between ether and water. The aqueous phase was extracted a second time with ether, and the extracts were washed with brine, combined, dried over sodium sulfate, filtered and evaporated. The resulting product, 2-chloro-4-methoxyaniline, was purified by elution through a short column of silica gel with 30:70 ethyl acetate-hexane, and the eluant was evaporated (7.98 g, 100%).

Part H. A solution of the aniline from Part G (7.98 g, 50 mmol) in conc. HCl (25 mL) was cooled to -5 °C, and treated dropwise with a concentrated aqueous solution of sodium nitrite (3.80 g, 55.1 mmol). After 30 minutes, the mixture was charged with 15 mL cyclohexane and 15 mL dichloromethane, then treated dropwise with a concentrated aqueous solution of potassium iodide (16.6 g, 100 mmol). This mixture was allowed to stir for 4 hours, then was extracted with dichloromethane (2 x 100 mL). The extracts were washed in sequence with 1 N aqueous sodium bisulfite (100 mL) and brine (60 mL), then combined, dried over magnesium sulfate, filtered and evaporated to afford sufficiently pure product, 3-chloro-4-iodoanisole (7.00 g, 26.1 mmol, 52%). TLC R_F 0.39 (5:95 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): d 7.69 (1H, d, J = 8.8 Hz), 7.03 (1H, d, J = 3.0 Hz), 6.57 (1H, dd, J = 8.8, 3.0 Hz), 3.78 (3H, s). MS (H_2O-GC/MS): *m/e* 269 (100).

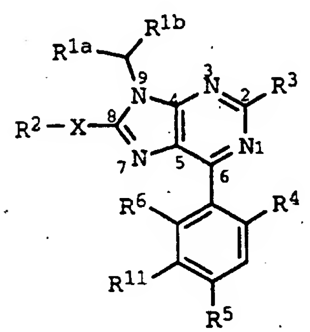
Part I. A solution of the iodide compound from Part H (7.00 g, 26.1 mmol) in anhydrous tetrahydrofuran (50 mL) was cooled to -90 °C, and treated with a hexane solution of n-butyllithium (16.5 mL, 1.6 M, 26.4 mmol). After 15 minutes, the solution

was treated with triisopropylborate (6.10 mL, 26.4 mmol) and was allowed to warm to ambient temperature over 6 hours. The resulting mixture was treated with 6 N aqueous HCl (5 mL) and water (5 mL), which was stirred for 1 hour, then poured into water (100 mL) and extracted with ethyl acetate (2 x 100 mL). The extracts were washed in sequence with 1 N aqueous sodium bisulfite and brine (80 mL each), combined, dried over sodium sulfate, filtered and evaporated. The residual solid was triturated with 1:1 ether-hexane, collected by filtration and dried under vacuum to afford pure product, 2-chloro-4-methoxybenzeneboronic acid (3.05 g, 16.4 mmol, 63%). m.p. 191-195 °C.

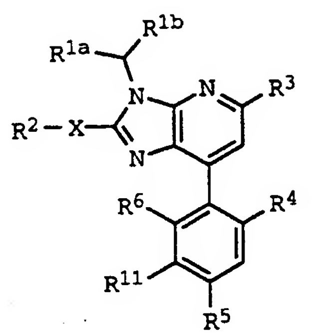
Part J. A solution of the chloride from Part D (770 mg, 2.78 mmol), the boronic acid from Part I (770 mg, 4.13 mmol), 2 N aqueous sodium carbonate solution (4 mL, 8 mmol) and triphenylphosphine (164 mg, 0.625 mmol) in DME (20 mL) was degassed by repeated cycles of brief vacuum pumping followed by nitrogen purging. To this was added palladium (II) acetate (35 mg, 0.156 mmol), and the mixture was degassed again and then heated to reflux for 14 hours. It was cooled, and poured into water (100 mL). This mixture was extracted with ethyl acetate (2 x 100 mL), and the extracts were washed in sequence with brine (60 mL), combined, dried over sodium sulfate, filtered and evaporated. The residual material was separated by column chromatography (silica gel, 15:85 ethyl acetate-hexane) to afford the title product as a solid. This was recrystallized to purity from hexane (791 mg, 2.07 mmol, 74%). m.p. 139-140 °C (hexane). TLC R_F 0.18 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): d 8.93 (1H, s), 7.74 (1H, d, J = 8.4, Hz), 7.10 (1H, d, J = 2.6 Hz), 6.96 (1H, dd, J = 8.4, 2.6 Hz), 4.20 (1H, v br), 3.87 (3H, s), 2.97 (2H, v br), 2.00 (2H, v br), 1.44 (3H, br t, J = 7 Hz), 0.89-0.79 (2H, m), 0.62-0.52 (2H, m), 0.51-0.40 (2H, m), 0.26-0.16 (2H, m). MS (NH_3-CI): *m/e* 387 (1), 386 (9), 385 (41), 384 (30), 383 (100). Analysis calc'd for $C_{21}H_{23}ClN_4O$: C, 65.87; H, 6.05; N, 14.63; found: C, 65.77; H, 6.03; N, 14.57.

In Table 1, Table 1A and Table 1B, melting point data correspond to compounds of Structure A unless otherwise indicated.

TABLE 1



(A)



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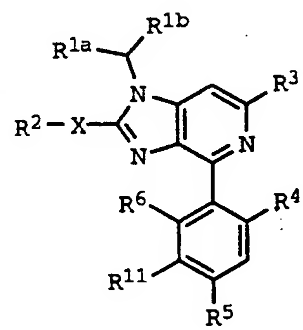


(C)

Ex. No.	R^2	X	R^3	R^4	R^5	R^{11}	R^6	R^{1a}	R^{1b}	mp, °C [a]
1	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	C_2H_5	128-129
2	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	C_4H_9	99-100
3	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	CH_2OCH_3	oil
4	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	C_6H_5	-
5	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	$c-C_3H_5$	143-145
6	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	C_6H_{13}	-
7	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	C_3H_7	68-71
8	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2OCH_3$	oil
9	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2OH$	196-197
10	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2$-(Q1) [b]	oil
11	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2$-(Q2) [b]	oil
12	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	$CH_2N(CH_3)_2$	-
13	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	$c-C_3H_5$	C_4H_9	120-121
14	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	$c-C_3H_5$	$(CH_2)_2OH$	209-210
15	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	$c-C_3H_5$	H	140-150
16	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	$c-C_3H_5$	$c-C_3H_5$	186-187
17	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	H	C_6H_5	121-122

18	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	H	3-(CH_3O)-C_6H_4	oil
19	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	H	2-Br-C_6H_4	84-85
20	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	H	4-CH_3-C_6H_4	48-50
21	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	H	4-C_6H_5-C_6H_4	-
22	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	H	2-(C_4H_9)-C_6H_4	-
23	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	H	3-(C_4H_9)-C_5H_{10}	-
24	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	H	$(CH_2)_2OCH_3$	-
25	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	H	CH_2OCH_3	-
26	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	H	C_2H_5	120-123
27	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	H	C_3H_7	oil
28	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	H	C_4H_9	oil
29	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	CH_2OCH_3	CH_2OCH_3	-
30	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	OC_2H_5	91-93
31	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	H	$(CH_3)_2CH$	120-121
32	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	H	$O(CH_2)_2$-OCH_3	-
33	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	CH_2OCH_3	C_6H_5	-
34	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	C_2H_5	oil
35	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	C_4H_9	oil
36	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	CH_2OCH_3	-
37	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	C_6H_5	-
38	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	c-C_3H_5	oil (A) 118-119 (B) 125-126 (C)
39	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	C_6H_{13}	-
40	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	C_3H_7	oil
41	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	$(CH_2)_2OCH_3$	-
42	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_4	CH_2CN	-
43	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	$(CH_2)_2$-(Q1) [b]	-
44	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	$(CH_2)_2$-(Q2) [c]	-
45	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	$CH_2N(CH_3)_2$	-
46	CH_3	CH_2	H	Cl	Cl	H	H	c-C_3H_5	C_4H_9	-
47	CH_3	CH_2	H	Cl	Cl	H	H	c-C_3H_5	CH_2OCH_3	-
48	CH_3	CH_2	H	Cl	Cl	H	H	c-C_3H_5	C_6H_5	oil
49	CH_3	CH_2	H	Cl	Cl	H	H	c-C_3H_5	c-C_3H_5	156-157
50	CH_3	CH_2	H	Cl	Cl	H	H	H	C_6H_5	oil
51	CH_3	CH_2	H	Cl	Cl	H	H	H	3-(CH_3O)-C_6H_4	oil
52	CH_3	CH_2	H	Cl	Cl	H	H	H	2-Br-C_6H_4	-

53	CH_3	CH_2	H	Cl	Cl	H	H	H	4-CH_3-C_6H_4	114-115
54	CH_3	CH_2	H	Cl	Cl	H	H	H	4-C_6H_5-C_6H_4	oil
55	CH_3	CH_2	H	Cl	Cl	H	H	H	2-(C_4H_9)-C_4H_8	-
56	CH_3	CH_2	H	Cl	Cl	H	H	H	3-(C_4H_9)-C_5H_{10}	-
57	CH_3	CH_2	H	Cl	Cl	H	H	H	$(CH_2)_2OCH_3$	-
58	CH_3	CH_2	H	Cl	Cl	H	H	H	CH_2OCH_3	-
59	CH_3	CH_2	H	Cl	Cl	H	H	H	C_2H_5	-
60	CH_3	CH_2	H	Cl	Cl	H	H	H	C_3H_7	-
61	CH_3	CH_2	H	Cl	Cl	H	H	H	C_4H_9	-
62	CH_3	CH_2	H	Cl	Cl	H	H	CH_2OCH_3	CH_2OCH_3	-
63	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	OC_2H_5	-
64	CH_3	CH_2	H	Cl	Cl	H	H	H	OC_2H_5	-
65	CH_3	CH_2	H	Cl	Cl	H	H	H	$O(CH_2)_2$-OCH_3	-
66	CH_3	CH_2	H	Cl	Cl	H	H	CH_2OCH_3	C_6H_5	-
67	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_2H_5	-
68	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_4H_9	oil
69	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	CH_2OCH_3	-
70	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_6H_5	-
71	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	c-C_3H_5	-
72	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_6H_{13}	-
73	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_3H_7	-
74	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	$(CH_2)_2OCH_3$	-
75	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	CH_2CN	-
76	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	$(CH_2)_2$-(Q1) [b]	-
77	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	$(CH_2)_2$-(Q2) [c]	-
78	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	$CH_2N(CH_3)_2$	-
79	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	c-C_3H_5	C_4H_9	-
80	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	c-C_3H_5	CH_2OCH_3	-
81	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	c-C_3H_5	C_6H_5	-
82	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	c-C_3H_5	c-C_3H_5	167-169
83	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	H	C_6H_5	134-135
84	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	H	3-(CH_3O)-C_6H_4	-
85	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	H	2-Br-C_6H_4	-
86	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	H	4-CH_3-C_6H_4	-
87	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	H	4-C_6H_5-C_6H_4	-
88	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	H	2-(C_4H_9)-C_4H_8	-
89	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	H	3-(C_4H_9)-C_5H_{10}	-
90	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	H	$(CH_2)_2OCH_3$	-
91	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	H	CH_2OCH_3	-
92	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	H	C_2H_5	-

93	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	H	C_3H_7	-
94	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	H	C_4H_9	-
95	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	CH_2OCH_3	CH_2OCH_3	-
96	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	OC_2H_5	-
97	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	H	OC_2H_5	-
98	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	H	$O(CH_2)_2$-OCH_3	-
99	CH_3	CH_2	H	CH_3	OCH_3	H	CH_3	CH_2OCH_3	C_6H_5	-
100	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	H	CH_3	138-140
101	H	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	C_2H_5	198-199
102	H	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	C_4H_9	147-148
103	H	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	CH_2OCH_3	140-142
104	H	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	C_6H_5	-
105	H	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	c-C_3H_5	-
106	H	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	C_6H_{13}	-
107	H	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	C_3H_7	-
108	H	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2OCH_3$	-
109	H	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	CH_2CN	-
110	H	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2$-(Q1) [b]	-
111	H	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2$-(Q2) [c]	-
112	H	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	$CH_2N(CH_3)_2$	-
113	H	CH_2	H	CH_3	CH_3	H	CH_3	c-C_3H_5	C_4H_9	-
114	H	CH_2	H	CH_3	CH_3	H	CH_3	c-C_3H_5	CH_2OCH_3	-
115	H	CH_2	H	CH_3	CH_3	H	CH_3	c-C_3H_5	C_6H_5	-
116	H	CH_2	H	CH_3	CH_3	H	CH_3	c-C_3H_5	c-C_3H_5	-
117	H	CH_2	H	CH_3	CH_3	H	CH_3	H	C_6H_5	-
118	H	CH_2	H	CH_3	CH_3	H	CH_3	H	3-(CH_3O)-C_6H_4	-
119	H	CH_2	H	CH_3	CH_3	H	CH_3	H	2-Br-C_6H_4	-
120	H	CH_2	H	CH_3	CH_3	H	CH_3	H	4-CH_3-C_6H_4	-
121	H	CH_2	H	CH_3	CH_3	H	CH_3	H	4-C_6H_5-C_6H_4	-
122	H	CH_2	H	CH_3	CH_3	H	CH_3	H	3-C_7H_{15}	oil
123	H	CH_2	H	CH_3	CH_3	H	CH_3	H	2-(C_2H_5)-C_6H_{12}	oil
124	H	CH_2	H	CH_3	CH_3	H	CH_3	H	$(CH_2)_2OCH_3$	-
125	H	CH_2	H	CH_3	CH_3	H	CH_3	H	CH_2OCH_3	-
126	H	CH_2	H	CH_3	CH_3	H	CH_3	H	C_2H_5	-
127	H	CH_2	H	CH_3	CH_3	H	CH_3	H	C_3H_7	-
128	H	CH_2	H	CH_3	CH_3	H	CH_3	H	C_4H_9	-
129	H	CH_2	H	CH_3	CH_3	H	CH_3	CH_2OCH_3	CH_2OCH_3	-
130	H	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	OC_2H_5	-
131	H	CH_2	H	CH_3	CH_3	H	CH_3	H	OC_2H_5	-
132	H	CH_2	H	CH_3	CH_3	H	CH_3	H	$O(CH_2)_2$-OCH_3	-

133	H	CH_2	H	CH_3	CH_3	H	CH_3	CH_2OCH_3	C_6H_5	-
134	H	CH_2	H	Cl	Cl	H	H	C_2H_5	C_2H_5	-
135	H	CH_2	H	Cl	Cl	H	H	C_2H_5	C_4H_9	-
136	H	CH_2	H	Cl	Cl	H	H	C_2H_5	CH_2OCH_3	-
137	H	CH_2	H	Cl	Cl	H	H	C_2H_5	C_6H_5	-
138	H	CH_2	H	Cl	Cl	H	H	C_2H_5	c-C_3H_5	-
139	H	CH_2	H	Cl	Cl	H	H	C_2H_5	C_6H_{13}	-
140	H	CH_2	H	Cl	Cl	H	H	C_2H_5	C_3H_7	-
141	H	CH_2	H	Cl	Cl	H	H	C_2H_5	$(CH_2)_2OCH_3$	-
142	H	CH_2	H	Cl	Cl	H	H	C_2H_5	CH_2CN	-
143	H	CH_2	H	Cl	Cl	H	H	C_2H_5	$(CH_2)_2$-(Q1) [b]	-
144	H	CH_2	H	Cl	Cl	H	H	C_2H_5	$(CH_2)_2$-(Q2) [c]	-
145	H	CH_2	H	Cl	Cl	H	H	C_2H_5	$CH_2N(CH_3)_2$	-
146	H	CH_2	H	Cl	Cl	H	H	c-C_3H_5	C_4H_9	-
147	H	CH_2	H	Cl	Cl	H	H	c-C_3H_5	CH_2OCH_3	-
148	H	CH_2	H	Cl	Cl	H	H	c-C_3H_5	C_6H_5	-
149	H	CH_2	H	Cl	Cl	H	H	c-C_3H_5	c-C_3H_5	-
150	H	CH_2	H	Cl	Cl	H	H	H	C_6H_5	-
151	H	CH_2	H	Cl	Cl	H	H	H	3-(CH_3O)-C_6H_4	-
152	H	CH_2	H	Cl	Cl	H	H	H	2-Br-C_6H_4	-
153	H	CH_2	H	Cl	Cl	H	H	H	4-CH_3-C_6H_4	-
154	H	CH_2	H	Cl	Cl	H	H	H	4-C_6H_5-C_6H_4	-
155	H	CH_2	H	Cl	Cl	H	H	H	2-(C_4H_9)-C_4H_8	-
156	H	CH_2	H	Cl	Cl	H	H	H	3-(C_4H_9)-C_5H_{10}	-
157	H	CH_2	H	Cl	Cl	H	H	H	$(CH_2)_2OCH_3$	-
158	H	CH_2	H	Cl	Cl	H	H	H	CH_2OCH_3	-
159	H	CH_2	H	Cl	Cl	H	H	H	C_2H_5	-
160	H	CH_2	H	Cl	Cl	H	H	H	C_3H_7	-
161	H	CH_2	H	Cl	Cl	H	H	H	C_4H_9	-
162	H	CH_2	H	Cl	Cl	H	H	CH_2OCH_3	CH_2OCH_3	-
163	H	CH_2	H	Cl	Cl	H	H	C_2H_5	OC_2H_5	-
164	H	CH_2	H	Cl	Cl	H	H	H	OC_2H_5	-
165	H	CH_2	H	Cl	Cl	H	H	H	$O(CH_2)_2$-OCH_3	-
166	H	CH_2	H	Cl	Cl	H	H	CH_2OCH_3	C_6H_5	-
167	H	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_2H_5	-
168	H	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_4H_9	-
169	H	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	CH_2OCH_3	-
170	H	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_6H_5	-
171	H	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	c-C_3H_5	-
172	H	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_6H_{13}	-

173	H	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_3H_7	-
174	H	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	$(CH_2)_2OCH_3$	-
175	H	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	CH_2CN	-
176	H	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	$(CH_2)_2$-(Q1) [b]	-
177	H	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	$(CH_2)_2$-(Q2) [c]	-
178	H	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	$CH_2N(CH_3)_2$	-
179	H	CH_2	H	CH_3	OCH_3	H	CH_3	c-C_3H_5	C_4H_9	-
180	H	CH_2	H	CH_3	OCH_3	H	CH_3	c-C_3H_5	CH_2OCH_3	-
181	H	CH_2	H	CH_3	OCH_3	H	CH_3	c-C_3H_5	C_6H_5	-
182	H	CH_2	H	CH_3	OCH_3	H	CH_3	c-C_3H_5	c-C_3H_5	-
183	H	CH_2	H	CH_3	OCH_3	H	CH_3	H	C_6H_5	-
184	H	CH_2	H	CH_3	OCH_3	H	CH_3	H	3-(CH_3O)-C_6H_4	-
185	H	CH_2	H	CH_3	OCH_3	H	CH_3	H	2-Br-C_6H_4	-
186	H	CH_2	H	CH_3	OCH_3	H	CH_3	H	4-CH_3-C_6H_4	-
187	H	CH_2	H	CH_3	OCH_3	H	CH_3	H	4-C_6H_5-C_6H_4	-
188	H	CH_2	H	CH_3	OCH_3	H	CH_3	H	2-(C_4H_9)-C_4H_8	-
189	H	CH_2	H	CH_3	OCH_3	H	CH_3	H	3-(C_4H_9)-C_5H_{10}	-
190	H	CH_2	H	CH_3	OCH_3	H	CH_3	H	$(CH_2)_2OCH_3$	-
191	H	CH_2	H	CH_3	OCH_3	H	CH_3	H	CH_2OCH_3	-
192	H	CH_2	H	CH_3	OCH_3	H	CH_3	H	C_2H_5	-
193	H	CH_2	H	CH_3	OCH_3	H	CH_3	H	C_3H_7	-
194	H	CH_2	H	CH_3	OCH_3	H	CH_3	H	C_4H_9	-
195	H	CH_2	H	CH_3	OCH_3	H	CH_3	CH_2OCH_3	CH_2OCH_3	-
196	H	CH_2	H	CH_3	OCH_3	H	CH_3	C_2H_5	OC_2H_5	-
197	H	CH_2	H	CH_3	OCH_3	H	CH_3	H	OC_2H_5	-
198	H	CH_2	H	CH_3	OCH_3	H	CH_3	H	$O(CH_2)_2$-OCH_3	-
199	H	CH_2	H	CH_3	OCH_3	H	CH_3	CH_2OCH_3	C_6H_5	-
200	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	CH_3	C_2H_5	98-100
201	CH_3	O	H	CH_3	CH_3	H	CH_3	C_2H_5	C_2H_5	-
202	CH_3	O	H	CH_3	CH_3	H	CH_3	C_2H_5	C_4H_9	oil
203	CH_3	O	H	CH_3	CH_3	H	CH_3	C_2H_5	CH_2OCH_3	-
204	CH_3	O	H	CH_3	CH_3	H	CH_3	C_2H_5	C_6H_5	-
205	CH_3	O	H	CH_3	CH_3	H	CH_3	C_2H_5	c-C_3H_5	-
206	CH_3	O	H	CH_3	CH_3	H	CH_3	C_2H_5	C_6H_{13}	-
207	CH_3	O	H	CH_3	CH_3	H	CH_3	C_2H_5	C_3H_7	-
208	CH_3	O	H	CH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2OCH_3$	-
209	CH_3	O	H	CH_3	CH_3	H	CH_3	C_2H_5	CH_2CN	-
210	CH_3	O	H	CH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2$-(Q1) [b]	-
211	CH_3	O	H	CH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2$-(Q2) [c]	-
212	CH_3	O	H	CH_3	CH_3	H	CH_3	C_2H_5	$CH_2N(CH_3)_2$	-

213	CH_3	O	H	CH_3	CH_3	H	CH_3	c-C_3H_5	C_4H_9	-
214	CH_3	O	H	CH_3	CH_3	H	CH_3	c-C_3H_5	CH_2OCH_3	-
215	CH_3	O	H	CH_3	CH_3	H	CH_3	c-C_3H_5	C_6H_5	-
216	CH_3	O	H	CH_3	CH_3	H	CH_3	c-C_3H_5	c-C_3H_5	-
217	CH_3	O	H	CH_3	CH_3	H	CH_3	H	C_6H_5	-
218	CH_3	O	H	CH_3	CH_3	H	CH_3	H	3-(CH_3O)-C_6H_4	-
219	CH_3	O	H	CH_3	CH_3	H	CH_3	H	2-Br-C_6H_4	-
220	CH_3	O	H	CH_3	CH_3	H	CH_3	H	4-CH_3-C_6H_4	-
221	CH_3	O	H	CH_3	CH_3	H	CH_3	H	4-C_6H_5-C_6H_4	-
222	CH_3	O	H	CH_3	CH_3	H	CH_3	H	2-(C_4H_9)-C_4H_8	-
223	CH_3	O	H	CH_3	CH_3	H	CH_3	H	3-(C_4H_9)-C_5H_{10}	-
224	CH_3	O	H	CH_3	CH_3	H	CH_3	H	$(CH_2)_2OCH_3$	-
225	CH_3	O	H	CH_3	CH_3	H	CH_3	H	CH_2OCH_3	-
226	CH_3	O	H	CH_3	CH_3	H	CH_3	H	C_2H_5	-
227	CH_3	O	H	CH_3	CH_3	H	CH_3	H	C_3H_7	-
228	CH_3	O	H	CH_3	CH_3	H	CH_3	H	C_4H_9	-
229	CH_3	O	H	CH_3	CH_3	H	CH_3	CH_2OCH_3	CH_2OCH_3	-
230	CH_3	O	H	CH_3	CH_3	H	CH_3	C_2H_5	OC_2H_5	-
231	CH_3	O	H	CH_3	CH_3	H	CH_3	C_3H_7	OC_2H_5	-
232	CH_3	O	H	CH_3	CH_3	H	CH_3	H	$O(CH_2)_2$-OCH_3	-
233	CH_3	O	H	CH_3	CH_3	H	CH_3	CH_2OCH_3	C_6H_5	-
234	CH_3	O	H	Cl	Cl	H	H	C_2H_5	C_2H_5	-
235	CH_3	O	H	Cl	Cl	H	H	C_2H_5	C_4H_9	-
236	CH_3	O	H	Cl	Cl	H	H	C_2H_5	CH_2OCH_3	-
237	CH_3	O	H	Cl	Cl	H	H	C_2H_5	C_6H_5	-
238	CH_3	O	H	Cl	Cl	H	H	C_2H_5	c-C_3H_5	-
239	CH_3	O	H	Cl	Cl	H	H	C_2H_5	C_6H_{13}	-
240	CH_3	O	H	Cl	Cl	H	H	C_2H_5	C_3H_7	-
241	CH_3	O	H	Cl	Cl	H	H	C_2H_5	$(CH_2)_2OCH_3$	-
242	CH_3	O	H	Cl	Cl	H	H	C_2H_5	CH_2CN	-
243	CH_3	O	H	Cl	Cl	H	H	C_2H_5	$(CH_2)_2$-(Q1) [b]	-
244	CH_3	O	H	Cl	Cl	H	H	C_2H_5	$(CH_2)_2$-(Q2) [c]	-
245	CH_3	O	H	Cl	Cl	H	H	C_2H_5	$CH_2N(CH_3)_2$	-
246	CH_3	O	H	Cl	Cl	H	H	c-C_3H_5	C_4H_9	-
247	CH_3	O	H	Cl	Cl	H	H	c-C_3H_5	CH_2OCH_3	-
248	CH_3	O	H	Cl	Cl	H	H	c-C_3H_5	C_6H_5	-
249	CH_3	O	H	Cl	Cl	H	H	c-C_3H_5	c-C_3H_5	132-134
250	CH_3	O	H	Cl	Cl	H	H	H	C_6H_5	-
251	CH_3	O	H	Cl	Cl	H	H	H	3-(CH_3O)-C_6H_4	-
252	CH_3	O	H	Cl	Cl	H	H	H	2-Br-C_6H_4	-

253	CH_3	O	H	Cl	Cl	H	H	H	4-CH_3-C_6H_4	-
254	CH_3	O	H	Cl	Cl	H	H	H	4-C_6H_5-C_6H_4	-
255	CH_3	O	H	Cl	Cl	H	H	H	2-(C_4H_9)-C_4H_8	-
256	CH_3	O	H	Cl	Cl	H	H	H	3-(C_4H_9)-C_5H_{10}	-
257	CH_3	O	H	Cl	Cl	H	H	H	$(CH_2)_2OCH_3$	-
258	CH_3	O	H	Cl	Cl	H	H	H	CH_2OCH_3	-
259	CH_3	O	H	Cl	Cl	H	H	H	C_2H_5	-
260	CH_3	O	H	Cl	Cl	H	H	H	C_3H_7	-
261	CH_3	O	H	Cl	Cl	H	H	H	C_4H_9	-
262	CH_3	O	H	Cl	Cl	H	H	CH_2OCH_3	CH_2OCH_3	-
263	CH_3	O	H	Cl	Cl	H	H	C_2H_5	OC_2H_5	-
264	CH_3	O	H	Cl	Cl	H	H	H	OC_2H_5	-
265	CH_3	O	H	Cl	Cl	H	H	H	$O(CH_2)_2$-OCH_3	-
266	CH_3	O	H	Cl	Cl	H	H	CH_2OCH_3	C_6H_5	-
267	CH_3	O	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_2H_5	-
268	CH_3	O	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_4H_9	-
269	CH_3	O	H	CH_3	OCH_3	H	CH_3	C_2H_5	CH_2OCH_3	-
270	CH_3	O	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_6H_5	-
271	CH_3	O	H	CH_3	OCH_3	H	CH_3	C_2H_5	c-C_3H_5	-
272	CH_3	O	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_6H_{13}	-
273	CH_3	O	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_3H_7	-
274	CH_3	O	H	CH_3	OCH_3	H	CH_3	C_2H_5	$(CH_2)_2OCH_3$	-
275	CH_3	O	H	CH_3	OCH_3	H	CH_3	C_2H_5	CH_2CN	-
276	CH_3	O	H	CH_3	OCH_3	H	CH_3	C_2H_5	$(CH_2)_2$-(Q1) [b]	-
277	CH_3	O	H	CH_3	OCH_3	H	CH_3	C_2H_5	$(CH_2)_2$-(Q2) [c]	-
278	CH_3	O	H	CH_3	OCH_3	H	CH_3	C_2H_5	$CH_2N(CH_3)_2$	-
279	CH_3	O	H	CH_3	OCH_3	H	CH_3	c-C_3H_5	C_4H_9	-
280	CH_3	O	H	CH_3	OCH_3	H	CH_3	c-C_3H_5	CH_2OCH_3	-
281	CH_3	O	H	CH_3	OCH_3	H	CH_3	c-C_3H_5	C_6H_5	-
282	CH_3	O	H	CH_3	OCH_3	H	CH_3	c-C_3H_5	c-C_3H_5	-
283	CH_3	O	H	CH_3	OCH_3	H	CH_3	H	C_6H_5	-
284	CH_3	O	H	CH_3	OCH_3	H	CH_3	H	3-(CH_3O)-C_6H_4	-
285	CH_3	O	H	CH_3	OCH_3	H	CH_3	H	2-Br-C_6H_4	-
286	CH_3	O	H	CH_3	OCH_3	H	CH_3	H	4-CH_3-C_6H_4	-
287	CH_3	O	H	CH_3	OCH_3	H	CH_3	H	4-C_6H_5-C_6H_4	-
288	CH_3	O	H	CH_3	OCH_3	H	CH_3	H	2-(C_4H_9)-C_4H_8	-
289	CH_3	O	H	CH_3	OCH_3	H	CH_3	H	3-(C_4H_9)-C_5H_{10}	-
290	CH_3	O	H	CH_3	OCH_3	H	CH_3	H	$(CH_2)_2OCH_3$	-
291	CH_3	O	H	CH_3	OCH_3	H	CH_3	H	CH_2OCH_3	-
292	CH_3	O	H	CH_3	OCH_3	H	CH_3	H	C_2H_5	-

293	CH_3	O	H	CH_3	OCH_3	H	CH_3	H	C_3H_7	-
294	CH_3	O	H	CH_3	OCH_3	H	CH_3	H	C_4H_9	-
295	CH_3	O	H	CH_3	OCH_3	H	CH_3	CH_2OCH_3	CH_2OCH_3	-
296	CH_3	O	H	CH_3	OCH_3	H	CH_3	C_2H_5	OC_2H_5	-
297	CH_3	O	H	CH_3	OCH_3	H	CH_3	H	OC_2H_5	-
298	CH_3	O	H	CH_3	OCH_3	H	CH_3	H	$O(CH_2)_2-OCH_3$	-
299	CH_3	O	H	CH_3	OCH_3	H	CH_3	CH_2OCH_3	C_6H_5	-
300	CH_3	CH_2	CH_3	H	Cl	H	H	c-C_3H_5	c-C_3H_5	106-109
301	CH_3	S	H	CH_3	CH_3	H	CH_3	C_2H_5	C_2H_5	-
302	CH_3	S	H	CH_3	CH_3	H	CH_3	C_2H_5	C_4H_9	-
303	CH_3	S	H	CH_3	CH_3	H	CH_3	C_2H_5	CH_2OCH_3	-
304	CH_3	S	H	CH_3	CH_3	H	CH_3	C_2H_5	C_6H_5	-
305	CH_3	S	H	CH_3	CH_3	H	CH_3	C_2H_5	c-C_3H_5	-
306	CH_3	S	H	CH_3	CH_3	H	CH_3	C_2H_5	C_6H_{13}	-
307	CH_3	S	H	CH_3	CH_3	H	CH_3	C_2H_5	C_3H_7	-
308	CH_3	S	H	CH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2OCH_3$	-
309	CH_3	S	H	CH_3	CH_3	H	CH_3	C_2H_5	CH_2CN	-
310	CH_3	S	H	CH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2$-(Q1) [b]	-
311	CH_3	S	H	CH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2$-(Q2) [c]	-
312	CH_3	S	H	CH_3	CH_3	H	CH_3	C_2H_5	$CH_2N(CH_3)_2$	-
313	CH_3	S	H	CH_3	CH_3	H	CH_3	c-C_3H_5	C_4H_9	-
314	CH_3	S	H	CH_3	CH_3	H	CH_3	c-C_3H_5	CH_2OCH_3	-
315	CH_3	S	H	CH_3	CH_3	H	CH_3	c-C_3H_5	C_6H_5	-
316	CH_3	S	H	CH_3	CH_3	H	CH_3	c-C_3H_5	c-C_3H_5	-
317	CH_3	S	H	CH_3	CH_3	H	CH_3	H	C_6H_5	-
318	CH_3	S	H	CH_3	CH_3	H	CH_3	H	3-(CH_3O)-C_6H_4	-
319	CH_3	S	H	CH_3	CH_3	H	CH_3	H	2-Br-C_6H_4	-
320	CH_3	S	H	CH_3	CH_3	H	CH_3	H	4-CH_3-C_6H_4	-
321	CH_3	S	H	CH_3	CH_3	H	CH_3	H	4-C_6H_5-C_6H_4	-
322	CH_3	S	H	CH_3	CH_3	H	CH_3	H	2-(C_4H_9)-C_4H_8	-
323	CH_3	S	H	CH_3	CH_3	H	CH_3	H	3-(C_4H_9)-C_5H_{10}	-
324	CH_3	S	H	CH_3	CH_3	H	CH_3	H	$(CH_2)_2OCH_3$	-
325	CH_3	S	H	CH_3	CH_3	H	CH_3	H	CH_2OCH_3	-
326	CH_3	S	H	CH_3	CH_3	H	CH_3	H	C_2H_5	-
327	CH_3	S	H	CH_3	CH_3	H	CH_3	H	C_3H_7	-
328	CH_3	S	H	CH_3	CH_3	H	CH_3	H	C_4H_9	-
329	CH_3	S	H	CH_3	CH_3	H	CH_3	CH_2OCH_3	CH_2OCH_3	-
330	CH_3	S	H	CH_3	CH_3	H	CH_3	C_2H_5	OC_2H_5	-
331	CH_3	S	H	CH_3	CH_3	H	CH_3	H	OC_2H_5	-
332	CH_3	S	H	CH_3	CH_3	H	CH_3	H	$O(CH_2)_2-OCH_3$	-

333	CH_3	S	H	CH_3	CH_3	H	CH_3	CH_2OCH_3	C_6H_5	-
334	CH_3	S	H	Cl	Cl	H	H	C_2H_5	C_2H_5	-
335	CH_3	S	H	Cl	Cl	H	H	C_2H_5	C_4H_9	-
336	CH_3	S	H	Cl	Cl	H	H	C_2H_5	CH_2OCH_3	-
337	CH_3	S	H	Cl	Cl	H	H	C_2H_5	C_6H_5	-
338	CH_3	S	H	Cl	Cl	H	H	C_2H_5	c-C_3H_5	-
339	CH_3	S	H	Cl	Cl	H	H	C_2H_5	C_6H_{13}	-
340	CH_3	S	H	Cl	Cl	H	H	C_2H_5	C_3H_7	-
341	CH_3	S	H	Cl	Cl	H	H	C_2H_5	$(CH_2)_2OCH_3$	-
342	CH_3	S	H	Cl	Cl	H	H	C_2H_5	CH_2CN	-
343	CH_3	S	H	Cl	Cl	H	H	C_2H_5	$(CH_2)_2$-(Q1) [b]	-
344	CH_3	S	H	Cl	Cl	H	H	C_2H_5	$(CH_2)_2$-(Q2) [c]	-
345	CH_3	S	H	Cl	Cl	H	H	C_2H_5	$CH_2N(CH_3)_2$	-
346	CH_3	S	H	Cl	Cl	H	H	c-C_3H_5	C_4H_9	-
347	CH_3	S	H	Cl	Cl	H	H	c-C_3H_5	CH_2OCH_3	-
348	CH_3	S	H	Cl	Cl	H	H	c-C_3H_5	C_6H_5	-
349	CH_3	S	H	Cl	Cl	H	H	c-C_3H_5	c-C_3H_5	-
350	CH_3	S	H	Cl	Cl	H	H	H	C_6H_5	-
351	CH_3	S	H	Cl	Cl	H	H	H	3-(CH_3O)-C_6H_4	-
352	CH_3	S	H	Cl	Cl	H	H	H	2-Br-C_6H_4	-
353	CH_3	S	H	Cl	Cl	H	H	H	4-CH_3-C_6H_4	-
354	CH_3	S	H	Cl	Cl	H	H	H	4-C_6H_5-C_6H_4	-
355	CH_3	S	H	Cl	Cl	H	H	H	2-(C_4H_9)-C_6H_8	-
356	CH_3	S	H	Cl	Cl	H	H	H	3-(C_4H_9)-C_5H_{10}	-
357	CH_3	S	H	Cl	Cl	H	H	H	$(CH_2)_2OCH_3$	-
358	CH_3	S	H	Cl	Cl	H	H	H	CH_2OCH_3	-
359	CH_3	S	H	Cl	Cl	H	H	H	C_2H_5	-
360	CH_3	S	H	Cl	Cl	H	H	H	C_3H_7	-
361	CH_3	S	H	Cl	Cl	H	H	H	C_4H_9	-
362	CH_3	S	H	Cl	Cl	H	H	CH_2OCH_3	CH_2OCH_3	-
363	CH_3	S	H	Cl	Cl	H	H	C_2H_5	OC_2H_5	-
364	CH_3	S	H	Cl	Cl	H	H	H	OC_2H_5	-
365	CH_3	S	H	Cl	Cl	H	H	H	$O(CH_2)_2$-OCH_3	-
366	CH_3	S	H	Cl	Cl	H	H	CH_2OCH_3	C_6H_5	-
367	CH_3	S	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_2H_5	-
368	CH_3	S	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_4H_9	-
369	CH_3	S	H	CH_3	OCH_3	H	CH_3	C_2H_5	CH_2OCH_3	-
370	CH_3	S	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_6H_5	-
371	CH_3	S	H	CH_3	OCH_3	H	CH_3	C_2H_5	c-C_3H_5	-
372	CH_3	S	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_6H_{13}	-

373	CH_3	S	H	CH_3	OCH_3	H	CH_3	C_2H_5	C_3H_7	-
374	CH_3	S	H	CH_3	OCH_3	H	CH_3	C_2H_5	$(CH_2)_2OCH_3$	-
375	CH_3	S	H	CH_3	OCH_3	H	CH_3	C_2H_5	CH_2CN	-
376	CH_3	S	H	CH_3	OCH_3	H	CH_3	C_2H_5	$(CH_2)_2$-(Q1) [b]	-
377	CH_3	S	H	CH_3	OCH_3	H	CH_3	C_2H_5	$(CH_2)_2$-(Q2) [c]	-
378	CH_3	S	H	CH_3	OCH_3	H	CH_3	C_2H_5	$CH_2N(CH_3)_2$	-
379	CH_3	S	H	CH_3	OCH_3	H	CH_3	c-C_3H_5	C_4H_9	-
380	CH_3	S	H	CH_3	OCH_3	H	CH_3	c-C_3H_5	CH_2OCH_3	-
381	CH_3	S	H	CH_3	OCH_3	H	CH_3	c-C_3H_5	C_6H_5	-
382	CH_3	S	H	CH_3	OCH_3	H	CH_3	c-C_3H_5	c-C_3H_5	-
383	CH_3	S	H	CH_3	OCH_3	H	CH_3	H	C_6H_5	-
384	CH_3	S	H	CH_3	OCH_3	H	CH_3	H	3-(CH_3O)-C_6H_4	-
385	CH_3	S	H	CH_3	OCH_3	H	CH_3	H	2-Br-C_6H_4	-
386	CH_3	S	H	CH_3	OCH_3	H	CH_3	H	4-CH_3-C_6H_4	-
387	CH_3	S	H	CH_3	OCH_3	H	CH_3	H	4-C_6H_5-C_6H_4	-
388	CH_3	S	H	CH_3	OCH_3	H	CH_3	H	2-(C_4H_9)-C_4H_8	-
389	CH_3	S	H	CH_3	OCH_3	H	CH_3	H	3-(C_4H_9)-C_5H_{10}	-
390	CH_3	S	H	CH_3	OCH_3	H	CH_3	H	$(CH_2)_2OCH_3$	-
391	CH_3	S	H	CH_3	OCH_3	H	CH_3	H	CH_2OCH_3	-
392	CH_3	S	H	CH_3	OCH_3	H	CH_3	H	C_2H_5	-
393	CH_3	S	H	CH_3	OCH_3	H	CH_3	H	C_3H_7	-
394	CH_3	S	H	CH_3	OCH_3	H	CH_3	H	C_4H_9	-
395	CH_3	S	H	CH_3	OCH_3	H	CH_3	CH_2OCH_3	CH_2OCH_3	-
396	CH_3	S	H	CH_3	OCH_3	H	CH_3	C_2H_5	OC_2H_5	-
397	CH_3	S	H	CH_3	OCH_3	H	CH_3	H	OC_2H_5	-
398	CH_3	S	H	CH_3	OCH_3	H	CH_3	H	$O(CH_2)_2$-OCH_3	-
399	CH_3	S	H	CH_3	OCH_3	H	CH_3	CH_2OCH_3	C_6H_5	-
400	CH_3	CH_2	H	Cl	Cl	H	CH_3	C_3H_7	c-C_3H_5	153-156
401	CH_3	CH_2	CH_3	CH_3	CH_3	H	CH_3	C_2H_5	C_2H_5	-
402	CH_3	CH_2	CH_3	CH_3	CH_3	H	CH_3	c-C_3H_5	C_4H_9	107-108
403	CH_3	CH_2	CH_3	CH_3	CH_3	H	CH_3	c-C_3H_5	c-C_3H_5	187-188
404	CH_3	CH_2	CH_3	CH_3	CH_3	H	CH_3	H	C_4H_9	oil
405	CH_3	CH_2	CH_3	CH_3	CH_3	H	CH_3	C_2H_5	C_4H_9	98-99
406	CH_3	CH_2	CH_3	CH_3	CH_3	H	CH_3	H	C_6H_5	149-150
407	CH_3	CH_2	CH_3	CH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2OCH_3$	-
408	CH_3	CH_2	CH_3	CH_3	CH_3	H	CH_3	H	$(CH_2)_2OCH_3$	-
409	CH_3	CH_2	CH_3	CH_3	CH_3	H	CH_3	CH_2OCH_3	CH_2OCH_3	-
410	CH_3	CH_2	CH_3	CH_3	CH_3	H	CH_3	C_2H_5	CH_2OCH_3	-
411	CH_3	CH_2	H	CH_3	Cl	H	H	C_2H_5	C_2H_5	-
412	CH_3	CH_2	H	CH_3	Cl	H	H	c-C_3H_5	C_4H_9	-

413	CH_3	CH_2	H	CH_3	Cl	H	H	c-C_3H_5	c-C_3H_5	139-140
414	CH_3	CH_2	H	CH_3	Cl	H	H	CH_3	C_3H_7	oil (A,C)
415	CH_3	CH_2	H	CH_3	Cl	H	H	C_2H_5	C_4H_9	oil
416	CH_3	CH_2	H	CH_3	Cl	H	H	H	C_6H_5	-
417	CH_3	CH_2	H	CH_3	Cl	H	H	C_2H_5	$(CH_2)_2OCH_3$	-
418	CH_3	CH_2	H	CH_3	Cl	H	H	H	$(CH_2)_2OCH_3$	-
419	CH_3	CH_2	H	CH_3	Cl	H	H	CH_2OCH_3	CH_2OCH_3	-
420	CH_3	CH_2	H	CH_3	Cl	H	H	C_2H_5	CH_2OCH_3	-
421	CH_3	CH_2	H	Cl	CH_3	H	H	C_2H_5	C_2H_5	-
422	CH_3	CH_2	H	Cl	CH_3	H	H	c-C_3H_5	C_4H_9	-
423	CH_3	CH_2	H	Cl	CH_3	H	H	c-C_3H_5	c-C_3H_5	177-178
424	CH_3	CH_2	H	Cl	CH_3	H	H	CH_3	C_3H_7	oil
425	CH_3	CH_2	H	Cl	CH_3	H	H	C_2H_5	C_4H_9	-
426	CH_3	CH_2	H	Cl	CH_3	H	H	H	C_6H_5	-
427	CH_3	CH_2	H	Cl	CH_3	H	H	C_2H_5	$(CH_2)_2OCH_3$	-
428	CH_3	CH_2	H	Cl	CH_3	H	H	H	$(CH_2)_2OCH_3$	-
429	CH_3	CH_2	H	Cl	CH_3	H	H	CH_2OCH_3	CH_2OCH_3	-
430	CH_3	CH_2	H	Cl	CH_3	H	H	C_2H_5	CH_2OCH_3	-
431	CH_3	CH_2	H	Cl	Cl	H	OCH_3	C_3H_7	c-C_3H_5	141-144
432	CH_3	CH_2	H	CH_3	CH_3	H	OCH_3	C_2H_5	C_3H_7	108-110
433	CH_3	CH_2	H	Cl	Cl	H	CH_3	c-C_3H_5	c-C_3H_5	194-195
434	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	c-$C_3H_5CH_2$	oil
435	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	CH_2OH	155-157
436	CH_3	CH_2	H	CH_3	OCH_3	H	H	C_2H_5	c-$C_3H_5CH_2$	oil
437	CH_3	CH_2	H	CH_3	OCH_3	H	H	CH_3	C_3H_7	oil
438	CH_3	CH_2	H	CH_3	OCH_3	H	H	H	4-(CH_3O)-C_6H_4	oil
439	CH_3	CH_2	H	CH_3	OCH_3	H	H	C_2H_5	c-C_3H_5	oil
440	CH_3	CH_2	H	CH_3	OCH_3	H	H	CH_3	C_5H_{11}	oil
441	CH_3	CH_2	H	Cl	NMe_2	H	H	C_2H_5	C_2H_5	-
442	CH_3	CH_2	H	Cl	NMe_2	H	H	c-C_3H_5	C_4H_9	-
443	CH_3	CH_2	H	Cl	NMe_2	H	H	c-C_3H_5	c-C_3H_5	-
444	CH_3	CH_2	H	Cl	NMe_2	H	H	H	C_3H_7	-
445	CH_3	CH_2	H	Cl	NMe_2	H	H	C_2H_5	C_4H_9	-
446	CH_3	CH_2	H	Cl	NMe_2	H	H	H	C_6H_5	-
447	CH_3	CH_2	H	Cl	NMe_2	H	H	C_2H_5	$(CH_2)_2OCH_3$	-
448	CH_3	CH_2	H	Cl	NMe_2	H	H	H	$(CH_2)_2OCH_3$	-
449	CH_3	CH_2	H	Cl	NMe_2	H	H	CH_2OCH_3	CH_2OCH_3	-
450	CH_3	CH_2	H	Cl	NMe_2	H	H	C_2H_5	CH_2OCH_3	-
451	CH_3	CH_2	H	CH_3	NMe_2	H	H	C_2H_5	C_2H_5	-

452	CH_3	CH_2	H	CH_3	NMe_2	H	H	c-C_3H_5	C_4H_9	-
453	CH_3	CH_2	H	CH_3	NMe_2	H	H	c-C_3H_5	c-C_3H_5	-
454	CH_3	CH_2	H	CH_3	NMe_2	H	H	H	C_3H_7	-
455	CH_3	CH_2	H	CH_3	NMe_2	H	H	C_2H_5	C_4H_9	-
456	CH_3	CH_2	H	CH_3	NMe_2	H	H	H	C_6H_5	-
457	CH_3	CH_2	H	CH_3	NMe_2	H	H	C_2H_5	$(CH_2)_2OCH_3$	-
458	CH_3	CH_2	H	CH_3	NMe_2	H	H	H	$(CH_2)_2OCH_3$	-
459	CH_3	CH_2	H	CH_3	NMe_2	H	H	CH_2OCH_3	CH_2OCH_3	-
460	CH_3	CH_2	H	CH_3	NMe_2	H	H	C_2H_5	CH_2OCH_3	-
461	CH_3	CH_2	NMe_2	CH_3	CH_3	H	CH_3	C_2H_5	C_2H_5	-
462	CH_3	CH_2	NMe_2	CH_3	CH_3	H	CH_3	c-C_3H_5	C_4H_9	-
463	CH_3	CH_2	NMe_2	CH_3	CH_3	H	CH_3	c-C_3H_5	c-C_3H_5	-
464	CH_3	CH_2	NMe_2	CH_3	CH_3	H	CH_3	H	C_3H_7	-
465	CH_3	CH_2	NMe_2	CH_3	CH_3	H	CH_3	C_2H_5	C_4H_9	-
466	CH_3	CH_2	NMe_2	CH_3	CH_3	H	CH_3	H	C_6H_5	-
467	CH_3	CH_2	NMe_2	CH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2OCH_3$	-
468	CH_3	CH_2	NMe_2	CH_3	CH_3	H	CH_3	H	$(CH_2)_2OCH_3$	-
469	CH_3	CH_2	NMe_2	CH_3	CH_3	H	CH_3	CH_2OCH_3	CH_2OCH_3	-
470	CH_3	CH_2	NMe_2	CH_3	CH_3	H	CH_3	C_2H_5	CH_2OCH_3	-
471	C_2H_5	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	C_2H_5	-
472	C_2H_5	CH_2	H	CH_3	CH_3	H	CH_3	c-C_3H_5	C_4H_9	-
473	C_2H_5	CH_2	H	CH_3	CH_3	H	CH_3	c-C_3H_5	c-C_3H_5	-
474	C_2H_5	CH_2	H	CH_3	CH_3	H	CH_3	H	C_3H_7	-
475	C_2H_5	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	C_4H_9	92-95
476	C_2H_5	CH_2	H	CH_3	CH_3	H	CH_3	H	C_6H_5	-
477	C_2H_5	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2OCH_3$	-
478	C_2H_5	CH_2	H	CH_3	CH_3	H	CH_3	H	$(CH_2)_2OCH_3$	-
479	C_2H_5	CH_2	H	CH_3	CH_3	H	CH_3	CH_2OCH_3	CH_2OCH_3	-
480	C_2H_5	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	CH_2OCH_3	-
481	CH_3	$CHCH_3$	H	CH_3	CH_3	H	CH_3	C_2H_5	C_2H_5	-
482	CH_3	$CHCH_3$	H	CH_3	CH_3	H	CH_3	c-C_3H_5	C_4H_9	-
483	CH_3	$CHCH_3$	H	CH_3	CH_3	H	CH_3	c-C_3H_5	c-C_3H_5	-
484	CH_3	$CHCH_3$	H	CH_3	CH_3	H	CH_3	H	C_3H_7	-
485	CH_3	$CHCH_3$	H	CH_3	CH_3	H	CH_3	C_2H_5	C_4H_9	-
486	CH_3	$CHCH_3$	H	CH_3	CH_3	H	CH_3	H	C_6H_5	-
487	CH_3	$CHCH_3$	H	CH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2OCH_3$	-
488	CH_3	$CHCH_3$	H	CH_3	CH_3	H	CH_3	H	$(CH_2)_2OCH_3$	-
489	CH_3	$CHCH_3$	H	CH_3	CH_3	H	CH_3	CH_2OCH_3	CH_2OCH_3	-
490	CH_3	$CHCH_3$	H	CH_3	CH_3	H	CH_3	C_2H_5	CH_2OCH_3	-
491	CH_3	CH_2	H	CH_3	CH_3	H	H	C_2H_5	C_2H_5	96-97

492	CH_3	CH_2	H	CH_3	CH_3	H	H	c-C_3H_5	C_4H_9	-
493	CH_3	CH_2	H	CH_3	CH_3	H	H	c-C_3H_5	c-C_3H_5	149-150
494	CH_3	CH_2	H	CH_3	CH_3	H	H	H	C_3H_7	99-100
495	CH_3	CH_2	H	CH_3	CH_3	H	H	C_2H_5	C_4H_9	-
496	CH_3	CH_2	H	CH_3	CH_3	H	H	H	C_6H_5	-
497	CH_3	CH_2	H	CH_3	CH_3	H	H	C_2H_5	$(CH_2)_2OCH_3$	-
498	CH_3	CH_2	H	CH_3	CH_3	H	H	H	$(CH_2)_2OCH_3$	-
499	CH_3	CH_2	H	CH_3	CH_3	H	H	CH_2OCH_3	CH_2OCH_3	-
500	CH_3	CH_2	H	CH_3	CH_3	H	H	C_2H_5	CH_2OCH_3	-
501	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	CH_3	C_3H_7	-
502	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	CH_3	C_4H_9	oil
503	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	CH_3	C_5H_{11}	oil
504	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	2-C_4H_9	109-110
505	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	$CH_2OC_2H_5$	-
506	CH_3	CH_2	H	Cl	Cl	H	H	CH_3	C_3H_7	oil (A,B,C)
507	CH_3	CH_2	H	Cl	Cl	H	H	CH_3	C_4H_9	oil
508	CH_3	CH_2	H	Cl	Cl	H	H	CH_3	C_5H_{11}	-
509	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	2-C_4H_9	-
510	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	$CH_2OC_2H_5$	-
511	CH_3	CH_2	H	Cl	CF_3	H	H	C_2H_5	c-C_3H_5	oil (A) 78-80 (B) 116-117 (C)
512	CH_3	CH_2	H	Cl	CF_3	H	H	c-C_3H_5	c-C_3H_5	145-146
513	CH_3	CH_2	H	Cl	CF_3	H	H	C_2H_5	C_4H_9	oil
514	CH_3	CH_2	H	Cl	CF_3	H	H	C_2H_5	C_2H_5	oil
515	CH_3	CH_2	H	Cl	CF_3	H	H	C_2H_5	$CH_2OC_2H_5$	-
516	CH_3	CH_2	H	OCH_3	Cl	H	Cl	C_2H_5	c-C_3H_5	-
517	CH_3	CH_2	H	OCH_3	Cl	H	Cl	c-C_3H_5	c-C_3H_5	183-184
518	CH_3	CH_2	H	OCH_3	Cl	H	Cl	C_2H_5	C_4H_9	109-110
519	CH_3	CH_2	H	OCH_3	Cl	H	Cl	C_2H_5	$(CH_2)_2OCH_3$	-
520	CH_3	CH_2	H	OCH_3	Cl	H	Cl	C_2H_5	$CH_2OC_2H_5$	-
521	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_3H_7	C_3H_7	115-120
522	CH_3	O	H	CH_3	CH_3	H	CH_3	C_3H_7	C_3H_7	-
523	CH_3	CH_2	H	Cl	Cl	H	H	C_3H_7	C_3H_7	99-101
524	CH_3	CH_2	H	CH_3	OCH_3	H	H	C_3H_7	C_3H_7	oil
525	CH_3	CH_2	H	OCH_3	CH_3	H	CH_3	C_3H_7	C_3H_7	109-111

526	CH_3	CH_2	H	CH_3	Cl	H	H	C_3H_7	C_3H_7	oil
527	CH_3	CH_2	H	CH_3	CH_3	CH_3	H	C_3H_7	C_3H_7	-
528	CH_3	CH_2	H	Cl	CF_3	H	H	C_3H_7	C_3H_7	oil
529	CH_3	CH_2	H	Cl	CF_3	H	Cl	C_3H_7	C_3H_7	-
530	CH_3	CH_2	H	OCH_3	Cl	H	Cl	C_3H_7	C_3H_7	129-131
531	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	CH_3	$(CH_3)_2CHCH_2$	77-85
532	CH_3	O	H	CH_3	CH_3	H	CH_3	CH_3	$(CH_3)_2CHCH_2$	-
533	CH_3	CH_2	H	Cl	Cl	H	H	CH_3	$(CH_3)_2CHCH_2$	-
534	CH_3	CH_2	H	CH_3	OCH_3	H	H	CH_3	$(CH_3)_2CHCH_2$	-
535	CH_3	CH_2	H	OCH_3	CH_3	H	CH_3	CH_3	$(CH_3)_2CHCH_2$	-
536	CH_3	CH_2	H	CH_3	Cl	H	H	CH_3	$(CH_3)_2CHCH_2$	-
537	CH_3	CH_2	H	CH_3	CH_3	CH_3	H	CH_3	$(CH_3)_2CHCH_2$	-
538	CH_3	CH_2	H	Cl	CF_3	H	H	C_2H_5	$(CH_3)_2CH$	oil
539	CH_3	CH_2	H	Cl	CF_3	H	Cl	CH_3	$(CH_3)_2CHCH_2$	-
540	CH_3	CH_2	H	OCH_3	Cl	H	Cl	CH_3	$(CH_3)_2CHCH_2$	-
541	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	CH_3	c-C_3H_5	118-127
542	CH_3	O	H	CH_3	CH_3	H	CH_3	CH_3	c-C_3H_5	-
543	CH_3	CH_2	H	Cl	Cl	H	H	CH_3	c-C_3H_5	oil
544	CH_3	CH_2	H	CH_3	OCH_3	H	H	CH_3	c-C_3H_5	oil
545	CH_3	CH_2	H	OCH_3	CH_3	H	CH_3	CH_3	c-C_3H_5	-
546	CH_3	CH_2	H	CH_3	Cl	H	H	CH_3	c-C_3H_5	-
547	CH_3	CH_2	H	CH_3	CH_3	CH_3	H	CH_3	c-C_3H_5	-
548	CH_3	CH_2	H	Cl	CF_3	H	H	CH_3	c-C_3H_5	oil
549	CH_3	CH_2	H	Cl	CF_3	H	Cl	CH_3	c-C_3H_5	-
550	CH_3	CH_2	H	OCH_3	Cl	H	Cl	CH_3	c-C_3H_5	-
551	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	CH_3	CH_3	oil
552	CH_3	O	H	CH_3	CH_3	H	CH_3	CH_3	CH_3	-
553	CH_3	CH_2	H	Cl	Cl	H	H	CH_3	CH_3	-
554	CH_3	CH_2	H	CH_3	OCH_3	H	H	CH_3	CH_3	-
555	CH_3	CH_2	H	OCH_3	CH_3	H	CH_3	CH_3	CH_3	-
556	CH_3	CH_2	H	CH_3	Cl	H	H	CH_3	CH_3	-
557	CH_3	CH_2	H	CH_3	CH_3	CH_3	H	CH_3	CH_3	-
558	CH_3	CH_2	H	Cl	CF_3	H	H	CH_3	C_4H_9	oil
559	CH_3	CH_2	H	Cl	CF_3	H	Cl	CH_3	CH_3	-
560	CH_3	CH_2	H	OCH_3	Cl	H	Cl	CH_3	CH_3	-
561	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	C_5H_{11}	102-103
562	CH_3	O	H	CH_3	CH_3	H	CH_3	C_2H_5	C_5H_{11}	-
563	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	C_5H_{11}	-
564	CH_3	CH_2	H	CH_3	OCH_3	H	H	C_2H_5	C_4H_9	oil
565	CH_3	CH_2	H	OCH_3	CH_3	H	CH_3	C_2H_5	C_5H_{11}	-

566	CH_3	CH_2	H	CH_3	Cl	H	H	C_2H_5	C_5H_{11}	-
567	CH_3	CH_2	H	CH_3	CH_3	CH_3	H	C_2H_5	C_5H_{11}	-
568	CH_3	CH_2	H	Cl	CF_3	H	H	C_2H_5	C_5H_{11}	-
569	CH_3	CH_2	H	Cl	CF_3	H	Cl	C_2H_5	C_5H_{11}	-
570	CH_3	CH_2	H	OCH_3	Cl	H	Cl	C_2H_5	C_5H_{11}	-
571	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	$C_2H_5O(CH_2)_2$	oil
572	CH_3	O	H	CH_3	CH_3	H	CH_3	C_2H_5	$C_2H_5O(CH_2)_2$	-
573	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	$C_2H_5O(CH_2)_2$	-
574	CH_3	CH_2	H	CH_3	OCH_3	H	H	C_2H_5	$C_2H_5O(CH_2)_2$	-
575	CH_3	CH_2	H	OCH_3	CH_3	H	CH_3	C_2H_5	$C_2H_5O(CH_2)_2$	-
576	CH_3	CH_2	H	CH_3	Cl	H	H	C_2H_5	$C_2H_5O(CH_2)_2$	-
577	CH_3	CH_2	H	CH_3	CH_3	CH_3	H	C_2H_5	$C_2H_5O(CH_2)_2$	-
578	CH_3	CH_2	H	Cl	CF_3	H	H	C_2H_5	$C_2H_5O(CH_2)_2$	-
579	CH_3	CH_2	H	Cl	CF_3	H	Cl	C_2H_5	$C_2H_5O(CH_2)_2$	-
580	CH_3	CH_2	H	OCH_3	Cl	H	Cl	C_2H_5	$C_2H_5O(CH_2)_2$	-
581	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	$C_2H_5OCH_2$	oil
582	CH_3	O	H	CH_3	CH_3	H	CH_3	C_2H_5	$C_2H_5OCH_2$	-
583	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	$C_2H_5OCH_2$	-
584	CH_3	CH_2	H	CH_3	OCH_3	H	H	C_2H_5	$C_2H_5OCH_2$	-
585	CH_3	CH_2	H	OCH_3	CH_3	H	CH_3	C_2H_5	$C_2H_5OCH_2$	-
586	CH_3	CH_2	H	CH_3	Cl	H	H	C_2H_5	$C_2H_5OCH_2$	-
587	CH_3	CH_2	H	CH_3	CH_3	CH_3	H	C_2H_5	$C_2H_5OCH_2$	-
588	CH_3	CH_2	H	Cl	CF_3	H	H	C_2H_5	$C_2H_5OCH_2$	-
589	CH_3	CH_2	H	Cl	CF_3	H	Cl	C_2H_5	$C_2H_5OCH_2$	-
590	CH_3	CH_2	H	OCH_3	Cl	H	Cl	C_2H_5	$C_2H_5OCH_2$	-
591	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	H	c-$C_3H_5CH(OMe)(CH_2)_2$	oil
592	CH_3	O	H	CH_3	CH_3	H	CH_3	H	c-$C_3H_5CH(OMe)(CH_2)_2$	-
593	CH_3	CH_2	H	Cl	Cl	H	H	H	c-$C_3H_5CH(OMe)(CH_2)_2$	-
594	CH_3	CH_2	H	CH_3	OCH_3	H	H	H	c-$C_3H_5CH(OMe)(CH_2)_2$	-
595	CH_3	CH_2	H	OCH_3	CH_3	H	CH_3	H	c-$C_3H_5CH(OMe)(CH_2)_2$	-
596	CH_3	CH_2	H	CH_3	Cl	H	H	H	c-$C_3H_5CH(OMe)(CH_2)_2$	-
597	CH_3	CH_2	H	CH_3	CH_3	CH_3	H	H	c-$C_3H_5CH(OMe)(CH_2)_2$	-
598	CH_3	CH_2	H	Cl	CF_3	H	H	H	c-$C_3H_5CH(OMe)$	-

									$(CH_2)_2$	
599	CH_3	CH_2	H	Cl	CF_3	H	Cl	H	c-C_3H_5CH(OMe) $(CH_2)_2$	-
600	CH_3	CH_2	H	OCH_3	Cl	H	Cl	H	c-C_3H_5CH(OMe) $(CH_2)_2$	-
601	CH_3	CH_2	CH_3	Cl	Cl	H	H	C_2H_5	C_2H_5	-
602	CH_3	CH_2	CH_3	Cl	Cl	H	H	c-C_3H_5	C_4H_9	-
603	CH_3	CH_2	CH_3	Cl	Cl	H	H	c-C_3H_5	c-C_3H_5	155-156
604	CH_3	CH_2	CH_3	Cl	Cl	H	H	H	C_4H_9	-
605	CH_3	CH_2	CH_3	Cl	Cl	H	H	C_2H_5	C_4H_9	-
606	CH_3	CH_2	CH_3	Cl	Cl	H	H	H	C_6H_5	-
607	CH_3	CH_2	CH_3	Cl	Cl	H	H	C_2H_5	$(CH_2)_2OCH_3$	-
608	CH_3	CH_2	CH_3	Cl	Cl	H	H	CH_3	C_4H_9	-
609	CH_3	CH_2	CH_3	Cl	Cl	H	H	C_3H_7	C_3H_7	-
610	CH_3	CH_2	CH_3	Cl	Cl	H	H	C_2H_5	C_3H_7	-
611	CH_3	CH_2	CH_3	OCH_3	CH_3	H	CH_3	C_2H_5	C_2H_5	-
612	CH_3	CH_2	CH_3	OCH_3	CH_3	H	CH_3	c-C_3H_5	C_4H_9	-
613	CH_3	CH_2	CH_3	OCH_3	CH_3	H	CH_3	c-C_3H_5	c-C_3H_5	-
614	CH_3	CH_2	CH_3	OCH_3	CH_3	H	CH_3	H	C_4H_9	-
615	CH_3	CH_2	CH_3	OCH_3	CH_3	H	CH_3	C_2H_5	C_4H_9	-
616	CH_3	CH_2	CH_3	OCH_3	CH_3	H	CH_3	H	C_6H_5	-
617	CH_3	CH_2	CH_3	OCH_3	CH_3	H	CH_3	C_2H_5	$(CH_2)_2OCH_3$	-
618	CH_3	CH_2	CH_3	OCH_3	CH_3	H	CH_3	CH_3	C_4H_9	-
619	CH_3	CH_2	CH_3	OCH_3	CH_3	H	CH_3	C_3H_7	C_3H_7	-
620	CH_3	CH_2	CH_3	OCH_3	CH_3	H	CH_3	C_2H_5	C_3H_7	-
621	CH_3	CH_2	CH_3	CH_3	OCH_3	H	H	C_2H_5	C_2H_5	-
622	CH_3	CH_2	CH_3	CH_3	OCH_3	H	H	c-C_3H_5	C_4H_9	-
623	CH_3	CH_2	CH_3	CH_3	OCH_3	H	H	c-C_3H_5	c-C_3H_5	-
624	CH_3	CH_2	CH_3	CH_3	OCH_3	H	H	H	C_4H_9	-
625	CH_3	CH_2	CH_3	CH_3	OCH_3	H	H	C_2H_5	C_4H_9	-
626	CH_3	CH_2	CH_3	CH_3	OCH_3	H	H	H	C_6H_5	-
627	CH_3	CH_2	CH_3	CH_3	OCH_3	H	H	C_2H_5	$(CH_2)_2OCH_3$	-
628	CH_3	CH_2	CH_3	CH_3	OCH_3	H	H	CH_3	C_4H_9	-
629	CH_3	CH_2	CH_3	CH_3	OCH_3	H	H	C_3H_7	C_3H_7	-
630	CH_3	CH_2	CH_3	CH_3	OCH_3	H	H	C_2H_5	C_3H_7	-
631	CH_3	CH_2	CH_3	CH_3	Cl	H	H	C_2H_5	C_2H_5	-
632	CH_3	CH_2	CH_3	CH_3	Cl	H	H	c-C_3H_5	C_4H_9	-
633	CH_3	CH_2	CH_3	CH_3	Cl	H	H	c-C_3H_5	c-C_3H_5	-
634	CH_3	CH_2	CH_3	CH_3	Cl	H	H	H	C_4H_9	-
635	CH_3	CH_2	CH_3	CH_3	Cl	H	H	C_2H_5	C_4H_9	-

636	CH_3	CH_2	CH_3	CH_3	Cl	H	H	H	C_6H_5	-
637	CH_3	CH_2	CH_3	CH_3	Cl	H	H	C_2H_5	$(CH_2)_2OCH_3$	-
638	CH_3	CH_2	CH_3	CH_3	Cl	H	H	CH_3	C_4H_9	-
639	CH_3	CH_2	CH_3	CH_3	Cl	H	H	C_3H_7	C_3H_7	-
640	CH_3	CH_2	CH_3	CH_3	Cl	H	H	C_2H_5	C_3H_7	-
641	CH_3	CH_2	CH_3	Cl	CF_3	H	H	C_2H_5	C_2H_5	-
642	CH_3	CH_2	CH_3	Cl	CF_3	H	H	c-C_3H_5	C_4H_9	-
643	CH_3	CH_2	CH_3	Cl	CF_3	H	H	c-C_3H_5	c-C_3H_5	-
644	CH_3	CH_2	CH_3	Cl	CF_3	H	H	H	C_4H_9	-
645	CH_3	CH_2	CH_3	Cl	CF_3	H	H	C_2H_5	C_4H_9	-
646	CH_3	CH_2	CH_3	Cl	CF_3	H	H	H	C_6H_5	-
647	CH_3	CH_2	CH_3	Cl	CF_3	H	H	C_2H_5	$(CH_2)_2OCH_3$	-
648	CH_3	CH_2	CH_3	Cl	CF_3	H	H	CH_3	C_4H_9	-
649	CH_3	CH_2	CH_3	Cl	CF_3	H	H	C_3H_7	C_3H_7	-
650	CH_3	CH_2	CH_3	Cl	CF_3	H	H	C_2H_5	C_3H_7	-
651	CH_3	CH_2	CH_3	Cl	CF_3	H	Cl	C_2H_5	C_2H_5	-
652	CH_3	CH_2	CH_3	Cl	CF_3	H	Cl	c-C_3H_5	C_4H_9	-
653	CH_3	CH_2	CH_3	Cl	CF_3	H	Cl	c-C_3H_5	c-C_3H_5	-
654	CH_3	CH_2	CH_3	Cl	CF_3	H	Cl	H	C_4H_9	-
655	CH_3	CH_2	CH_3	Cl	CF_3	H	Cl	C_2H_5	C_4H_9	-
656	CH_3	CH_2	CH_3	Cl	CF_3	H	Cl	H	C_6H_5	-
657	CH_3	CH_2	CH_3	Cl	CF_3	H	Cl	C_2H_5	$(CH_2)_2OCH_3$	-
658	CH_3	CH_2	CH_3	Cl	CF_3	H	Cl	CH_3	C_4H_9	-
659	CH_3	CH_2	CH_3	Cl	CF_3	H	Cl	C_3H_7	C_3H_7	-
660	CH_3	CH_2	CH_3	Cl	CF_3	H	Cl	C_2H_5	C_3H_7	-
661	CH_3	CH_2	CH_3	OCH_3	Cl	H	Cl	C_2H_5	C_2H_5	-
662	CH_3	CH_2	CH_3	OCH_3	Cl	H	Cl	c-C_3H_5	C_4H_9	-
663	CH_3	CH_2	CH_3	OCH_3	Cl	H	Cl	c-C_3H_5	c-C_3H_5	-
664	CH_3	CH_2	CH_3	OCH_3	Cl	H	Cl	H	C_4H_9	-
665	CH_3	CH_2	CH_3	OCH_3	Cl	H	Cl	C_2H_5	C_4H_9	-
666	CH_3	CH_2	CH_3	OCH_3	Cl	H	Cl	H	C_6H_5	-
667	CH_3	CH_2	CH_3	OCH_3	Cl	H	Cl	C_2H_5	$(CH_2)_2OCH_3$	-
668	CH_3	CH_2	CH_3	OCH_3	Cl	H	Cl	CH_3	C_4H_9	-
669	CH_3	CH_2	CH_3	OCH_3	Cl	H	Cl	C_3H_7	C_3H_7	-
670	CH_3	CH_2	CH_3	OCH_3	Cl	H	Cl	C_2H_5	C_3H_7	-
671	CH_3	CH_2	CH_3	CH_3	CH_3	H	H	C_2H_5	C_2H_5	-
672	CH_3	CH_2	CH_3	CH_3	CH_3	H	H	c-C_3H_5	C_4H_9	-
673	CH_3	CH_2	CH_3	CH_3	CH_3	H	H	c-C_3H_5	c-C_3H_5	-
674	CH_3	CH_2	CH_3	CH_3	CH_3	H	H	H	C_4H_9	-
675	CH_3	CH_2	CH_3	CH_3	CH_3	H	H	C_2H_5	C_4H_9	-

676	CH_3	CH_2	CH_3	CH_3	CH_3	H	H	H	C_6H_5	-
677	CH_3	CH_2	CH_3	CH_3	CH_3	H	H	C_2H_5	$(CH_2)_2OCH_3$	-
678	CH_3	CH_2	CH_3	CH_3	CH_3	H	H	CH_3	C_4H_9	-
679	CH_3	CH_2	CH_3	CH_3	CH_3	H	H	C_3H_7	C_3H_7	-
680	CH_3	CH_2	CH_3	CH_3	CH_3	H	H	C_2H_5	C_3H_7	-
681	CH_3	CH_2	H	CH_3	OCH_3	H	H	C_2H_5	C_4H_9	-
682	CH_3	CH_2	H	OCH_3	CH_3	H	CH_3	C_2H_5	C_4H_9	107-109
683	CH_3	CH_2	H	Cl	CF_3	H	Cl	C_2H_5	C_4H_9	-
684	CH_3	CH_2	H	CH_3	CH_3	CH_3	H	C_2H_5	C_4H_9	-
685	CH_3	CH_2	H	CH_3	OCH_3	H	H	c-C_3H_5	c-C_3H_5	101-103
686	CH_3	CH_2	H	OCH_3	CH_3	H	CH_3	c-C_3H_5	c-C_3H_5	187-188
687	CH_3	CH_2	H	Cl	CF_3	H	Cl	c-C_3H_5	c-C_3H_5	-
688	CH_3	CH_2	H	CH_3	CH_3	CH_3	H	c-C_3H_5	c-C_3H_5	119-121
689	CH_3	CH_2	H	CH_3	OCH_3	H	H	H	C_6H_5	108-109
690	CH_3	CH_2	H	OCH_3	CH_3	H	CH_3	H	C_6H_5	oil
691	CH_3	CH_2	H	Cl	CF_3	H	Cl	H	C_6H_5	-
692	CH_3	CH_2	H	CH_3	CH_3	CH_3	H	H	C_6H_5	oil
693	CH_3	CH_2	H	CH_3	OCH_3	H	H	c-C_3H_5	C_4H_9	oil
694	CH_3	CH_2	H	OCH_3	CH_3	H	CH_3	c-C_3H_5	C_4H_9	-
695	CH_3	CH_2	H	Cl	CF_3	H	Cl	c-C_3H_5	C_4H_9	-
696	CH_3	CH_2	H	CH_3	CH_3	CH_3	H	c-C_3H_5	C_4H_9	-
697	CH_3	CH_2	H	CH_3	OCH_3	H	H	CH_3	C_4H_9	oil
698	CH_3	CH_2	H	OCH_3	CH_3	H	CH_3	CH_3	C_4H_9	-
699	CH_3	CH_2	H	Cl	CF_3	H	Cl	CH_3	C_4H_9	-
700	CH_3	CH_2	H	CH_3	CH_3	CH_3	H	CH_3	C_4H_9	-
701	CH_3	O	H	CH_3	OCH_3	H	H	C_2H_5	C_4H_9	-
702	CH_3	O	H	OCH_3	CH_3	H	CH_3	C_2H_5	C_4H_9	-
703	CH_3	O	H	Cl	CF_3	H	Cl	C_2H_5	C_4H_9	-
704	CH_3	O	H	CH_3	CH_3	CH_3	H	C_2H_5	C_4H_9	-
705	CH_3	O	H	CH_3	OCH_3	H	H	c-C_3H_5	c-C_3H_5	-
706	CH_3	O	H	OCH_3	CH_3	H	CH_3	c-C_3H_5	c-C_3H_5	-
707	CH_3	O	H	Cl	CF_3	H	Cl	c-C_3H_5	c-C_3H_5	-
708	CH_3	O	H	CH_3	CH_3	CH_3	H	c-C_3H_5	c-C_3H_5	-
709	CH_3	O	H	CH_3	OCH_3	H	H	H	C_6H_5	-
710	CH_3	O	H	OCH_3	CH_3	H	CH_3	H	C_6H_5	-
711	CH_3	O	H	Cl	CF_3	H	Cl	H	C_6H_5	-
712	CH_3	O	H	CH_3	CH_3	CH_3	H	H	C_6H_5	-
713	CH_3	O	H	CH_3	OCH_3	H	H	c-C_3H_5	C_4H_9	-
714	CH_3	O	H	OCH_3	CH_3	H	CH_3	c-C_3H_5	C_4H_9	-
715	CH_3	O	H	Cl	CF_3	H	Cl	c-C_3H_5	C_4H_9	-

716	CH_3	O	H	CH_3	CH_3	CH_3	H	c-C_3H_5	C_4H_9	-
717	CH_3	O	H	CH_3	OCH_3	H	H	CH_3	C_4H_9	-
718	CH_3	O	H	OCH_3	CH_3	H	CH_3	CH_3	C_4H_9	-
719	CH_3	O	H	Cl	CF_3	H	Cl	CH_3	C_4H_9	-
720	CH_3	O	H	CH_3	CH_3	CH_3	H	CH_3	C_4H_9	-
721	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	$CH(CH_3)_2$	146-147
722	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	$CH(CH_3)_2$	-
723	CH_3	CH_2	H	Cl	CH_3	H	H	C_2H_5	$CH(CH_3)_2$	-
724	CH_3	CH_2	H	Cl	OCH_3	H	H	C_2H_5	$CH(CH_3)_2$	oil
725	CH_3	CH_2	H	CH_3	OCH_3	H	H	C_2H_5	$CH(CH_3)_2$	oil
726	CH_3	CH_2	H	Cl	CF_3	H	H	C_2H_5	$CH(CH_3)_2$	-
727	CH_3	CH_2	H	CF_3	Cl	H	H	C_2H_5	$CH(CH_3)_2$	oil
728	CH_3	CH_2	H	CH_3	Cl	H	H	C_2H_5	$CH(CH_3)_2$	-
729	CH_3	CH_2	H	CF_3	CF_3	H	H	C_2H_5	$CH(CH_3)_2$	-
730	CH_3	CH_2	H	Cl	CN	H	H	C_2H_5	$CH(CH_3)_2$	-
731	CH_3	CH_2	H	Cl	Cl	F	H	C_2H_5	$CH(CH_3)_2$	-
732	CH_3	CH_2	H	Cl	Cl	Cl	H	C_2H_5	$CH(CH_3)_2$	-
733	CH_3	CH_2	H	CH_3	OCH_3	F	H	C_2H_5	$CH(CH_3)_2$	-
734	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	C_2H_5	$CH(CH_3)_2$	-
735	CH_3	CH_2	H	Cl	CH_3	F	H	C_2H_5	$CH(CH_3)_2$	-
736	CH_3	CH_2	H	Cl	CF_3	Cl	H	C_2H_5	$CH(CH_3)_2$	-
737	CH_3	CH_2	H	Cl	CF_3	F	H	C_2H_5	$CH(CH_3)_2$	-
738	CH_3	CH_2	H	Cl	OCH_3	Cl	H	C_2H_5	$CH(CH_3)_2$	-
739	CH_3	CH_2	H	Cl	OCH_3	F	H	C_2H_5	$CH(CH_3)_2$	-
740	CH_3	CH_2	H	Cl	OCH_3	CH_3	H	C_2H_5	$CH(CH_3)_2$	-
741	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	C_2H_5	$CH(CH_3)_2$	-
742	CH_3	CH_2	H	Cl	H	Cl	H	C_2H_5	$CH(CH_3)_2$	-
743	CH_3	CH_2	H	Cl	Cl	OCH_3	H	C_2H_5	$CH(CH_3)_2$	-
744	CH_3	CH_2	H	Cl	CH_3	OCH_3	H	C_2H_5	$CH(CH_3)_2$	-
745	CH_3	CH_2	H	CH_3	Cl	OCH_3	H	C_2H_5	$CH(CH_3)_2$	-
746	CH_3	CH_2	H	CH_3	CH_3	OCH_3	H	C_2H_5	$CH(CH_3)_2$	-
747	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_3H_7	c-C_3H_5	140-143
748	CH_3	CH_2	H	Cl	Cl	H	H	C_3H_7	c-C_3H_5	107-108 (A) 79-82 (C)
749	CH_3	CH_2	H	Cl	CH_3	H	H	C_3H_7	c-C_3H_5	106-108
750	CH_3	CH_2	H	Cl	OCH_3	H	H	C_3H_7	c-C_3H_5	oil
751	CH_3	CH_2	H	CH_3	OCH_3	H	H	C_3H_7	c-C_3H_5	oil
752	CH_3	CH_2	H	Cl	CF_3	H	H	C_3H_7	c-C_3H_5	108-109

753	CH_3	CH_2	H	CF_3	Cl	H	H	C_3H_7	c-C_3H_5	oil (A) 95-97 (C)
754	CH_3	CH_2	H	CH_3	Cl	H	H	C_3H_7	c-C_3H_5	87-88
755	CH_3	CH_2	H	CF_3	CF_3	H	H	C_3H_7	c-C_3H_5	-
756	CH_3	CH_2	H	Cl	CN	H	H	C_3H_7	c-C_3H_5	-
757	CH_3	CH_2	H	Cl	Cl	F	H	C_3H_7	c-C_3H_5	-
758	CH_3	CH_2	H	Cl	Cl	Cl	H	C_3H_7	c-C_3H_5	-
759	CH_3	CH_2	H	CH_3	OCH_3	F	H	C_3H_7	c-C_3H_5	-
760	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	C_3H_7	c-C_3H_5	-
761	CH_3	CH_2	H	Cl	CH_3	F	H	C_3H_7	c-C_3H_5	-
762	CH_3	CH_2	H	Cl	CF_3	Cl	H	C_3H_7	c-C_3H_5	-
763	CH_3	CH_2	H	Cl	CF_3	F	H	C_3H_7	c-C_3H_5	-
764	CH_3	CH_2	H	Cl	OCH_3	Cl	H	C_3H_7	c-C_3H_5	-
765	CH_3	CH_2	H	Cl	OCH_3	F	H	C_3H_7	c-C_3H_5	-
766	CH_3	CH_2	H	Cl	OCH_3	CH_3	H	C_3H_7	c-C_3H_5	-
767	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	C_3H_7	c-C_3H_5	oil
768	CH_3	CH_2	H	Cl	H	Cl	H	C_3H_7	c-C_3H_5	-
769	CH_3	CH_2	H	Cl	Cl	OCH_3	H	C_3H_7	c-C_3H_5	-
770	CH_3	CH_2	H	Cl	CH_3	OCH_3	H	C_3H_7	c-C_3H_5	-
771	CH_3	CH_2	H	CH_3	Cl	OCH_3	H	C_3H_7	c-C_3H_5	-
772	CH_3	CH_2	H	CH_3	CH_3	OCH_3	H	C_3H_7	c-C_3H_5	-
773	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	CH_3	CH_2Cl	109-110
774	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	C_3H_7	-
775	CH_3	CH_2	H	Cl	CH_3	H	H	C_2H_5	C_3H_7	-
776	CH_3	CH_2	H	Cl	OCH_3	H	H	C_2H_5	C_3H_7	oil
777	CH_3	CH_2	H	CH_3	OCH_3	H	H	C_2H_5	C_3H_7	oil
778	CH_3	CH_2	H	Cl	CF_3	H	H	C_2H_5	C_3H_7	oil
779	CH_3	CH_2	H	CF_3	Cl	H	H	C_2H_5	C_3H_7	oil
780	CH_3	CH_2	H	CH_3	Cl	H	H	C_2H_5	C_3H_7	-
781	CH_3	CH_2	H	CF_3	CF_3	H	H	C_2H_5	C_3H_7	-
782	CH_3	CH_2	H	Cl	CN	H	H	C_2H_5	C_3H_7	-
783	CH_3	CH_2	H	Cl	Cl	F	H	C_2H_5	C_3H_7	-
784	CH_3	CH_2	H	Cl	Cl	Cl	H	C_2H_5	C_3H_7	-
785	CH_3	CH_2	H	CH_3	OCH_3	F	H	C_2H_5	C_3H_7	-
786	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	C_2H_5	C_3H_7	-
787	CH_3	CH_2	H	Cl	CH_3	F	H	C_2H_5	C_3H_7	-
788	CH_3	CH_2	H	Cl	CF_3	Cl	H	C_2H_5	C_3H_7	-
789	CH_3	CH_2	H	Cl	CF_3	F	H	C_2H_5	C_3H_7	-

790	CH_3	CH_2	H	Cl	OCH_3	Cl	H	C_2H_5	C_3H_7	-
791	CH_3	CH_2	H	Cl	OCH_3	F	H	C_2H_5	C_3H_7	-
792	CH_3	CH_2	H	Cl	OCH_3	CH_3	H	C_2H_5	C_3H_7	-
793	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	C_2H_5	C_3H_7	oil
794	CH_3	CH_2	H	Cl	H	Cl	H	C_2H_5	C_3H_7	-
795	CH_3	CH_2	H	Cl	Cl	OCH_3	H	C_2H_5	C_3H_7	-
796	CH_3	CH_2	H	Cl	CH_3	OCH_3	H	C_2H_5	C_3H_7	-
797	CH_3	CH_2	H	CH_3	Cl	OCH_3	H	C_2H_5	C_3H_7	-
798	CH_3	CH_2	H	CH_3	CH_3	OCH_3	H	C_2H_5	C_3H_7	-
799	CH_3	CH_2	H	CH_3	CH_3	CH_3	H	C_2H_5	C_3H_7	oil
800	CH_3	CH_2	H	CF_3	Cl	H	H	H	4-CH_3O-C_6H_4	138-139
801	CH_3	CH_2	H	CF_3	Cl	H	H	c-C_3H_5	c-C_3H_5	138-139
802	CH_3	CH_2	H	CF_3	Cl	H	H	C_2H_5	c-C_3H_5	oil (A) 122-125 (C)
803	CH_3	CH_2	H	CF_3	Cl	H	H	CH_3	c-C_3H_5	oil
804	CH_3	CH_2	H	CF_3	Cl	H	H	CH_3	C_3H_7	oil
805	CH_3	CH_2	H	CF_3	Cl	H	H	CH_3	C_4H_9	oil
806	CH_3	CH_2	H	CF_3	Cl	H	H	CH_3	C_5H_{11}	-
807	CH_3	CH_2	H	CF_3	Cl	H	H	C_2H_5	C_4H_9	oil
808	CH_3	CH_2	H	CF_3	Cl	H	H	C_3H_7	C_3H_7	oil
809	CH_3	CH_2	H	CF_3	Cl	H	H	C_2H_5	C_2H_5	oil
810	CH_3	CH_2	H	Cl	CN	H	H	H	4-CH_3O-C_6H_4	-
811	CH_3	CH_2	H	Cl	CN	H	H	c-C_3H_5	c-C_3H_5	180-182
812	CH_3	CH_2	H	Cl	CN	H	H	C_2H_5	c-C_3H_5	-
813	CH_3	CH_2	H	Cl	CN	H	H	CH_3	c-C_3H_5	-
814	CH_3	CH_2	H	Cl	CN	H	H	CH_3	C_3H_7	-
815	CH_3	CH_2	H	Cl	CN	H	H	CH_3	C_4H_9	-
816	CH_3	CH_2	H	Cl	CN	H	H	CH_3	C_5H_{11}	-
817	CH_3	CH_2	H	Cl	CN	H	H	C_2H_5	C_4H_9	-
818	CH_3	CH_2	H	Cl	CN	H	H	C_3H_7	C_3H_7	-
819	CH_3	CH_2	H	Cl	CN	H	H	C_2H_5	C_2H_5	-
820	CH_3	CH_2	H	CF_3	CF_3	H	H	H	4-CH_3O-C_6H_4	-
821	CH_3	CH_2	H	CF_3	CF_3	H	H	c-C_3H_5	c-C_3H_5	149-150
822	CH_3	CH_2	H	CF_3	CF_3	H	H	C_2H_5	c-C_3H_5	-
823	CH_3	CH_2	H	CF_3	CF_3	H	H	CH_3	c-C_3H_5	-
824	CH_3	CH_2	H	CF_3	CF_3	H	H	CH_3	C_3H_7	oil
825	CH_3	CH_2	H	CF_3	CF_3	H	H	CH_3	C_4H_9	-
826	CH_3	CH_2	H	CF_3	CF_3	H	H	CH_3	C_5H_{11}	-

827	CH_3	CH_2	H	CF_3	CF_3	H	H	C_2H_5	C_4H_9	-
828	CH_3	CH_2	H	CF_3	CF_3	H	H	C_3H_7	C_3H_7	-
829	CH_3	CH_2	H	CF_3	CF_3	H	H	C_2H_5	C_2H_5	-
830	CH_3	CH_2	H	Cl	OCH_3	H	H	H	4-CH_3O-C_6H_4	58-60
831	CH_3	CH_2	H	Cl	OCH_3	H	H	c-C_3H_5	c-C_3H_5	139-140
832	CH_3	CH_2	H	Cl	OCH_3	H	H	C_2H_5	c-C_3H_5	oil
833	CH_3	CH_2	H	Cl	OCH_3	H	H	H	c-C_3H_5	oil
834	CH_3	CH_2	H	Cl	OCH_3	H	H	CH_3	C_3H_7	oil
835	CH_3	CH_2	H	Cl	OCH_3	H	H	CH_3	C_4H_9	oil
836	CH_3	CH_2	H	Cl	OCH_3	H	H	CH_3	C_5H_{11}	oil
837	CH_3	CH_2	H	Cl	OCH_3	H	H	C_2H_5	C_4H_9	oil
838	CH_3	CH_2	H	Cl	OCH_3	H	H	C_3H_7	C_3H_7	oil
839	CH_3	CH_2	H	Cl	OCH_3	H	H	C_2H_5	C_2H_5	oil
840	CH_3	CH_2	H	Cl	Cl	F	H	H	4-CH_3O-C_6H_4	-
841	CH_3	CH_2	H	Cl	Cl	F	H	c-C_3H_5	c-C_3H_5	148-149
842	CH_3	CH_2	H	Cl	Cl	F	H	C_2H_5	c-C_3H_5	-
843	CH_3	CH_2	H	Cl	Cl	F	H	CH_3	c-C_3H_5	-
844	CH_3	CH_2	H	Cl	Cl	F	H	CH_3	C_3H_7	-
845	CH_3	CH_2	H	Cl	Cl	F	H	CH_3	C_4H_9	-
846	CH_3	CH_2	H	Cl	Cl	F	H	CH_3	C_5H_{11}	-
847	CH_3	CH_2	H	Cl	Cl	F	H	C_2H_5	C_4H_9	-
848	CH_3	CH_2	H	Cl	Cl	F	H	C_3H_7	C_3H_7	-
849	CH_3	CH_2	H	Cl	Cl	F	H	C_2H_5	C_2H_5	-
850	CH_3	CH_2	H	Cl	Cl	Cl	H	H	4-CH_3O-C_6H_4	-
851	CH_3	CH_2	H	Cl	Cl	Cl	H	c-C_3H_5	c-C_3H_5	-
852	CH_3	CH_2	H	Cl	Cl	Cl	H	C_2H_5	c-C_3H_5	-
853	CH_3	CH_2	H	Cl	Cl	Cl	H	CH_3	c-C_3H_5	-
854	CH_3	CH_2	H	Cl	Cl	Cl	H	CH_3	C_3H_7	-
855	CH_3	CH_2	H	Cl	Cl	Cl	H	CH_3	C_4H_9	-
856	CH_3	CH_2	H	Cl	Cl	Cl	H	CH_3	C_5H_{11}	-
857	CH_3	CH_2	H	Cl	Cl	Cl	H	C_2H_5	C_4H_9	-
858	CH_3	CH_2	H	Cl	Cl	Cl	H	C_3H_7	C_3H_7	-
859	CH_3	CH_2	H	Cl	Cl	Cl	H	C_2H_5	C_2H_5	-
860	CH_3	CH_2	H	CH_3	OCH_3	F	H	H	4-CH_3O-C_6H_4	-
861	CH_3	CH_2	H	CH_3	OCH_3	F	H	c-C_3H_5	c-C_3H_5	128-129
862	CH_3	CH_2	H	CH_3	OCH_3	F	H	C_2H_5	c-C_3H_5	-
863	CH_3	CH_2	H	CH_3	OCH_3	F	H	CH_3	c-C_3H_5	-
864	CH_3	CH_2	H	CH_3	OCH_3	F	H	CH_3	C_3H_7	-
865	CH_3	CH_2	H	CH_3	OCH_3	F	H	CH_3	C_4H_9	-
866	CH_3	CH_2	H	CH_3	OCH_3	F	H	CH_3	C_5H_{11}	-

867	CH_3	CH_2	H	CH_3	OCH_3	F	H	C_2H_5	C_4H_9	-
868	CH_3	CH_2	H	CH_3	OCH_3	F	H	C_3H_7	C_3H_7	-
869	CH_3	CH_2	H	CH_3	OCH_3	F	H	C_2H_5	C_2H_5	-
870	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	H	4-CH_3O-C_6H_4	oil
871	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	c-C_3H_5	c-C_3H_5	179-181
872	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	C_2H_5	c-C_3H_5	-
873	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	CH_3	c-C_3H_5	-
874	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	CH_3	C_3H_7	-
875	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	CH_3	C_4H_9	-
876	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	CH_3	C_5H_{11}	-
877	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	C_2H_5	C_4H_9	-
878	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	C_3H_7	C_3H_7	-
879	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	C_2H_5	C_2H_5	-
880	CH_3	CH_2	H	Cl	CH_3	F	H	H	4-CH_3O-C_6H_4	-
881	CH_3	CH_2	H	Cl	CH_3	F	H	c-C_3H_5	c-C_3H_5	130-131
882	CH_3	CH_2	H	Cl	CH_3	F	H	C_2H_5	c-C_3H_5	-
883	CH_3	CH_2	H	Cl	CH_3	F	H	CH_3	c-C_3H_5	-
884	CH_3	CH_2	H	Cl	CH_3	F	H	CH_3	C_3H_7	-
885	CH_3	CH_2	H	Cl	CH_3	F	H	CH_3	C_4H_9	-
886	CH_3	CH_2	H	Cl	CH_3	F	H	CH_3	C_5H_{11}	-
887	CH_3	CH_2	H	Cl	CH_3	F	H	C_2H_5	C_4H_9	-
888	CH_3	CH_2	H	Cl	CH_3	F	H	C_3H_7	C_3H_7	-
889	CH_3	CH_2	H	Cl	CH_3	F	H	C_2H_5	C_2H_5	-
890	CH_3	CH_2	H	Cl	CF_3	Cl	H	H	4-CH_3O-C_6H_4	-
891	CH_3	CH_2	H	Cl	CF_3	Cl	H	c-C_3H_5	c-C_3H_5	-
892	CH_3	CH_2	H	Cl	CF_3	Cl	H	C_2H_5	c-C_3H_5	-
893	CH_3	CH_2	H	Cl	CF_3	Cl	H	CH_3	c-C_3H_5	-
894	CH_3	CH_2	H	Cl	CF_3	Cl	H	CH_3	C_3H_7	-
895	CH_3	CH_2	H	Cl	CF_3	Cl	H	CH_3	C_4H_9	-
896	CH_3	CH_2	H	Cl	CF_3	Cl	H	CH_3	C_5H_{11}	-
897	CH_3	CH_2	H	Cl	CF_3	Cl	H	C_2H_5	C_4H_9	-
898	CH_3	CH_2	H	Cl	CF_3	Cl	H	C_3H_7	C_3H_7	-
899	CH_3	CH_2	H	Cl	CF_3	Cl	H	C_2H_5	C_2H_5	-
900	CH_3	CH_2	H	CH_3	OCH_3	H	H	H	C_4H_9	oil
901	CH_3	CH_2	H	CH_3	OCH_3	H	H	C_2H_5	C_2H_5	69-73
902	CH_3	CH_2	H	Cl	CH_3	H	H	C_3H_7	C_3H_7	oil
903	CH_3	CH_2	H	Cl	CF_3	F	H	H	4-CH_3O-C_6H_4	-
904	CH_3	CH_2	H	Cl	CF_3	F	H	c-C_3H_5	c-C_3H_5	-
905	CH_3	CH_2	H	Cl	CF_3	F	H	C_2H_5	c-C_3H_5	-
906	CH_3	CH_2	H	Cl	CF_3	F	H	CH_3	c-C_3H_5	-

907	CH_3	CH_2	H	Cl	CF_3	F	H	CH_3	C_3H_7	-
908	CH_3	CH_2	H	Cl	CF_3	F	H	CH_3	C_4H_9	-
909	CH_3	CH_2	H	Cl	CF_3	F	H	CH_3	C_5H_{11}	-
910	CH_3	CH_2	H	Cl	CF_3	F	H	C_2H_5	C_4H_9	-
911	CH_3	CH_2	H	Cl	CF_3	F	H	C_3H_7	C_3H_7	-
912	CH_3	CH_2	H	Cl	CF_3	F	H	C_2H_5	C_2H_5	-
913	CH_3	CH_2	H	Cl	OCH_3	Cl	H	H	4-CH_3O-C_6H_4	-
914	CH_3	CH_2	H	Cl	OCH_3	Cl	H	c-C_3H_5	c-C_3H_5	oil
915	CH_3	CH_2	H	Cl	OCH_3	Cl	H	C_2H_5	c-C_3H_5	-
916	CH_3	CH_2	H	Cl	OCH_3	Cl	H	CH_3	c-C_3H_5	-
917	CH_3	CH_2	H	Cl	OCH_3	Cl	H	CH_3	C_3H_7	-
918	CH_3	CH_2	H	Cl	OCH_3	Cl	H	CH_3	C_4H_9	-
919	CH_3	CH_2	H	Cl	OCH_3	Cl	H	CH_3	C_5H_{11}	-
920	CH_3	CH_2	H	Cl	OCH_3	Cl	H	C_2H_5	C_4H_9	-
921	CH_3	CH_2	H	Cl	OCH_3	Cl	H	C_3H_7	C_3H_7	-
922	CH_3	CH_2	H	Cl	OCH_3	Cl	H	C_2H_5	C_2H_5	-
923	CH_3	CH_2	H	Cl	OCH_3	F	H	H	4-CH_3O-C_6H_4	-
924	CH_3	CH_2	H	Cl	OCH_3	F	H	c-C_3H_5	c-C_3H_5	-
925	CH_3	CH_2	H	Cl	OCH_3	F	H	C_2H_5	c-C_3H_5	-
926	CH_3	CH_2	H	Cl	OCH_3	F	H	CH_3	c-C_3H_5	-
927	CH_3	CH_2	H	Cl	OCH_3	F	H	CH_3	C_3H_7	-
928	CH_3	CH_2	H	Cl	OCH_3	F	H	CH_3	C_4H_9	-
929	CH_3	CH_2	H	Cl	OCH_3	F	H	CH_3	C_5H_{11}	-
930	CH_3	CH_2	H	Cl	OCH_3	F	H	C_2H_5	C_4H_9	-
931	CH_3	CH_2	H	Cl	OCH_3	F	H	C_3H_7	C_3H_7	-
932	CH_3	CH_2	H	Cl	OCH_3	F	H	C_2H_5	C_2H_5	-
933	CH_3	CH_2	H	Cl	OCH_3	CH_3	H	H	4-CH_3O-C_6H_4	-
934	CH_3	CH_2	H	Cl	OCH_3	CH_3	H	c-C_3H_5	c-C_3H_5	150-151
935	CH_3	CH_2	H	Cl	OCH_3	CH_3	H	C_2H_5	c-C_3H_5	-
936	CH_3	CH_2	H	Cl	OCH_3	CH_3	H	CH_3	c-C_3H_5	-
937	CH_3	CH_2	H	Cl	OCH_3	CH_3	H	CH_3	C_3H_7	-
938	CH_3	CH_2	H	Cl	OCH_3	CH_3	H	CH_3	C_4H_9	-
939	CH_3	CH_2	H	Cl	OCH_3	CH_3	H	CH_3	C_5H_{11}	-
940	CH_3	CH_2	H	Cl	OCH_3	CH_3	H	C_2H_5	C_4H_9	-
941	CH_3	CH_2	H	Cl	OCH_3	CH_3	H	C_3H_7	C_3H_7	-
942	CH_3	CH_2	H	Cl	OCH_3	CH_3	H	C_2H_5	C_2H_5	-
943	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	H	4-CH_3O-C_6H_4	-
944	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	c-C_3H_5	c-C_3H_5	148-151
945	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	C_2H_5	c-C_3H_5	oil
946	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	CH_3	c-C_3H_5	-

947	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	CH_3	C_3H_7	oil
948	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	CH_3	C_4H_9	-
949	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	CH_3	C_5H_{11}	-
950	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	C_2H_5	C_4H_9	-
951	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	C_3H_7	C_3H_7	oil
952	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	C_2H_5	C_2H_5	oil
953	CH_3	CH_2	H	Cl	H	Cl	H	H	4-CH_3O-C_6H_4	-
954	CH_3	CH_2	H	Cl	H	Cl	H	c-C_3H_5	c-C_3H_5	151-153
955	CH_3	CH_2	H	Cl	H	Cl	H	C_2H_5	c-C_3H_5	-
956	CH_3	CH_2	H	Cl	H	Cl	H	CH_3	c-C_3H_5	-
957	CH_3	CH_2	H	Cl	H	Cl	H	CH_3	C_3H_7	-
958	CH_3	CH_2	H	Cl	H	Cl	H	CH_3	C_4H_9	-
959	CH_3	CH_2	H	Cl	H	Cl	H	CH_3	C_5H_{11}	-
960	CH_3	CH_2	H	Cl	H	Cl	H	C_2H_5	C_4H_9	-
961	CH_3	CH_2	H	Cl	H	Cl	H	C_3H_7	C_3H_7	-
962	CH_3	CH_2	H	Cl	H	Cl	H	C_2H_5	C_2H_5	-
963	CH_3	CH_2	H	Cl	Cl	OCH_3	H	H	4-CH_3O-C_6H_4	-
964	CH_3	CH_2	H	Cl	Cl	OCH_3	H	c-C_3H_5	c-C_3H_5	-
965	CH_3	CH_2	H	Cl	Cl	OCH_3	H	C_2H_5	c-C_3H_5	-
966	CH_3	CH_2	H	Cl	Cl	OCH_3	H	CH_3	c-C_3H_5	-
967	CH_3	CH_2	H	Cl	Cl	OCH_3	H	CH_3	C_3H_7	-
968	CH_3	CH_2	H	Cl	Cl	OCH_3	H	CH_3	C_4H_9	-
969	CH_3	CH_2	H	Cl	Cl	OCH_3	H	CH_3	C_5H_{11}	-
970	CH_3	CH_2	H	Cl	Cl	OCH_3	H	C_2H_5	C_4H_9	-
971	CH_3	CH_2	H	Cl	Cl	OCH_3	H	C_3H_7	C_3H_7	-
972	CH_3	CH_2	H	Cl	Cl	OCH_3	H	C_2H_5	C_2H_5	-
973	CH_3	CH_2	H	Cl	CH_3	OCH_3	H	H	4-CH_3O-C_6H_4	-
974	CH_3	CH_2	H	Cl	CH_3	OCH_3	H	c-C_3H_5	c-C_3H_5	-
975	CH_3	CH_2	H	Cl	CH_3	OCH_3	H	C_2H_5	c-C_3H_5	-
976	CH_3	CH_2	H	Cl	CH_3	OCH_3	H	CH_3	c-C_3H_5	-
977	CH_3	CH_2	H	Cl	CH_3	OCH_3	H	CH_3	C_3H_7	-
978	CH_3	CH_2	H	Cl	CH_3	OCH_3	H	CH_3	C_4H_9	-
979	CH_3	CH_2	H	Cl	CH_3	OCH_3	H	CH_3	C_5H_{11}	-
980	CH_3	CH_2	H	Cl	CH_3	OCH_3	H	C_2H_5	C_4H_9	-
981	CH_3	CH_2	H	Cl	CH_3	OCH_3	H	C_3H_7	C_3H_7	-
982	CH_3	CH_2	H	Cl	CH_3	OCH_3	H	C_2H_5	C_2H_5	-
983	CH_3	CH_2	H	CH_3	Cl	OCH_3	H	H	4-CH_3O-C_6H_4	-
984	CH_3	CH_2	H	CH_3	Cl	OCH_3	H	c-C_3H_5	c-C_3H_5	-
985	CH_3	CH_2	H	CH_3	Cl	OCH_3	H	C_2H_5	c-C_3H_5	-
986	CH_3	CH_2	H	CH_3	Cl	OCH_3	H	CH_3	c-C_3H_5	-

987	CH_3	CH_2	H	CH_3	Cl	OCH_3	H	CH_3	C_3H_7	-
988	CH_3	CH_2	H	CH_3	Cl	OCH_3	H	CH_3	C_4H_9	-
989	CH_3	CH_2	H	CH_3	Cl	OCH_3	H	CH_3	C_5H_{11}	-
990	CH_3	CH_2	H	CH_3	Cl	OCH_3	H	C_2H_5	C_4H_9	-
991	CH_3	CH_2	H	CH_3	Cl	OCH_3	H	C_3H_7	C_3H_7	-
992	CH_3	CH_2	H	CH_3	Cl	OCH_3	H	C_2H_5	C_2H_5	-
993	CH_3	CH_2	H	CH_3	CH_3	OCH_3	H	H	4-CH_3O-C_6H_4	-
994	CH_3	CH_2	H	CH_3	CH_3	OCH_3	H	c-C_3H_5	c-C_3H_5	-
995	CH_3	CH_2	H	CH_3	CH_3	OCH_3	H	C_2H_5	c-C_3H_5	-
996	CH_3	CH_2	H	CH_3	CH_3	OCH_3	H	CH_3	c-C_3H_5	-
997	CH_3	CH_2	H	CH_3	CH_3	OCH_3	H	CH_3	C_3H_7	-
998	CH_3	CH_2	H	CH_3	CH_3	OCH_3	H	CH_3	C_4H_9	-
999	CH_3	CH_2	H	CH_3	CH_3	OCH_3	H	CH_3	C_5H_{11}	-
1000	CH_3	CH_2	H	CH_3	CH_3	OCH_3	H	C_2H_5	C_4H_9	-
1001	CH_3	CH_2	H	CH_3	CH_3	OCH_3	H	C_3H_7	C_3H_7	-
1002	CH_3	CH_2	H	CH_3	CH_3	OCH_3	H	C_2H_5	C_2H_5	-
1003	CH_3	CH_2	H	CH_3	OCH_3	OCH_3	H	H	4-CH_3O-C_6H_4	oil
1004	CH_3	CH_2	H	CH_3	OCH_3	OCH_3	H	c-C_3H_5	c-C_3H_5	138-140
1005	CH_3	CH_2	H	CH_3	OCH_3	OCH_3	H	C_2H_5	c-C_3H_5	-
1006	CH_3	CH_2	H	CH_3	OCH_3	OCH_3	H	CH_3	c-C_3H_5	-
1007	CH_3	CH_2	H	CH_3	OCH_3	OCH_3	H	CH_3	C_3H_7	-
1008	CH_3	CH_2	H	CH_3	OCH_3	OCH_3	H	CH_3	C_4H_9	-
1009	CH_3	CH_2	H	CH_3	OCH_3	OCH_3	H	CH_3	C_5H_{11}	-
1010	CH_3	CH_2	H	CH_3	OCH_3	OCH_3	H	C_2H_5	C_4H_9	-
1011	CH_3	CH_2	H	CH_3	OCH_3	OCH_3	H	C_3H_7	C_3H_7	-
1012	CH_3	CH_2	H	CH_3	OCH_3	OCH_3	H	C_2H_5	C_2H_5	oil
1013	CH_3	CH_2	H	Cl	OCH_3	OCH_3	H	H	4-CH_3O-C_6H_4	-
1014	CH_3	CH_2	H	Cl	OCH_3	OCH_3	H	c-C_3H_5	c-C_3H_5	-
1015	CH_3	CH_2	H	Cl	OCH_3	OCH_3	H	C_2H_5	c-C_3H_5	-
1016	CH_3	CH_2	H	Cl	OCH_3	OCH_3	H	CH_3	c-C_3H_5	-
1017	CH_3	CH_2	H	Cl	OCH_3	OCH_3	H	CH_3	C_3H_7	-
1018	CH_3	CH_2	H	Cl	OCH_3	OCH_3	H	CH_3	C_4H_9	-
1019	CH_3	CH_2	H	Cl	OCH_3	OCH_3	H	CH_3	C_5H_{11}	-
1020	CH_3	CH_2	H	Cl	OCH_3	OCH_3	H	C_2H_5	C_4H_9	-
1021	CH_3	CH_2	H	Cl	OCH_3	OCH_3	H	C_3H_7	C_3H_7	-
1022	CH_3	CH_2	H	Cl	OCH_3	OCH_3	H	C_2H_5	C_2H_5	-
1023	CH_3	CH_2	H	Cl	OCF_3	H	H	H	4-CH_3O-C_6H_4	oil
1024	CH_3	CH_2	H	Cl	OCF_3	H	H	c-C_3H_5	c-C_3H_5	119-120
1025	CH_3	CH_2	H	Cl	OCF_3	H	H	C_2H_5	c-C_3H_5	103-104
1026	CH_3	CH_2	H	Cl	OCF_3	H	H	CH_3	c-C_3H_5	-

1027	CH_3	CH_2	H	Cl	OCF_3	H	H	CH_3	C_3H_7	oil
1028	CH_3	CH_2	H	Cl	OCF_3	H	H	CH_3	C_4H_9	oil
1029	CH_3	CH_2	H	Cl	OCF_3	H	H	CH_3	C_5H_{11}	-
1030	CH_3	CH_2	H	Cl	OCF_3	H	H	C_2H_5	C_4H_9	-
1031	CH_3	CH_2	H	Cl	OCF_3	H	H	C_3H_7	C_3H_7	-
1032	CH_3	CH_2	H	Cl	OCF_3	H	H	C_2H_5	C_2H_5	oil
1033	CH_3	CH_2	H	Cl	SCF_3	H	H	H	4-CH_3O-C_6H_4	-
1034	CH_3	CH_2	H	Cl	SCF_3	H	H	c-C_3H_5	c-C_3H_5	-
1035	CH_3	CH_2	H	Cl	SCF_3	H	H	C_2H_5	c-C_3H_5	-
1036	CH_3	CH_2	H	Cl	SCF_3	H	H	CH_3	c-C_3H_5	-
1037	CH_3	CH_2	H	Cl	SCF_3	H	H	CH_3	C_3H_7	-
1038	CH_3	CH_2	H	Cl	SCF_3	H	H	CH_3	C_4H_9	-
1039	CH_3	CH_2	H	Cl	SCF_3	H	H	CH_3	C_5H_{11}	-
1040	CH_3	CH_2	H	Cl	SCF_3	H	H	C_2H_5	C_4H_9	-
1041	CH_3	CH_2	H	Cl	SCF_3	H	H	C_3H_7	C_3H_7	-
1042	CH_3	CH_2	H	Cl	SCF_3	H	H	C_2H_5	C_2H_5	-
1044	CH_3	CH_2	H	Cl	CF_3	H	H	H	4-CH_3O-C_6H_4	105-107
1045	CH_3	CH_2	H	CF_3	Q3	H	H	c-C_3H_5	c-C_3H_5	168-169
1046	CH_3	CH_2	H	Cl	Q3	H	H	c-C_3H_5	c-C_3H_5	130-132
1047	CH_3	CH_2	H	CF_3	SCH_3	H	H	c-C_3H_5	c-C_3H_5	-
1048	CH_3	CH_2	H	Cl	SCH_3	H	H	c-C_3H_5	c-C_3H_5	-
1049	CH_3	CH_2	H	CF_3	$COCH_3$	H	H	c-C_3H_5	c-C_3H_5	-
1050	CH_3	CH_2	H	Cl	$COCH_3$	H	H	c-C_3H_5	c-C_3H_5	-
1051	CH_3	CH_2	H	CF_3	$CHCH_2$	H	H	c-C_3H_5	c-C_3H_5	-
1052	CH_3	CH_2	H	Cl	$CHCH_2$	H	H	c-C_3H_5	c-C_3H_5	-
1053	CH_3	CH_2	H	Cl	CH_3	H	H	H	4-CH_3O-C_6H_4	113-115
1054	CH_3	CH_2	H	OCH_3	OCH_3	H	H	H	4-CH_3O-C_6H_4	-
1055	CH_3	CH_2	H	OCH_3	OCH_3	H	H	c-C_3H_5	c-C_3H_5	128-130
1056	CH_3	CH_2	H	OCH_3	OCH_3	H	H	C_2H_5	c-C_3H_5	-
1057	CH_3	CH_2	H	OCH_3	OCH_3	H	H	CH_3	c-C_3H_5	-
1058	CH_3	CH_2	H	OCH_3	OCH_3	H	H	CH_3	C_3H_7	-
1059	CH_3	CH_2	H	OCH_3	OCH_3	H	H	CH_3	C_4H_9	-
1060	CH_3	CH_2	H	OCH_3	OCH_3	H	H	CH_3	C_5H_{11}	-
1061	CH_3	CH_2	H	OCH_3	OCH_3	H	H	C_2H_5	C_4H_9	-
1062	CH_3	CH_2	H	OCH_3	OCH_3	H	H	C_3H_7	C_3H_7	-
1063	CH_3	CH_2	H	OCH_3	OCH_3	H	H	C_2H_5	C_2H_5	-
1064	CH_3	CH_2	H	OCH_3	CF_3	H	H	H	4-CH_3O-C_6H_4	-
1065	CH_3	CH_2	H	OCH_3	CF_3	H	H	c-C_3H_5	c-C_3H_5	158-159
1066	CH_3	CH_2	H	OCH_3	CP_3	H	H	C_2H_5	c-C_3H_5	-
1067	CH_3	CH_2	H	OCH_3	CF_3	H	H	CH_3	c-C_3H_5	-

1068	CH_3	CH_2	H	OCH_3	CF_3	H	H	CH_3	C_3H_7	-
1069	CH_3	CH_2	H	OCH_3	CF_3	H	H	CH_3	C_4H_9	-
1070	CH_3	CH_2	H	OCH_3	CF_3	H	H	CH_3	C_5H_{11}	-
1071	CH_3	CH_2	H	OCH_3	CF_3	H	H	C_2H_5	C_4H_9	-
1072	CH_3	CH_2	H	OCH_3	CF_3	H	H	C_3H_7	C_3H_7	-
1073	CH_3	CH_2	H	OCH_3	CF_3	H	H	C_2H_5	C_2H_5	-
1074	CH_3	CH_2	H	CF_3	OCH_3	H	H	H	4-CH_3O-C_6H_4	oil
1075	CH_3	CH_2	H	CF_3	OCH_3	H	H	c-C_3H_5	c-C_3H_5	129-130
1076	CH_3	CH_2	H	CF_3	OCH_3	H	H	C_2H_5	c-C_3H_5	119-122
1077	CH_3	CH_2	H	CF_3	OCH_3	H	H	CH_3	c-C_3H_5	-
1078	CH_3	CH_2	H	CF_3	OCH_3	H	H	CH_3	C_3H_7	oil
1079	CH_3	CH_2	H	CF_3	OCH_3	H	H	CH_3	C_4H_9	oil
1080	CH_3	CH_2	H	CF_3	OCH_3	H	H	CH_3	C_5H_{11}	-
1081	CH_3	CH_2	H	CF_3	OCH_3	H	H	C_2H_5	C_4H_9	-
1082	CH_3	CH_2	H	CF_3	OCH_3	H	H	C_3H_7	C_3H_7	oil
1083	CH_3	CH_2	H	CF_3	OCH_3	H	H	C_2H_5	C_2H_5	77-78
1084	CH_3	CH_2	H	OCH_3	Cl	OCH_3	H	H	4-CH_3O-C_6H_4	-
1085	CH_3	CH_2	H	OCH_3	Cl	OCH_3	H	c-C_3H_5	c-C_3H_5	-
1086	CH_3	CH_2	H	OCH_3	Cl	OCH_3	H	C_2H_5	c-C_3H_5	-
1087	CH_3	CH_2	H	OCH_3	Cl	OCH_3	H	CH_3	c-C_3H_5	-
1088	CH_3	CH_2	H	OCH_3	Cl	OCH_3	H	CH_3	C_3H_7	-
1089	CH_3	CH_2	H	OCH_3	Cl	OCH_3	H	CH_3	C_4H_9	-
1090	CH_3	CH_2	H	OCH_3	Cl	OCH_3	H	CH_3	C_5H_{11}	-
1091	CH_3	CH_2	H	OCH_3	Cl	OCH_3	H	C_2H_5	C_4H_9	-
1092	CH_3	CH_2	H	OCH_3	Cl	OCH_3	H	C_3H_7	C_3H_7	-
1093	CH_3	CH_2	H	OCH_3	Cl	OCH_3	H	C_2H_5	C_2H_5	-
1094	CH_3	CH_2	H	OCH_3	CH_3	OCH_3	H	H	4-CH_3O-C_6H_4	-
1095	CH_3	CH_2	H	OCH_3	CH_3	OCH_3	H	c-C_3H_5	c-C_3H_5	-
1096	CH_3	CH_2	H	OCH_3	CH_3	OCH_3	H	C_2H_5	c-C_3H_5	-
1097	CH_3	CH_2	H	OCH_3	CH_3	OCH_3	H	CH_3	c-C_3H_5	-
1098	CH_3	CH_2	H	OCH_3	CH_3	OCH_3	H	CH_3	C_3H_7	-
1099	CH_3	CH_2	H	OCH_3	CH_3	OCH_3	H	CH_3	C_4H_9	-
1100	CH_3	CH_2	H	OCH_3	CH_3	OCH_3	H	CH_3	C_5H_{11}	-
1101	CH_3	CH_2	H	OCH_3	CH_3	OCH_3	H	C_2H_5	C_4H_9	-
1102	CH_3	CH_2	H	OCH_3	CH_3	OCH_3	H	C_3H_7	C_3H_7	-
1103	CH_3	CH_2	H	OCH_3	CH_3	OCH_3	H	C_2H_5	C_2H_5	-
1104	CH_3	CH_2	H	OCH_3	CF_3	OCH_3	H	H	4-CH_3O-C_6H_4	-
1105	CH_3	CH_2	H	OCH_3	CF_3	OCH_3	H	c-C_3H_5	c-C_3H_5	-
1106	CH_3	CH_2	H	OCH_3	CF_3	OCH_3	H	C_2H_5	c-C_3H_5	-
1107	CH_3	CH_2	H	OCH_3	CF_3	OCH_3	H	CH_3	c-C_3H_5	-

1108	CH_3	CH_2	H	OCH_3	CF_3	OCH_3	H	CH_3	C_3H_7	-
1109	CH_3	CH_2	H	OCH_3	CF_3	OCH_3	H	CH_3	C_4H_9	-
1110	CH_3	CH_2	H	OCH_3	CF_3	OCH_3	H	CH_3	C_5H_{11}	-
1111	CH_3	CH_2	H	OCH_3	CF_3	OCH_3	H	C_2H_5	C_4H_9	-
1112	CH_3	CH_2	H	OCH_3	CF_3	OCH_3	H	C_3H_7	C_3H_7	-
1113	CH_3	CH_2	H	OCH_3	CF_3	OCH_3	H	C_2H_5	C_2H_5	-
1114	CH_3	CH_2	H	OCH_3	CN	OCH_3	H	H	4-CH_3O-C_6H_4	-
1115	CH_3	CH_2	H	OCH_3	CN	OCH_3	H	c-C_3H_5	c-C_3H_5	-
1116	CH_3	CH_2	H	OCH_3	CN	OCH_3	H	C_2H_5	c-C_3H_5	-
1117	CH_3	CH_2	H	OCH_3	CN	OCH_3	H	CH_3	c-C_3H_5	-
1118	CH_3	CH_2	H	OCH_3	CN	OCH_3	H	CH_3	C_3H_7	-
1119	CH_3	CH_2	H	OCH_3	CN	OCH_3	H	CH_3	C_4H_9	-
1120	CH_3	CH_2	H	OCH_3	CN	OCH_3	H	CH_3	C_5H_{11}	-
1121	CH_3	CH_2	H	OCH_3	CN	OCH_3	H	C_2H_5	C_4H_9	-
1122	CH_3	CH_2	H	OCH_3	CN	OCH_3	H	C_3H_7	C_3H_7	-
1123	CH_3	CH_2	H	OCH_3	CN	OCH_3	H	C_2H_5	C_2H_5	-
1124	CH_3	CH_2	H	OCH_3	OCH_3	OCH_3	H	H	4-CH_3O-C_6H_4	-
1125	CH_3	CH_2	H	OCH_3	OCH_3	OCH_3	H	c-C_3H_5	c-C_3H_5	-
1126	CH_3	CH_2	H	OCH_3	OCH_3	OCH_3	H	C_2H_5	c-C_3H_5	-
1127	CH_3	CH_2	H	OCH_3	OCH_3	OCH_3	H	CH_3	c-C_3H_5	-
1128	CH_3	CH_2	H	OCH_3	OCH_3	OCH_3	H	CH_3	C_3H_7	-
1129	CH_3	CH_2	H	OCH_3	OCH_3	OCH_3	H	CH_3	C_4H_9	-
1130	CH_3	CH_2	H	OCH_3	OCH_3	OCH_3	H	CH_3	C_5H_{11}	-
1131	CH_3	CH_2	H	OCH_3	OCH_3	OCH_3	H	C_2H_5	C_4H_9	-
1132	CH_3	CH_2	H	OCH_3	OCH_3	OCH_3	H	C_3H_7	C_3H_7	-
1133	CH_3	CH_2	H	OCH_3	OCH_3	OCH_3	H	C_2H_5	C_2H_5	-
1134	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	$CH_2OSO_2CH_3$	110-111
1135	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	CH_2SCH_3	134-135
1136	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	CH_2Cl	140-141
1137	CH_3	CH_2	H	CH_3	CH_3	H	CH_3	C_2H_5	CH_2CN	142-147
1138	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	$CH_2OSO_2CH_3$	-
1139	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	CH_2SCH_3	-
1140	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	CH_2Cl	-
1141	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	CH_2CN	-
1142	CH_3	CH_2	H	Cl	CF_3	H	H	C_2H_5	$CH_2OSO_2CH_3$	-
1143	CH_3	CH_2	H	Cl	CF_3	H	H	C_2H_5	CH_2SCH_3	-
1144	CH_3	CH_2	H	Cl	CF_3	H	H	C_2H_5	CH_2Cl	-
1145	CH_3	CH_2	H	Cl	CF_3	H	H	C_2H_5	CH_2CN	-
1146	CH_3	CH_2	H	Cl	OCH_3	H	H	C_2H_5	$CH_2OSO_2CH_3$	-
1147	CH_3	CH_2	H	Cl	OCH_3	H	H	C_2H_5	CH_2SCH_3	-

1148	CH_3	CH_2	H	Cl	OCH_3	H	H	C_2H_5	CH_2Cl	-
1149	CH_3	CH_2	H	Cl	OCH_3	H	H	C_2H_5	CH_2CN	-
1150	CH_3	CH_2	H	CF_3	OCH_3	H	H	C_3H_7	$c-C_3H_5$	oil
1151	CH_3	CH_2	H	Cl	CF_3	H	H	CH_3	C_3H_7	97-98
1152	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	C_6H_5	$c-C_3H_5$	-
1153	CH_3	CH_2	H	Cl	CF_3	H	H	C_6H_5	$c-C_3H_5$	oil
1154	CH_3	CH_2	H	Cl	OCH_3	H	H	C_6H_5	$c-C_3H_5$	-
1155	CH_3	CH_2	H	Cl	OCF_3	H	H	C_6H_5	$c-C_3H_5$	oil
1156	CH_3	CH_2	H	Cl	CH_3	H	H	C_6H_5	$c-C_3H_5$	119-120
1157	CH_3	CH_2	H	CF_3	OCH_3	H	H	C_6H_5	$c-C_3H_5$	oil
1158	CH_3	CH_2	H	Cl	Cl	H	CH_3	C_6H_5	$c-C_3H_5$	oil
1159	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	C_6H_5	$c-C_3H_5$	-
1160	CH_3	CH_2	H	CH_3	OCH_3	F	H	C_6H_5	$c-C_3H_5$	-
1161	CH_3	CH_2	H	Cl	Cl	H	H	$4-F-C_6H_4$	$c-C_3H_5$	oil
1162	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	$4-F-C_6H_4$	$c-C_3H_5$	-
1163	CH_3	CH_2	H	Cl	CF_3	H	H	$4-F-C_6H_4$	$c-C_3H_5$	oil
1164	CH_3	CH_2	H	Cl	OCH_3	H	H	$4-F-C_6H_4$	$c-C_3H_5$	-
1165	CH_3	CH_2	H	Cl	OCF_3	H	H	$4-F-C_6H_4$	$c-C_3H_5$	-
1166	CH_3	CH_2	H	Cl	CH_3	H	H	$4-F-C_6H_4$	$c-C_3H_5$	-
1167	CH_3	CH_2	H	CF_3	OCH_3	H	H	$4-F-C_6H_4$	$c-C_3H_5$	-
1168	CH_3	CH_2	H	Cl	Cl	H	CH_3	$4-F-C_6H_4$	$c-C_3H_5$	-
1169	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	$4-F-C_6H_4$	$c-C_3H_5$	-
1170	CH_3	CH_2	H	CH_3	OCH_3	F	H	$4-F-C_6H_4$	$c-C_3H_5$	-
1171	CH_3	CH_2	H	Cl	Cl	H	H	CH_3	$c-C_4H_7$	109-110
1172	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	CH_3	$c-C_4H_7$	-
1173	CH_3	CH_2	H	Cl	CF_3	H	H	CH_3	$c-C_4H_7$	136-137
1174	CH_3	CH_2	H	Cl	OCH_3	H	H	CH_3	$c-C_4H_7$	-
1175	CH_3	CH_2	H	Cl	OCF_3	H	H	CH_3	$c-C_4H_7$	-
1176	CH_3	CH_2	H	Cl	CH_3	H	H	CH_3	$c-C_4H_7$	-
1177	CH_3	CH_2	H	CF_3	OCH_3	H	H	CH_3	$c-C_4H_7$	-
1178	CH_3	CH_2	H	Cl	Cl	H	CH_3	CH_3	$c-C_4H_7$	-
1179	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	CH_3	$c-C_4H_7$	-
1180	CH_3	CH_2	H	CH_3	OCH_3	F	H	CH_3	$c-C_4H_7$	-
1181	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	$c-C_4H_7$	-
1182	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	C_2H_5	$c-C_4H_7$	-
1183	CH_3	CH_2	H	Cl	CF_3	H	H	C_2H_5	$c-C_4H_7$	-
1184	CH_3	CH_2	H	Cl	OCH_3	H	H	C_2H_5	$c-C_4H_7$	-
1185	CH_3	CH_2	H	Cl	OCF_3	H	H	C_2H_5	$c-C_4H_7$	-
1186	CH_3	CH_2	H	Cl	CH_3	H	H	C_2H_5	$c-C_4H_7$	-
1187	CH_3	CH_2	H	CF_3	OCH_3	H	H	C_2H_5	$c-C_4H_7$	-

1188	CH_3	CH_2	H	Cl	Cl	H	CH_3	C_2H_5	c-C_4H_7	-
1189	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	C_2H_5	c-C_4H_7	-
1190	CH_3	CH_2	H	CH_3	OCH_3	F	H	C_2H_5	c-C_4H_7	-
1191	CH_3	CH_2	H	Cl	Cl	H	H	C_3H_7	c-C_4H_7	-
1192	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	C_3H_7	c-C_4H_7	-
1193	CH_3	CH_2	H	Cl	CF_3	H	H	C_3H_7	c-C_4H_7	-
1194	CH_3	CH_2	H	Cl	OCH_3	H	H	C_3H_7	c-C_4H_7	-
1195	CH_3	CH_2	H	Cl	OCF_3	H	H	C_3H_7	c-C_4H_7	-
1196	CH_3	CH_2	H	Cl	CH_3	H	H	C_3H_7	c-C_4H_7	-
1197	CH_3	CH_2	H	CF_3	OCH_3	H	H	C_3H_7	c-C_4H_7	-
1198	CH_3	CH_2	H	Cl	Cl	H	CH_3	C_3H_7	c-C_4H_7	-
1199	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	C_3H_7	c-C_4H_7	-
1200	CH_3	CH_2	H	CH_3	OCH_3	F	H	C_3H_7	c-C_4H_7	-
1201	CH_3	CH_2	H	Cl	Cl	H	H	c-C_4H_7	c-C_4H_7	-
1202	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	c-C_4H_7	c-C_4H_7	-
1203	CH_3	CH_2	H	Cl	CF_3	H	H	c-C_4H_7	c-C_4H_7	-
1204	CH_3	CH_2	H	Cl	OCH_3	H	H	c-C_4H_7	c-C_4H_7	-
1205	CH_3	CH_2	H	Cl	OCF_3	H	H	c-C_4H_7	c-C_4H_7	-
1206	CH_3	CH_2	H	Cl	CH_3	H	H	c-C_4H_7	c-C_4H_7	-
1207	CH_3	CH_2	H	CF_3	OCH_3	H	H	c-C_4H_7	c-C_4H_7	-
1208	CH_3	CH_2	H	Cl	Cl	H	CH_3	c-C_4H_7	c-C_4H_7	-
1209	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	c-C_4H_7	c-C_4H_7	-
1210	CH_3	CH_2	H	CH_3	OCH_3	F	H	c-C_4H_7	c-C_4H_7	-
1211	CH_3	S	H	SCH_3	Cl	H	Cl	C_2H_5	C_3H_7	63-65
1212	CH_3	CH_2	H	OCH_3	Cl	H	H	c-C_3H_5	c-C_3H_5	152-154
1213	CH_3	CH_2	H	OCH_3	Cl	H	H	C_2H_5	c-C_3H_5	-
1214	CH_3	CH_2	H	OCH_3	Cl	H	H	C_3H_7	c-C_3H_5	-
1215	CH_3	CH_2	H	OCH_3	Cl	H	H	CH_3	c-C_4H_7	-
1216	CH_3	CH_2	H	OCH_3	Cl	H	H	CH_3	C_3H_7	-
1217	CH_3	CH_2	H	OCH_3	Cl	H	H	C_2H_5	C_3H_7	-
1218	CH_3	CH_2	H	OCH_3	Cl	H	H	C_2H_5	C_2H_5	-
1219	CH_3	CH_2	H	OCH_3	Cl	H	H	C_3H_7	C_3H_7	-
1220	CH_3	CH_2	H	OCH_3	Cl	H	H	CH_3	C_4H_9	-
1221	CH_3	CH_2	H	OCH_3	Cl	H	H	H	4-CH_3O-C_6H_4	-
1222	CH_3	CH_2	H	OCH_3	CH_3	H	H	c-C_3H_5	c-C_3H_5	oil
1223	CH_3	CH_2	H	OCH_3	CH_3	H	H	C_2H_5	c-C_3H_5	-
1224	CH_3	CH_2	H	OCH_3	CH_3	H	H	C_3H_7	c-C_3H_5	-
1225	CH_3	CH_2	H	OCH_3	CH_3	H	H	CH_3	c-C_4H_7	-
1226	CH_3	CH_2	H	OCH_3	CH_3	H	H	CH_3	C_3H_7	-
1227	CH_3	CH_2	H	OCH_3	CH_3	H	H	C_2H_5	C_3H_7	-

1228	CH_3	CH_2	H	OCH_3	CH_3	H	H	C_2H_5	C_2H_5	-
1229	CH_3	CH_2	H	OCH_3	CH_3	H	H	C_3H_7	C_3H_7	-
1230	CH_3	CH_2	H	OCH_3	CH_3	H	H	CH_3	C_4H_9	-
1231	CH_3	CH_2	H	OCH_3	CH_3	H	H	H	4-CH_3O-C_6H_4	-
1232	CH_3	CH_2	H	OCH_3	OCH_3	H	F	c-C_3H_5	c-C_3H_5	176-178
1233	CH_3	CH_2	H	OCH_3	OCH_3	H	F	C_2H_5	c-C_3H_5	-
1234	CH_3	CH_2	H	OCH_3	OCH_3	H	F	C_3H_7	c-C_3H_5	-
1235	CH_3	CH_2	H	OCH_3	OCH_3	H	F	CH_3	c-C_4H_7	-
1236	CH_3	CH_2	H	OCH_3	OCH_3	H	F	CH_3	C_3H_7	-
1237	CH_3	CH_2	H	OCH_3	OCH_3	H	F	C_2H_5	C_3H_7	-
1238	CH_3	CH_2	H	OCH_3	OCH_3	H	F	C_2H_5	C_2H_5	-
1239	CH_3	CH_2	H	OCH_3	OCH_3	H	F	C_3H_7	C_3H_7	-
1240	CH_3	CH_2	H	OCH_3	OCH_3	H	F	CH_3	C_4H_9	-
1241	CH_3	CH_2	H	OCH_3	OCH_3	H	F	H	4-CH_3O-C_6H_4	-
1242	CH_3	CH_2	H	CF_3	F	H	H	c-C_3H_5	c-C_3H_5	-
1243	CH_3	CH_2	H	CF_3	F	H	H	C_2H_5	c-C_3H_5	-
1244	CH_3	CH_2	H	CF_3	F	H	H	C_3H_7	c-C_3H_5	115-118
1245	CH_3	CH_2	H	CF_3	F	H	H	CH_3	c-C_4H_7	-
1246	CH_3	CH_2	H	CF_3	F	H	H	CH_3	C_3H_7	-
1247	CH_3	CH_2	H	CF_3	F	H	H	C_2H_5	C_3H_7	-
1248	CH_3	CH_2	H	CF_3	F	H	H	C_2H_5	C_2H_5	-
1249	CH_3	CH_2	H	CF_3	F	H	H	C_3H_7	C_3H_7	-
1250	CH_3	CH_2	H	CF_3	F	H	H	CH_3	C_4H_9	-
1251	CH_3	CH_2	H	CF_3	F	H	H	H	4-CH_3O-C_6H_4	57-70
1252	CH_3	CH_2	H	CF_3	F	H	H	$BnOCH_2$	$BnOCH_2$	oil
1253	CH_3	CH_2	H	CF_3	F	H	H	CH_3	C_6H_5	119-120
1254	CH_3	CH_2	H	CF_3	F	H	H	C_6H_5	C_6H_5	135-139
1255	CH_3	CH_2	H	Cl	OCF_3	H	H	C_3H_7	c-C_3H_5	oil
1256	CH_3	CH_2	H	Cl	OCF_3	H	H	C_2H_5	C_3H_7	oil
1257	CH_3	CH_2	H	Cl	CF_3	H	H	H	CH_2=CH-CH=CH	83-85
1258	CH_3	CH_2	H	CF_3	OBn	H	H	c-C_3H_5	c-C_3H_5	163-165
1259	CH_3	CH_2	H	CF_3	OH	H	H	c-C_3H_5	c-C_3H_5	245-246
1260	CH_3	CH_2	H	CF_3	OC_3H_7	H	H	c-C_3H_5	c-C_3H_5	127-128
1261	CH_3	CH_2	H	CF_3	OC_3H_7	H	H	C_2H_5	c-C_3H_5	-
1262	CH_3	CH_2	H	CF_3	OC_3H_7	H	H	C_3H_7	c-C_3H_5	-
1263	CH_3	CH_2	H	CF_3	OC_3H_7	H	H	CH_3	c-C_4H_7	-
1264	CH_3	CH_2	H	CF_3	OC_3H_7	H	H	CH_3	C_3H_7	-
1265	CH_3	CH_2	H	CF_3	OC_3H_7	H	H	C_2H_5	C_3H_7	-
1266	CH_3	CH_2	H	CF_3	OC_3H_7	H	H	C_2H_5	C_2H_5	-
1267	CH_3	CH_2	H	CF_3	OC_3H_7	H	H	C_3H_7	C_3H_7	-

1268	CH_3	CH_2	H	CF_3	OC_3H_7	H	H	CH_3	C_4H_9	-
1269	CH_3	CH_2	H	CF_3	OC_3H_7	H	H	H	$4-CH_3O-C_6H_4$	-
1284	CH_3	CH_2	H	CH_3	OH	F	H	$c-C_3H_5$	$c-C_3H_5$	-
1285	CH_3	CH_2	H	CH_3	OH	F	H	C_2H_5	$c-C_3H_5$	-
1286	CH_3	CH_2	H	CH_3	OH	F	H	C_3H_7	$c-C_3H_5$	-
1287	CH_3	CH_2	H	CH_3	OH	F	H	CH_3	$c-C_4H_7$	-
1288	CH_3	CH_2	H	CH_3	OH	F	H	CH_3	C_3H_7	-
1289	CH_3	CH_2	H	CH_3	OH	F	H	C_2H_5	C_3H_7	-
1290	CH_3	CH_2	H	CH_3	OH	F	H	C_2H_5	C_2H_5	-
1291	CH_3	CH_2	H	CH_3	OH	F	H	C_3H_7	C_3H_7	-
1292	CH_3	CH_2	H	CH_3	OH	F	H	CH_3	C_4H_9	-
1293	CH_3	CH_2	H	CH_3	OH	F	H	H	$4-CH_3O-C_6H_4$	-
1294	CH_3	CH_2	H	CH_3	OCH_3	OCH_3	H	CH_3	CH_3	101-102
1295	CH_3	CH_2	H	CH_3	OCH_3	OCH_3	H	CH_3	C_2H_5	oil
1296	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	$4-CH_3O-C_6H_4$	oil
1297	CH_3	CH_2	H	Cl	Cl	H	CH_3	C_2H_5	C_2H_5	133-135
1298	CH_3	CH_2	H	Cl	Cl	H	CH_3	C_2H_5	C_3H_7	123-125
1299	CH_3	CH_2	H	Cl	Cl	H	CH_3	C_3H_7	C_3H_7	125-127
1300	CH_3	CH_2	H	Cl	Cl	H	CH_3	C_2H_5	$c-C_3H_5$	157-159
1301	CH_3	O	H	CH_3	OCH_3	CH_3	H	$c-C_3H_5$	$c-C_3H_5$	-
1302	CH_3	O	H	Cl	CF_3	H	H	$c-C_3H_5$	$c-C_3H_5$	149-150
1303	CH_3	O	H	Cl	OCH_3	H	H	$c-C_3H_5$	$c-C_3H_5$	124-125
1304	CH_3	O	H	Cl	OCF_3	H	H	$c-C_3H_5$	$c-C_3H_5$	-
1305	CH_3	O	H	Cl	CH_3	H	H	$c-C_3H_5$	$c-C_3H_5$	-
1306	CH_3	O	H	CF_3	OCH_3	H	H	$c-C_3H_5$	$c-C_3H_5$	-
1307	CH_3	O	H	Cl	Cl	H	CH_3	$c-C_3H_5$	$c-C_3H_5$	-
1308	CH_3	O	H	CH_3	OCH_3	Cl	H	$c-C_3H_5$	$c-C_3H_5$	-
1309	CH_3	O	H	CH_3	OCH_3	F	H	$c-C_3H_5$	$c-C_3H_5$	-
1310	CH_3	O	H	CH_3	OCH_3	CH_3	H	CH_3	C_3H_7	-
1311	CH_3	O	H	Cl	CF_3	H	H	CH_3	C_3H_7	-
1312	CH_3	O	H	Cl	OCH_3	H	H	CH_3	C_3H_7	-
1313	CH_3	O	H	Cl	OCF_3	H	H	CH_3	C_3H_7	-
1314	CH_3	O	H	Cl	CH_3	H	H	CH_3	C_3H_7	-
1315	CH_3	O	H	CF_3	OCH_3	H	H	CH_3	C_3H_7	-
1316	CH_3	O	H	Cl	Cl	H	CH_3	CH_3	C_3H_7	-
1317	CH_3	O	H	CH_3	OCH_3	Cl	H	CH_3	C_3H_7	-
1318	CH_3	O	H	CH_3	OCH_3	F	H	CH_3	C_3H_7	-
1319	CH_3	CH_2	H	Cl	Cl	H	H	C_6H_5	C_6H_5	oil
1320	CH_3	CH_2	H	Cl	Cl	H	H	C_6H_5	CH_3	oil
1321	CH_3	CH_2	H	Cl	Cl	H	H	$c-C_3H_5$	$2-CH_3-C_6H_4$	oil

1322	CH_3	CH_2	H	Cl	Cl	H	H	C_6H_5	$CH(CH_2OH)_2$	oil
1323	CH_3	CH_2	H	Cl	Cl	H	H	C_6H_5	$CO_2C_2H_5$	oil
1324	CH_3	CH_2	H	Cl	Cl	H	H	C_6H_5	CO_2H	oil
1325	CH_3	CH_2	H	Cl	Cl	H	H	C_6H_5	CH_2OH	oil
1326	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	H	2-Cl-C_6H_4	oil
1327	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	H	3-Cl-C_6H_4	oil
1328	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	H	4-Cl-C_6H_4	oil
1329	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	H	3-CH_3O-C_6H_4	oil
1330	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	H	3-CN-C_6H_4	oil
1331	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	H	4-CN-C_6H_4	oil
1332	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	H	4-BnO-C_6H_4	oil
1333	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	H	2,5-(CH_3O)-C_6H_3	oil
1334	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	H	2-CH_3O-C_6H_4	oil
1335	CH_3	CH_2	H	Cl	Cl	H	H	CN	c-C_3H_5	oil
1336	CH_3	CH_2	H	Cl	Cl	H	H	CH_3	$CH_2OC_2H_5$	96-97
1337	CH_3	CH_2	H	Cl	Cl	H	H	H	$CH(OH)CH_2OC_6H_5$	oil
1338	CH_3	CH_2	H	Cl	Cl	H	H	H	$CH(OH)CH_2C_6H_5$	oil
1339	CH_3	CH_2	H	Cl	Cl	H	H	H	$CH(OH)C_3H_7$	oil
1340	CH_3	CH_2	H	Cl	Cl	H	H	$CH(CH_3)_2$	C(O)-1-morpholinyl	154-155
1341	CH_3	CH_2	H	Cl	Cl	H	H	C_2H_5	CO_2CH_3	oil
1342	CH_3	CH_2	H	Cl	Cl	H	H	CH_3	CO_2CH_3	oil
1343	CH_3	CH_2	H	Cl	Cl	H	H	CH_3	CN	oil
1344	CH_3	CH_2	H	Cl	Cl	H	H	CH_3	$COCH_3$	oil
1345	CH_3	CH_2	H	Cl	Cl	H	H	H	2-Cl-C_6H_4	149-152
1346	CH_3	CH_2	H	Cl	Cl	H	H	H	3-Cl-C_6H_4	oil
1347	CH_3	CH_2	H	Cl	Cl	H	H	H	4-F-C_6H_4	148-149
1348	CH_3	CH_2	H	Cl	Cl	H	H	H	4-CN-C_6H_4	199-200
1349	CH_3	CH_2	H	Cl	Cl	H	H	H	4-Cl-C_6H_4	183-184
1350	CH_3	CH_2	H	Cl	Cl	H	H	c-C_3H_5	c-C_4H_7	-
1351	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	c-C_3H_5	c-C_4H_7	-
1352	CH_3	CH_2	H	Cl	CF_3	H	H	c-C_3H_5	c-C_4H_7	-
1353	CH_3	CH_2	H	Cl	OCH_3	H	H	c-C_3H_5	c-C_4H_7	-
1354	CH_3	CH_2	H	Cl	OCF_3	H	H	c-C_3H_5	c-C_4H_7	-
1355	CH_3	CH_2	H	Cl	CH_3	H	H	c-C_3H_5	c-C_4H_7	-
1356	CH_3	CH_2	H	CF_3	OCH_3	H	H	c-C_3H_5	c-C_4H_7	-
1357	CH_3	CH_2	H	Cl	Cl	H	CH_3	c-C_3H_5	c-C_4H_7	-
1358	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	c-C_3H_5	c-C_4H_7	-
1359	CH_3	CH_2	H	CH_3	OCH_3	F	H	c-C_3H_5	c-C_4H_7	-

1360	CH_3	CH_2	H	Cl	OCH_3	F	H	c-C_3H_5	c-C_3H_5	-
1361	CH_3	CH_2	H	Cl	OCH_3	F	H	C_2H_5	c-C_3H_5	-
1362	CH_3	CH_2	H	Cl	OCH_3	F	H	C_3H_7	c-C_3H_5	-
1363	CH_3	CH_2	H	Cl	OCH_3	F	H	CH_3	c-C_4H_7	-
1364	CH_3	CH_2	H	Cl	OCH_3	F	H	CH_3	C_3H_7	-
1365	CH_3	CH_2	H	Cl	OCH_3	F	H	C_2H_5	C_3H_7	-
1366	CH_3	CH_2	H	Cl	OCH_3	F	H	C_2H_5	C_2H_5	-
1367	CH_3	CH_2	H	Cl	OCH_3	F	H	C_3H_7	C_3H_7	-
1368	CH_3	CH_2	H	Cl	OCH_3	F	H	CH_3	C_4H_9	-
1369	CH_3	CH_2	H	Cl	OCH_3	F	H	H	4-CH_3O-C_6H_4	-
1370	CH_3	CH_2	H	CF_3	OCH_3	H	H	C_2H_5	C_3H_7	oil
1371	CH_3	CH_2	H	Cl	Cl	H	H	CH_3	2-CH_3-c-C_3H_4	oil
1372	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	CH_3	2-CH_3-c-C_3H_4	-
1373	CH_3	CH_2	H	Cl	CF_3	H	H	CH_3	2-CH_3-c-C_3H_4	-
1374	CH_3	CH_2	H	Cl	OCH_3	H	H	CH_3	2-CH_3-c-C_3H_4	-
1375	CH_3	CH_2	H	Cl	OCF_3	H	H	CH_3	2-CH_3-c-C_3H_4	-
1376	CH_3	CH_2	H	Cl	CH_3	H	H	CH_3	2-CH_3-c-C_3H_4	-
1377	CH_3	CH_2	H	CF_3	OCH_3	H	H	CH_3	2-CH_3-c-C_3H_4	-
1378	CH_3	CH_2	H	Cl	Cl	H	CH_3	CH_3	2-CH_3-c-C_3H_4	-
1379	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	CH_3	2-CH_3-c-C_3H_4	-
1380	CH_3	O	H	Cl	Cl	H	H	CH_3	2-CH_3-c-C_3H_4	-
1381	CH_3	CH_2	H	Cl	Cl	H	H	CH_3	2-C_6H_5-c-C_3H_4	-
1382	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	CH_3	2-C_6H_5-c-C_3H_4	-
1383	CH_3	CH_2	H	Cl	CF_3	H	H	CH_3	2-C_6H_5-c-C_3H_4	-
1384	CH_3	CH_2	H	Cl	OCH_3	H	H	CH_3	2-C_6H_5-c-C_3H_4	-
1385	CH_3	CH_2	H	Cl	OCF_3	H	H	CH_3	2-C_6H_5-c-C_3H_4	-
1386	CH_3	CH_2	H	Cl	CH_3	H	H	CH_3	2-C_6H_5-c-C_3H_4	-
1387	CH_3	CH_2	H	CF_3	OCH_3	H	H	CH_3	2-C_6H_5-c-C_3H_4	-
1388	CH_3	CH_2	H	Cl	Cl	H	CH_3	CH_3	2-C_6H_5-c-C_3H_4	-
1389	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	CH_3	2-C_6H_5-c-C_3H_4	-
1390	CH_3	O	H	Cl	Cl	H	H	CH_3	2-C_6H_5-c-C_3H_4	-
1391	CH_3	CH_2	H	Cl	Cl	H	H	CH_3	2-(2-pyridyl)-c-C_3H_4	-
1392	CH_3	CH_2	H	CH_3	OCH_3	CH_3	H	CH_3	2-(2-pyridyl)-c-C_3H_4	-
1393	CH_3	CH_2	H	Cl	CF_3	H	H	CH_3	2-(2-pyridyl)-c-C_3H_4	-
1394	CH_3	CH_2	H	Cl	OCH_3	H	H	CH_3	2-(2-pyridyl)-c-C_3H_4	-

1395	CH_3	CH_2	H	Cl	OCF_3	H	H	CH_3	2-(2-pyridyl)-c-C_3H_4	-
1396	CH_3	CH_2	H	Cl	CH_3	H	H	CH_3	2-(2-pyridyl)-c-C_3H_4	-
1397	CH_3	CH_2	H	CF_3	OCH_3	H	H	CH_3	2-(2-pyridyl)-c-C_3H_4	-
1398	CH_3	CH_2	H	Cl	Cl	H	CH_3	CH_3	2-(2-pyridyl)-c-C_3H_4	-
1399	CH_3	CH_2	H	CH_3	OCH_3	Cl	H	CH_3	2-(2-pyridyl)-c-C_3H_4	-
1400	CH_3	O	H	Cl	Cl	H	H	CH_3	2-(2-pyridyl)-c-C_3H_4	-

Key:

(a) Where the compound is indicated as an "oil", data is provided below:

Example 3 spectral data: TLC R_f 0.27 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.90 (1H, s), 6.95 (2H, s), 4.45 (1H, br), 4.27-4.17 (2H, m), 3.85 (1H, dd, J = 9.5, 4.8 Hz), 3.27 (3H, s), 2.94 (2H, q, J = 7.5 Hz), 2.56-2.46 (1H, m), 2.32 (3H, s), 2.06 (3H, s), 2.03 (3H, s), 1.37 (3H, t, J = 7.5 Hz), 0.85 (3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* 355 (3), 354 (25), 353 (100). Analysis calc'd for $C_{21}H_{28}N_4O\cdot1.5H_2O$: C, 66.46; H, 8.23; N, 14.76; found: C, 67.00; H, 8.10; N, 14.38.

Example 8 spectral data: TLC R_f 0.34 (50:50 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.89 (1H, s), 6.95 (2H, s), 4.46 (1H, br), 3.41-3.33 (1H, m), 3.22 (3H, s), 2.94 (2H, q, J = 7.3 Hz), 2.93-2.85 (1H, m), 2.84-2.69 (2H, m), 2.51 (1H, br), 2.32 (3H, s), 2.30-2.20 (1H, m), 2.04 (6H, s), 1.37 (3H, t, J = 7.7 Hz), 0.84 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{22}H_{30}N_4O$: 366.2420, found 366.2400; 369 (3), 368 (27), 367 (100).

Example 10 spectral data: TLC R_f 0.13 (ethyl acetate). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.93 (1H, s), 8.10 (1H, s), 7.96 (1H, s), 6.96 (2H, s), 4.39 (1H, br), 4.24-4.14 (1H, m), 4.12-4.00 (1H, m), 3.20 (1H, br), 2.80 (2H, q, J = 7.0 Hz), 2.78-2.68 (1H, m), 2.42 (1H, br), 2.33 (3H, s), 2.13-2.04 (1H, m), 2.06 (3H, s), 2.03 (3H, s), 1.33 (3H, t, J = 7.5 Hz), 0.80 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{23}H_{30}N_7$: 404.2563, found 404.2556; 406 (4), 405 (28), 404 (100).

Example 11 spectral data: TLC R_f 0.60 (ethyl acetate). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.92 (1H, s), 8.51 (1H, s), 6.96 (2H, s), 4.78-4.68 (1H, m), 4.57-4.47 (1H, m), 4.32-4.22 (1H, m), 3.43 (1H, br), 2.81 (2H, q, J = 6.9 Hz), 2.78 (1H, br), 2.43 (1H, br), 2.33 (3H, s), 2.10-2.00 (1H, m), 2.07 (3H, s), 2.03 (3H, s), 1.32 (3H, t, J = 7.0 Hz), 0.78

(3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{22}H_{29}N_8$: 405.2515, found 405.2509; 407 (4), 406 (27), 405 (100).

Example 18 spectral data: TLC R_F 0.20 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 9.00 (1H, s), 7.26 (1H, obscurred), 6.96 (2H, s), 6.86-6.76 (3H, m), 5.46 (2H, s), 3.76 (3H, s), 2.85 (2H, q, J = 7.7 Hz), 2.33 (3H, s), 2.06 (6H, s), 1.28 (3H, t, J = 7.7 Hz). MS (NH_3-CI): *m/e* 389 (4), 388 (28), 387 (100). Analysis calc'd for $C_{24}H_{26}N_4O$: C, 74.58; H, 6.78; N, 14.50; found: C, 74.36; H, 6.73; N, 13.83.

Example 27 spectral data: TLC R_F 0.20 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.96 (1H, s), 6.95 (2H, s), 4.25 (2H, t, J = 7.5 Hz), 2.93 (2H, q, J = 7.7 Hz), 2.32 (3H, s), 2.04 (6H, s), 1.91-1.86 (2H, m), 1.50-1.38 (2H, m), 1.39 (3H, t, J = 7.7 Hz), 1.01 (3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* 325 (3), 324 (23), 323 (100).

Example 28 spectral data: TLC R_F 0.28 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.96 (1H, s), 6.95 (2H, s), 4.24 (2H, t, J = 7.9 Hz), 2.93 (2H, q, J = 7.6 Hz), 2.32 (3H, s), 2.04 (6H, s), 1.90 (2H, m), 1.44-1.36 (7H, m), 0.93 (3H, t, J = 7.1 Hz). MS (NH_3-CI): *m/e* 339 (3), 338 (25), 337 (100). Analysis calc'd for $C_{21}H_{28}N_4$: C, 74.96; H, 8.40; N, 16.65; found: C, 74.24; H, 8.22; N, 16.25.

Example 34 spectral data: MS (ESI): m/e 365 (M+2), 363 (M+H$^+$, 100%).

Example 35 spectral data: TLC R_F 0.31 (20:80 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.94 (1H, s), 7.71 (1H, d, J = 8.4 Hz), 7.58 (1H, d, J = 1.8 Hz), 7.41 (1H, dd, J = 8.4, 1.8 Hz), 4.27 (1H, br), 2.95 (2H, q, J = 7.3 Hz), 2.41 (2H, br), 2.11-1.98 (2H, br), 1.42 (3H, t, J = 7.3 Hz), 1.37-1.20 (3H, m), 1.09-0.99 (1H, m), 0.84 (3H, t, J = 7.7 Hz), 0.82 (3H, t, J = 7.7 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{20}H_{25}N_4Cl_2$: 391.1456, found 391.1458; 395 (11), 394 (14), 393 (71), 392 (29), 391 (100).

Example 38 spectral data: MS (NH_3-CI): m/e 375 (M+H$^+$, 100%).

Example 40 spectral data: MS (NH_3-CI): m/e 377 (M+H$^+$, 100%).

Example 48 spectral data: MS (NH_3-CI): m/e 423 (M+H$^+$, 100%).

Example 50 spectral data: TLC R_F 0.27 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 9.03 (1H, s), 7.70 (1H, d, J = 8.0 Hz), 7.59 (1H, d, J = 1.8 Hz), 7.41 (1H, dd, J = 8.0, 1.8 Hz), 7.36-7.30 (2H, m), 7.24-7.19 (3H, m), 5.50 (2H, s), 2.87 (2H, q, J = 7.5 Hz), 1.31 (3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{20}H_{16}N_4Cl_2$: 382.0752, found 382.0746; 388 (3), 387 (12), 386 (16), 385 (66), 384 (26), 383 (100).

Example 51 spectral data: MS (NH_3-CI): m/e 413 (M+H$^+$, 100%).

Example 54 spectral data: MS (NH_3-CI): m/e 459 (M+H$^+$, 100%).

Example 68 spectral data: TLC R_F 0.28 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.91 (1H, s), 6.69 (2H, s), 4.30-4.19 (1H, m), 3.82 (3H, s), 2.92 (2H, q, J = 7.6 Hz), 2.41 (1H, br), 2.08 (3H, s), 2.07 (3H, s), 2.06 (1H, br), 1.38 (3H, t, J = 7.6 Hz), 1.36-1.22 (4H, m), 1.10-0.98 (1H, m), 0.96-0.87 (1H, m), 0.84 (3H, t,

J = 7.0 Hz), 0.81 (3H, t, J = 6.7 Hz). MS (NH_3-CI): *m/e* 383 (4), 382 (27), 381 (100).

Example 122 spectral data: TLC R_f 0.10 (20:80 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.97 (1H, s), 6.94 (2H, s), 4.14 (2H, d, J = 7.7 Hz), 3.48 (1H, q, J = 7.0 Hz), 2.63 (3H, s), 2.31 (3H, s), 2.01 (6H, s), 1.43-1.19 (8H, m), 0.94 (3H, t, J = 7.3 Hz), 0.84 (3H, t, J = 7.0 Hz). MS (NH_3-CI): *m/e* 367 (3), 366 (25), 365 (100).

Example 123 spectral data: TLC R_f 0.24 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.97 (1H, s), 6.94 (2H, s), 4.25 (2H, t, J = 8.1 Hz), 3.48 (1H, q, J = 7.1 Hz), 2.63 (3H, s), 2.31 (3H, s), 2.01 (6H, s), 1.81 (2H, m), 1.47-1.19 (8H, m), 0.91 (6H, m). MS (NH_3-CI): *m/e* 381 (4), 380 (27), 379 (100). Analysis calc'd for $C_{24}H_{34}N_4$: C, 76.15; H, 9.05; N, 14.80; found: C, 76.29; H, 9.09; N, 14.75.

Example 202 spectral data: TLC RF 0.20 (10:90 ethyl acetate-hexane). 1H NMR (300 MHz, CDCl3): d 8.82 (1H, s), 6.96 (2H, s), 4.46-4.38 (1H, m), 4.13 (3H, s), 2.34 (3H, s), 2.28-2.11 (2H, m), 2.07 (6H, s), 1.95-1.81 (2H, m), 1.38-1.17 (3H, m), 1.14-0.99 (1H, m), 0.83 (3H, t, J = 7.7 Hz), 0.80 (3H, t, J = 7.7 Hz). MS (NH3-CI): m/e calc'd for $C_{22}H_{30}N_4O$: 366.2420, found 366.2408; 369 (4), 368 (26), 367 (100).

Example 404 spectral data: TLC R_f 0.20 (20:80 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 6.93 (2H, s), 4.20 (2H, t, J = 7.7 Hz), 2.90 (2H, q, J = 7.6 Hz), 2.83 (3H, s), 2.30 (3H, s), 2.03 (6H, s), 1.88 (2H, m), 1.42-1.34 (7H, m), 0.93 (3H, t, J = 6 Hz). MS (NH_3-CI): *m/e* 353 (3), 352 (27), 351 (100).

Example 414 spectral data: TLC R_f 0.36 (20:80 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.92 (1H, s), 7.66 (1H, d, J = 8.1 Hz), 7.32-7.26 (2H, m), 4.54 (1H, m), 2.95 (2H, q, J = 7.4 Hz), 2.43 (3H, s), 2.39 (1H, m), 2.03 (1H, m), 1.74 (3H, d, J = 7.0 Hz), 1.41 (3H, t, J = 7.5 Hz), 1.31 (1H, m), 1.16 (1H, m), 0.92 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{19}H_{24}N_4Cl$: 343.1690, found 343.1704; 346 (7), 345 (34), 344 (23), 343 (100).

Example 415 spectral data: TLC R_f 0.25 (10:90 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.91 (1H, s), 7.71 (1H, d, J = 8.1 Hz), 7.34-7.30 (2H, m), 4.30-4.20 (1H, m), 2.94 (2H, q, J = 7.5 Hz), 2.50-2.35 (2H, m), 2.44 (3H, s), 2.08-1.95 (2H, m), 1.43 (3H, t, J = 7.5 Hz), 1.29 (3H, m), 1.08-0.98 (1H, m), 0.84 (3H, t, J = 7.0 Hz), 0.81 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* 374 (7), 373 (33), 372 (25), 371 (100). Analysis calc'd for $C_{21}H_{27}ClN_4$: C, 68.00; H, 7.35; N, 15.10; found: C, 68.25; H, 7.30; N, 14.85.

Example 424 spectral data: TLC R_f 0.28 (5:95 ethyl acetate-dichloromethane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.95 (1H, s), 7.60 (1H, d, J = 7.7 Hz), 7.37 (1H, d, J = 0.8 Hz), 7.21 (1H, dd, J = 7.7, 0.8 Hz), 4.58-4.50 (1H, m), 2.96 (2H, dq, J = 7.5, 2.0 Hz), 2.46-2.33 (1H, m), 2.40 (3H, s), 2.08-1.96 (1H, m), 1.74 (3H, d, J = 6.6 Hz), 1.40 (3H, t, J = 7.5 Hz), 1.39-1.22 (1H, m), 1.20-1.08 (1H, m), 0.92 (3H, t, J = 7.3 Hz). MS (NH_3-CI):

m/e calc'd for $C_{19}H_{24}ClN_4$: 343.1690, found 343.1697; 346 (8), 345 (38), 344 (25), 343 (100).

Example 434 spectral data: TLC R_f 0.78 (50:50 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.90 (1H, s), 6.95 (2H, s), 2.97 (2H, J = 7.3 Hz), 2.60-2.50 (1H, m), 2.41-2.33 (1H, m), 2.32 (3H, s), 2.20-2.10 (1H, m), 2.05 (3H, s), 2.02 (3H, s), 1.85-1.80 (1H, m), 1.39 (3H, t, J = 7.5 Hz), 0.85 (3H, t, J = 7.5 Hz), 0.50-0.35 (2H, m), 0.25-0.15 (1H, m), 0.10-0.00 (1H, m). MS (NH_3-CI): *m/e* calc'd for $C_{22}H_{30}N_4$: 362.2470, found 362.2458; 365 (4), 364 (27), 363 (100).

Example 436 spectral data: TLC R_f 0.31 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.88 (1H, s), 7.77 (1H, d, J = 9.2 Hz), 6.87 (2H, m), 4.40-4.25 (1H, m), 3.86 (3H, s), 2.99 (2H, q, J = 7.5 Hz), 2.60-2.35 (2H, m), 2.47 (3H, s), 2.15-2.00 (1H, m), 1.80-1.70 (1H, m), 1.45 (3H, t, J = 7.5 Hz), 0.84 (3H, t, J = 7.5 Hz), 0.50-0.35 (2H, m), 0.30-0.20 (1H, m), 0.10-0.00 (1H, m), -0.85 - -0.95 (1H, m).

Example 437 spectral data: TLC R_f 0.25 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.90 (1H, s), 7.73 (1H, d, J = 9.2 Hz), 6.89-6.86 (2H, m), 4.58-4.51 (1H, m), 3.86 (3H, s), 2.95 (2H, dq, J = 7.6, 1.8 Hz), 2.47 (3H, s), 2.45-2.34 (1H, m), 2.07-1.97 (1H, m), 1.73 (3H, d, J = 7.0 Hz), 1.42 (3H, t, J = 7.6 Hz), 1.40-1.27 (1H, m), 1.20-1.07 (1H, m), 0.92 (3H, t, J = 7.4 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{20}H_{27}N_4O$: 339.2185, found 339.2187; 341 (3), 340 (22), 339 (100). Analysis calc'd for $C_{20}H_{26}N_4O$: C, 70.98; H, 7.74; N, 16.55; found: C, 69.97; H, 7.48; N, 15.84.

Example 438 spectral data: TLC R_f 0.42 (40:60 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.98 (1H, s), 7.77 (1H, d, J = 9.1 Hz), 7.17 (2H, d, J = 8.8 Hz), 6.90-6.83 (4H, m), 5.42 (2H, s), 3.86 (3H, s), 3.78 (3H, s), 2.86 (2H, q, J = 7.5 Hz), 2.49 (3H, s), 1.33 (3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* 391 (4), 390 (26), 389 (100). Analysis calc'd for $C_{23}H_{24}N_4O_2$: C, 71.11; H, 6.24; N, 14.42; found: C, 71.14; H, 5.97; N, 14.03.

Example 439 spectral data: TLC R_f 0.41 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.89 (1H, s), 7.77 (1H, d, J = 3.1 Hz), 6.89 (2H, m), 3.86 (3H, s), 3.53 (1H, m), 2.91 (2H, q, J = 7.5 Hz), 2.49 (3H, s), 2.28 (1H, m), 2.21 (1H, m), 1.43 (3H, t, J = 7.3 Hz), 0.86 (3H, t, J = 7.3 Hz), 0.78 (2H, m), 0.46 (2H, m), 0.20 (1H, m).

Example 440 spectral data: TLC R_f 0.28 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.89 (1H, s), 7.73 (1H, d, J = 9.1 Hz), 6.90-6.86 (2H, m), 4.60-4.40 (1H, m), 3.86 (3H, s), 2.95 (2H, dq, J = 7.7, 2.2 Hz), 2.47 (3H, s), 2.44-2.36 (1H, m), 2.05-1.98 (1H, m), 1.74 (3H, d, J = 7.0 Hz), 1.42 (3H, t, J = 7.5 Hz), 1.40-1.20 (5H, m), 1.13-1.05 (1H, m), 0.830 (3H, t, J = 6.6 Hz).

Example 502 spectral data: TLC R_f 0.63 (50:50 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.92 (1H, s), 6.95 (2H, s), 4.60-4.47 (1H, m), 2.93 (2H, q, J = 7.7 Hz), 2.43-2.33 (1H, m), 2.32 (3H, s), 2.16-2.06 (1H, m), 2.05 (3H, s), 2.03 (3H, s), 1.76 (3H, d, J = 7.0 Hz), 1.36 (3H, t, J = 7.7 Hz), 1.36-1.20 (4H, m), 0.86 (3H, t, J = 7.2

Hz). MS (NH_3-CI): *m/e* calc'd for $C_{22}H_{30}N_4$: 350.2470, found 350.2480; 353 (3), 352 (28), 351 (100).

Example 503 spectral data: ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.92 (1H, s), 6.94 (2H, s), 4.58-4.48 (1H, m), 2.93 (2H, q, J = 7.3 Hz), 2.32 (3H, s), 2.05 (3H, s), 2.02 (3H, s), 1.76 (3H, d, J = 6.6 Hz), 1.36 (3H, t, J = 7.3 Hz), 1.34-1.05 (8H, m), 0.88 (3H, t, J = 7 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{23}H_{32}N_4$: 365.2705, found 365.2685; 367 (3), 366 (27), 365 (100).

Example 506 spectral data: TLC R_f 0.28 (20:80 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.95 (1H, s), 7.67 (1H, d, J = 8.4 Hz), 7.57 (1H, d, J = 1.8 Hz), 7.42-7.37 (1H, m), 4.56 (1H, hextet, J = 7.1 Hz), 2.99 (2H, q, J = 7.5 Hz), 2.43-2.33 (1H, m), 2.09-1.97 (1H, m), 1.74 (3H, d, J = 7.0 Hz), 1.41 (3H, t, J = 7.5 Hz), 1.35-1.07 (2H, m), 0.92 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* 367 (12), 366 (14), 365 (67), 364 (24), 363 (100).

Example 507 spectral data: MS (NH_3-CI): m/e 377 ($M+H^+$, 100%).

Example 511 spectral data: TLC R_f 0.51 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.97 (1H, s), 7.87 (1H, d, J = 8.1 Hz), 7.83 (1H, d, J = 1.1 Hz), 7.68 (1H, dd, J = 8.1, 1.1 Hz), 3.60-3.51 (1H, m), 2.94 (2H, q, J = 7.5 Hz), 2.53-2.39 (1H, m), 2.36-2.20 (1H, m), 1.96 (1H, br), 1.42 (3H, t, J = 7.5 Hz), 0.88 (3H, t, J = 7.3 Hz), 0.88-0.78 (1H, m), 0.52-0.44 (2H, m), 0.24-0.16 (1H, m). MS (NH_3-CI): *m/e* 412 (7), 411 (33), 410 (23), 409 (100).

Example 513 spectral data: TLC R_f 0.62 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.97 (1H, s), 7.87 (1H, d, J = 8.0 Hz), 7.83 (1H, d, J = 0.7 Hz), 7.68 (1H, dd, J = 8.0, 0.7 Hz), 4.21 (1H, br), 2.96 (2H, q, J = 7.5 Hz), 2.42 (2H, br), 2.12-1.97 (2H, m), 1.43 (3H, t, J = 7.5 Hz), 1.40-1.20 (4H, m), 0.85 (3H, t, J = 7.3 Hz), 0.83 (3H, t, J = 7.6 Hz). MS (NH_3-CI): *m/e* 428 (8), 427 (38), 426 (29), 425 (100).

Example 514 spectral data: TLC R_f 0.51 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.96 (1H, s), 7.86 (1H, d, J = 8.1 Hz), 7.83 (1H, d, J = 0.8 Hz), 7.68 (1H, dd, J = 8.1, 0.8 Hz), 4.20 (1H, br), 2.97 (2H, q, J = 7.7 Hz), 2.54-2.39 (2H, m), 2.15-2.01 (2H, m), 1.43 (3H, t, J = 7.7 Hz), 0.84 (6H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* 400 (7), 399 (37), 398 (26), 397 (100).

Example 524 spectral data: TLC R_f 0.50 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.89 (1H, s), 7.76 (1H, d, J = 9.1 Hz), 6.90-6.87 (2H, m), 4.35 (1H, v br), 3.86 (3H, s), 2.93 (2H, q, J = 7.6 Hz), 2.48 (3H, s), 2.39 (2H, br), 2.00-1.90 (2H, m), 1.43 (3H, t, J = 7.6 Hz), 1.38-1.22 (2H, m), 1.18-1.02 (2H, m), 0.90 (6H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{22}H_{31}N_4O$: 367.2498, found 367.2506; 369 (3), 368 (25), 367 (100).

Example 526 spectral data: TLC R_f 0.28 (10:90 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.91 (1H, s), 7.69 (1H, d, J = 8.1 Hz), 7.34-7.30 (2H, m), 4.40-4.35 (1H, m), 2.93 (2H, q, J = 7.4 Hz), 2.44 (3H, s), 2.38 (2H, m), 1.96 (2H, m), 1.43 (3H, t, J =

7.5 Hz), 1.35-1.22 (2H, m), 1.15-1.05 (2H, m), 0.90 (6H, t, J = 7.1 Hz). MS (NH_3-CI): *m/e* 374 (8), 373 (35), 372 (25), 371 (100). Analysis calc'd for $C_{21}H_{27}N_4Cl$: C, 68.00; H, 7.35; N, 15.10; found: C, 67.89; H, 7.38; N, 14.94.

Example 528 spectral data: TLC R_f 0.65 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.97 (1H, s), 7.86 (1H, d, J = 8.0 Hz), 7.82 (1H, d, J = 1.1 Hz), 7.67 (1H, dd, J = 8.0, 1.1 Hz), 4.38 (1H, br), 2.95 (2H, q, J = 7.5 Hz), 2.39 (2H, br), 2.04-1.92 (2H, br), 1.42 (3H, t, J = 7.5 Hz), 1.40-1.21 (3H, m), 1.19-1.03 (1H, m), 0.91 (6H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* 428 (8), 427 (37), 426 (27), 425 (100).

Example 538 spectral data: TLC R_f 0.56 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.96 (1H, s), 7.88 (1H, d, J = 8.0 Hz), 7.83 (1H, d, J = 0.8 Hz), 7.68 (1H, dd, J = 8.0, 0.8 Hz), 3.77 (1H, br), 2.95 (2H, q, J = 7.5 Hz), 2.61 (1H, br), 2.08 (1H, br), 1.45 (3H, t, J = 7.5 Hz), 1.36-1.25 (1H, m), 1.17 (3H, d, J = 6.6 Hz), 0.71 (3H, t, J = 7.3 Hz), 0.69 (3H, d, J = 7.0 Hz). MS (NH_3-CI): *m/e* 414 (7), 413 (33), 412 (24), 411 (100).

Example 534 spectral data: MS (ESI): m/e 363 (M+2), 361 (M^+, 100 %).

Example 544 spectral data: TLC R_f 0.63 (50:50 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.90 (1H, s), 7.74 (1H, d, J = 9.1 Hz), 6.89-6.86 (2H, m), 3.86 (3H, s), 3.79-3.73 (1H, m), 2.93 (3H, dq, J = 7.7, 2.6 Hz), 2.49 (3H, s), 2.03-1.99 (1H, m), 1.81 (3H, d, J = 6.9 Hz), 1.41 (3H, t, J = 7.3 Hz), 0.84-0.74 (2H, m), 0.53-0.41 (2H, m), 0.28-0.21 (1H, m).

Example 548 spectral data: TLC R_f 0.42 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.99 (1H, s), 7.84 (1H, d, J = 7.7 Hz), 7.82 (1H, d, J = 0.9 Hz), 7.68 (1H, dd, J = 7.7, 0.9 Hz), 3.83-3.70 (1H, m), 3.00-2.90 (2H, m), 2.09-1.98 (1H, m), 1.83 (3H, d, J = 7.0 Hz), 1.40 (3H, t, J = 7.3 Hz), 0.88-0.78 (1H, m), 0.57-0.41 (2H, m), 0.30-0.20 (1H, m). MS (NH_3-CI): *m/e* 398 (6), 397 (31), 396 (22), 395 (100).

Example 551 spectral data: TLC R_f 0.56 (50:50 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.93 (1H, s), 6.94 (2H, s), 4.75 (1H, heptet, J = 7.0 Hz), 2.95 (2H, q, J = 7.7 Hz), 2.32 (3H, s), 2.04 (6H, s), 1.80 (6H, d, J = 7.0 Hz), 1.36 (3H, t, J = 7.7 Hz). MS (NH3-CI): *m/e* 311 (4), 310 (34), 309 (100); Analysis calc'd for $C_{19}H_{24}N_4 \cdot 0.5H_2O$: C, 71.89; H, 7.94; N, 17.65; found: C, 71.59; H, 7.83; N, 17.41.

Example 558 spectral data: TLC R_f 0.53 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.98 (1H, s), 7.86-7.81 (2H, m), 7.67 (1H, dd, J = 8.4, 1.1 Hz), 4.60-4.48 (1H, m), 3.01-2.93 (2H, m), 2.49-2.35 (1H, m), 2.13-2.00 (1H, m), 1.76 (3H, d, J = 7.0 Hz), 1.41 (3H, t, J = 7.5 Hz), 1.40-1.20 (4H, m), 0.87 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* 414 (8), 413 (38), 412 (27), 411 (100).

Example 564 spectral data: TLC R_f 0.34 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.89 (1H, s), 7.77 (1H, d, J = 9.2 Hz), 6.89 (2H, m), 4.30-4.20 (1H, m), 3.86 (3H, s), 2.93 (2H, q, J = 7.5 Hz), 2.48 (3H, s), 2.45-2.35 (2H, m), 2.10-1.95 (2H, m),

1.44 (3H, t, J = 7.5 Hz), 1.40-1.20 (3H, m), 1.10-0.95 (1H, m), 0.84 (3H, t, J = 7.3 Hz), 0.81 (3H, t, J = 7.3 Hz).

Example 571 spectral data: TLC R_f 0.40 (50:50 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.89 (1H, s), 6.95 (2H, s), 4.51 (1H, br), 3.44-3.24 (4H, m), 2.96 (2H, q, J = 7.3 Hz), 2.95-2.87 (1H, m), 2.85-2.75 (1H, m), 2.59-2.49 (1H, m), 2.32 (3H, s), 2.27-2.18 (1H, m), 2.04 (3H, s), 2.04 (3H, s), 1.38 (3H, t, J = 7.7 Hz), 1.12 (3H, t, J = 7.0 Hz), 0.84 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{23}H_{32}N_4O$: 380.2576, found 380.2554; 383 (4), 382 (28), 381 (100).

Example 581 spectral data: TLC R_f 0.33 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.89 (1H, s), 6.95 (2H, s), 4.49-4.39 (1H, m), 4.23-4.13 (1H, m), 3.91 (1H, dd, J = 9.9, 4.8 Hz), 3.48 (1H, dq, J = 9.1, 7.0 Hz), 3.30 (1H, dq, J = 9.1, 7.0 Hz), 2.95 (2H, q, J = 7.7 Hz), 2.60-2.47 (1H, m), 2.32 (3H, s), 2.15-2.01 (1H, m), 2.04 (3H, s), 2.03 (3H, s), 1.37 (3H, t, J = 7.5 Hz), 1.00 (3H, t, J = 7.0 Hz), 0.86 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{22}H_{31}N_4O$: 367.2498, found 367.2497; 369 (4), 368 (27), 367 (100).

Example 591 spectral data: TLC R_f 0.42 (50:50 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.91 (1H, s), 6.95 (2H, s), 3.76 (1H, br), 3.47-3.40 (1H, m), 3.21 (3H, s), 2.99-2.90 (1H, m), 2.88 (2H, q, J = 7.3 Hz), 2.76 (1H, br), 2.51-2.41 (1H, m), 2.32 (3H, s), 2.09 (1H, br), 2.08 (3H, s), 2.04 (3H, s), 1.35 (3H, t, J = 7.3 Hz), 0.84-0.76 (1H, m), 0.56-0.44 (2H, m), 0.30-0.21 (1H, m). MS (NH_3-CI): *m/e* calc'd for $C_{23}H_{31}N_4O$: 379.2498, found 379.2514; 381 (4), 380 (27), 379 (100).

Example 690 spectral data: TLC R_f 0.12 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): d 9.01 (1H, s), 7.38-7.22 (5H, m), 6.75 (1H, s), 6.69 (1H, s), 5.48 (2H, s), 3.70 (3H, s), 2.84 (2H, q, J = 7.7 Hz), 2.37 (3H, s), 2.05 (3H, s), 1.26 (3H, t, J = 7.7 Hz). MS (NH_3-CI): *m/e* 375 (4), 374 (28), 373 (100).

Example 692 spectral data: TLC R_f 0.32 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.98 (1H, s), 7.48 (1H, s), 7.37-7.18 (5H, m), 7.11 (1H, s), 5.49 (2H, s), 2.84 (2H, q, J = 7.3 Hz), 2.38 (3H, s), 2.29 (6H, s), 1.31 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{23}H_{24}N_4$: 356.2001, found 356.1978; 359 (4), 358 (28), 357 (100).

Example 693 spectral data: TLC R_f 0.22 (20:80 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.90 (1H, s), 7.78 (1H, d, J = 9.5 Hz), 6.90-6.87 (2H, m), 3.86 (3H, s), 3.62 (1H, br), 2.91 (2H, q, J = 7.5 Hz), 2.50 (3H, s), 2.40 (1H, br), 2.26-2.13 (1H, m), 1.92 (1H, br), 1.58 (1H, br), 1.43 (3H, t, J = 7.5 Hz), 1.35-1.25 (1H, m), 1.13-1.03 (1H, m), 0.95-0.75 (2H, m), 0.85 (3H, t, J = 7.1 Hz), 0.54-0.42 (2H, m), 0.22-0.17 (1H, m). MS (NH_3-CI): *m/e* 381 (4), 380 (25), 379 (100).

Example 697 spectral data: TLC R_f 0.28 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.89 (1H, s), 7.74 (1H, d, J = 9.5 Hz), 6.90-6.86 (2H, m), 4.58-4.45 (1H, m), 2.95 (2H, dq, J = 7.7, 2.2 Hz), 2.48 (3H, s), 2.45-2.35 (1H, m), 2.09-1.99 (1H, m),

1.74 (3H, d, J = 7.0 Hz), 1.42 (3H, t, J = 7.5 Hz), 1.37-1.23 (3H, m), 1.11-1.03 (1H, m), 0.86 (3H, t, J = 7.0 Hz).

Example 724 spectral data: TLC R_f 0.45 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.92 (1H, s), 7.75 (1H, d, J = 8.4 Hz), 7.09 (1H, d, J = 2.6 Hz), 6.96 (1H, dd, J = 8.4, 2.6 Hz), 3.87 (3H, s), 3.76 (1H, br), 2.94 (2H, q, J = 7.3 Hz), 2.61 (1H, br), 2.09 (1H, br), 1.45 (3H, t, J = 7.3 Hz), 1.36-1.26 (1H, m), 1.15 (3H, d, J = 6.6 Hz), 0.71 (3H, t, J = 7.3 Hz), 0.68 (3H, d, J = 6.6 Hz). MS (NH_3-CI): *m/e* 377 (1), 376 (8), 375 (38), 374 (25), 373 (100).

Example 725 spectral data: TLC R_f 0.31 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.88 (1H, s), 7.80 (1H, d, J = 9.2 Hz), 6.89 (2H, m), 3.86 (3H, s), 3.75 (1H, m), 2.92 (2H, q, J = 7.4 Hz), 2.60 (1H, m), 2.48 (3H, s), 2.05 (1H, m), 1.46 (3H, t, J = 7.4 Hz), 1.16 (3H, d, J = 7.0 Hz), 0.70 (3H, t, J = 7.3 Hz), 0.67 (3H, d, J = 6.6 Hz)..

Example 727 spectral data: TLC R_f 0.44 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.90 (1H, s), 7.84 (1H, d, J = 2.2 Hz), 7.74 (1H, d, J = 8.4 Hz), 7.65 (1H, dd, J = 8.4, 2.2 Hz), 3.76 (1H, br), 2.93 (1H, q, J = 7.3 Hz), 2.60 (1H, br), 2.08 (1H, br), 1.42 (3H, t, J = 7.3 Hz), 1.37-1.27 (1H, m), 1.16 (3H, d, J = 7.0 Hz), 0.69 (3H, t, J = 7.3 Hz), 0.67 (3H, d, J = 7.0 Hz). MS (NH_3-CI): *m/e* 414 (7), 413 (33), 412 (27), 411 (100).

Example 750 spectral data: TLC R_f 0.42 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.94 (1H, s), 7.73 (1H, d, J = 8.4 Hz), 7.10 (1H, d, J = 2.6 Hz), 6.96 (1H, dd, J = 8.4, 2.6 Hz), 3.87 (3H, s), 3.63 (1H, v br), 2.92 (2H, q, J = 7.3 Hz), 2.38 (1H, br), 2.22-2.10 (1H, m), 1.94 (1H, br), 1.42 (3H, t, J = 7.3 Hz), 1.41-1.29 (1H, m), 1.23-1.08 (1H, m), 0.91 (3H, t, J = 7.3 Hz), 0.89-0.79 (1H, m), 0.51-0.41 (2H, m), 0.25-0.15 (1H, m). MS (NH_3-CI): *m/e* 388 (8), 387 (34), 386 (25), 385 (100).

Example 751 spectral data: TLC R_f 0.36 (40:60 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.89 (1H, s), 7.77 (1H, d, J = 9.1 Hz), 6.90 (2H, m), 3.86 (3H, s), 3.62 (1H, m), 2.84 (2H, q, J = 7.5 Hz), 2.49 (3H, s), 2.40 (1H, m), 2.19 (1H, m), 1.90 (1H, m), 1.43 (3H, t, J = 7.5 Hz), 1.38 (1H, m), 1.19 (1H, m), 0.91 (3H, t, J = 7.3 Hz), 0.80 (1H, m), 0.49 (2H, m), 0.21 (1H, m).

Example 753 spectral data: TLC R_f 0.44 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.92 (1H, s), 7.84 (1H, d, J = 1.8 Hz), 7.73 (1H, d, J = 8.5 Hz), 7.65 (1H, dd, J = 8.5, 1.8 Hz), 3.65 (1H, br), 2.92 (1H, q, J = 7.5 Hz), 2.38 (1H, br), 2.25-2.14 (1H, m), 1.94 (1H, br), 1.43-1.26 (1H, m), 1.40 (3H, t, J = 7.5 Hz), 1.21-1.06 (1H, m), 0.92 (3H, t, J = 7.3 Hz), 0.91-0.79 (1H, m), 0.52-0.44 (2H, m), 0.22-0.16 (1H, m). MS (NH_3-CI): *m/e* 426 (9), 425 (42), 424 (31), 423 (100).

Example 767 spectral data: MS (NH_3-CI): m/e 379 ($M+H^+$, 100%).

Example 776 spectral data: TLC R_f 0.41 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.93 (1H, s), 7.73 (1H, d, J = 8.4 Hz), 7.09 (1H, d, J = 2.6 Hz), 6.96 (1H,

dd, J = 8.4, 2.6 Hz), 4.28 (1H, br), 3.87 (3H, s), 2.95 (2H, q, J = 7.3 Hz), 2.41 (2H, br), 2.10-1.93 (2H, m), 1.43 (3H, t, J = 7.3 Hz), 1.40-1.23 (1H, m), 1.18-1.03 (1H, m), 0.91 (3H, t, J = 7.3 Hz), 0.82 (3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{20}H_{26}ClN_4O$: 373.1795, found 373.1815; 376 (8), 375 (35), 374 (24), 373 (100).

Example 777 spectral data: TLC R_f 0.46 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.89 (1H, s), 7.76 (1H, d, J = 9.0 Hz), 6.90-6.87 (2H, m), 4.29 (1H, br), 3.86 (3H, s), 2.94 (2H, q, J = 7.4 Hz), 2.48 (3H, s), 2.40 (2H, br), 2.10-1.92 (2H, m), 1.44 (3H, t, J = 7.4 Hz), 1.37-1.22 (1H, m), 1.18-1.02 (1H, m), 0.90 (3H, t, J = 7.3 Hz), 0.81 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{21}H_{29}N_4O$: 353.2341, found 353.2328; 355 (3), 354 (23), 353 (100).

Example 778 spectral data: TLC R_f 0.58 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.97 (1H, s), 7.86 (1H, d, J = 8.0 Hz), 7.83 (1H, d, J = 0.8 Hz), 7.68 (1H, dd, J = 8.0, 0.8 Hz), 4.30 (1H, br), 2.96 (2H, q, J = 7.5 Hz), 2.41 (2H, br), 2.11-1.95 (2H, m), 1.43 (3H, t, J = 7.5 Hz), 1.42-1.22 (2H, m), 0.92 (3H, t, J = 7.3 Hz), 0.83 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* 414 (8), 413 (39), 412 (28), 411 (100).

Example 779 spectral data: TLC R_f 0.44 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.91 (1H, s), 7.84 (1H, d, J = 1.8 Hz), 7.72 (1H, d, J = 8.0 Hz), 7.65 (1H, dd, J = 8.0, 1.8 Hz), 4.31 (1H, br), 2.94 (1H, q, J = 7.5 Hz), 2.40 (2H, br), 2.10-1.93 (2H, m), 1.40 (3H, t, J = 7.5 Hz), 1.37-1.21 (1H, m), 1.19-1.02 (1H, m), 0.91 (3H, t, J = 7.3 Hz), 0.81 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* 414 (9), 413 (43), 412 (31), 411 (100).

Example 793 spectral data: MS (NH_3-CI): m/e 367 ($M+H^+$, 100%).

Example 799 spectral data: TLC R_f 0.61 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.90 (1H, s), 7.47 (1H, s), 7.10 (1H, s), 4.28 (1H, br), 2.93 (2H, q, J = 7.3 Hz), 2.41 (1H, br), 2.36 (3H, s), 2.28 (6H, s), 2.07-1.91 (3H, m), 1.42 (3H, t, J = 7.3 Hz), 1.35-1.21 (1H, m), 1.19-1.03 (1H, m), 0.90 (3H, t, J = 7.2 Hz), 0.81 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{22}H_{30}N_4$: 350.2470, found 350.2476; 353 (3), 352 (24), 351 (100).

Example 802 spectral data: TLC R_f 0.38 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.92 (1H, s), 7.84 (1H, d, J = 1.8 Hz), 7.73 (1H, d, J = 8.4 Hz), 7.65 (1H, dd, J = 8.4, 1.8 Hz), 3.53 (1H, br), 2.91 (1H, q, J = 7.4 Hz), 2.52-2.35 (1H, m), 2.34-2.20 (1H, m), 1.95 (1H, br), 1.40 (3H, t, J = 7.4 Hz), 0.89-0.79 (1H, m), 0.87 (3H, t, J = 7.3 Hz), 0.55-0.42 (2H, m), 0.25-0.15 (1H, m). MS (NH_3-CI): *m/e* 412 (8), 411 (41), 410 (29), 409 (100).

Example 803 spectral data: TLC R_f 0.33 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.93 (1H, s), 7.85 (1H, d, J = 2.2 Hz), 7.71 (1H, d, J = 8.4 Hz), 7.64 (1H, dd, J = 8.4, 2.2 Hz), 3.77 (1H, dq, J = 9.9, 7.0 Hz), 2.93 (1H, dq, J = 7.5, 2.0 Hz), 2.09-1.98 (1H, m), 1.82 (3H, d, J = 7.0 Hz), 1.39 (3H, t, J = 7.5 Hz), 0.86-0.78 (1H,

m), 0.59-0.50 (1H, m), 0.49-0.40 (1H, m), 0.29-0.20 (1H, m). MS (NH_3-CI): *m/e* 399 (2), 398 (8), 397 (39), 396 (24), 395 (100).

Example 804 spectral data: TLC R_f 0.31 (20:80 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.92 (1H, s), 7.84 (1H, d, J = 1.8 Hz), 7.71-7.62 (2H, m), 4.55 (1H, m), 2.95 (2H, q, J = 7.5 Hz), 2.43-2.32 (1H, m), 2.10-1.98 (1H, m), 1.75 (3H, d, J = 7.0 Hz), 1.39 (3H, t, J = 7.5 Hz), 1.38-1.27 (1H, m), 1.19-1.09 (1H, m), 0.93 (3H, t, J = 7.1 Hz). MS (NH_3-CI): *m/e* 400 (7), 399 (32), 398 (22), 397 (100). Analysis calc'd for $C_{19}H_{20}ClF_3N_4$: C, 57.51; H, 5.08; N, 14.12; found: C, 57.55; H, 5.06; N, 13.95.

Example 805 spectral data: TLC R_f 0.41 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.92 (1H, s), 7.84 (1H, d, J = 1.8 Hz), 7.70 (1H, d, J = 8.0 Hz), 7.64 (1H, dd, J = 8.0, 1.8 Hz), 4.58-4.49 (1H, m), 2.95 (1H, q, J = 7.5 Hz), 2.45-2.33 (1H, m), 2.11-2.00 (1H, m), 1.75 (3H, d, J = 6.6 Hz), 1.39 (3H, t, J = 7.5 Hz), 1.38-1.21 (4H, m), 0.86 (3H, t, J = 7.0 Hz). MS (NH_3-CI): *m/e* 414 (8), 413 (40), 412 (29), 411 (100).

Example 807 spectral data: TLC R_f 0.49 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.91 (1H, s), 7.84 (1H, d, J = 1.8 Hz), 7.73 (1H, d, J = 8.4 Hz), 7.65 (1H, dd, J = 8.4, 1.8 Hz), 4.38-4.19 (1H, m), 2.94 (1H, q, J = 7.5 Hz), 2.40 (2H, br), 2.10-1.98 (2H, m), 1.41 (3H, t, J = 7.5 Hz), 1.38-1.20 (3H, m), 1.09-0.99 (1H, m), 0.84 (3H, t, J = 7.0 Hz), 0.81 (3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* 428 (7), 427 (32), 426 (25), 425 (100).

Example 808 spectral data: TLC R_f 0.51 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.91 (1H, s), 7.84 (1H, d, J = 1.8 Hz), 7.72 (1H, d, J = 8.4 Hz), 7.64 (1H, dd, J = 8.4, 1.8 Hz), 4.37 (1H, br), 2.93 (1H, q, J = 7.5 Hz), 2.38 (2H, br), 2.02-1.90 (2H, m), 1.40 (3H, t, J = 7.5 Hz), 1.38-1.20 (2H, m), 1.18-1.01 (2H, m), 0.90 (6H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* 428 (8), 427 (39), 426 (30), 425 (100).

Example 809 spectral data: TLC R_f 0.40 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.90 (1H, s), 7.84 (1H, d, J = 2.2 Hz), 7.72 (1H, d, J = 8.1 Hz), 7.65 (1H, dd, J = 8.1, 2.2 Hz), 4.20 (1H, br), 2.94 (1H, q, J = 7.5 Hz), 2.51-2.38 (2H, m), 2.13-2.00 (2H, m), 1.41 (3H, t, J = 7.5 Hz), 0.82 (6H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* 400 (7), 399 (36), 398 (25), 397 (100).

Example 824 spectral data: TLC R_f 0.27 (20:80 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.94 (1H, s), 8.10 (1H, s), 7.94 (1H, d, J = 8.8 Hz), 7.87 (1H, d, J = 8.1 Hz), 4.56 (1H, m), 2.96 (2H, q, J = 7.5 Hz), 2.40 (1H, m), 2.10-2.00 (1H, m), 1.76 (3H, d, J = 7.0 Hz), 1.39 (3H, t, J = 7.5 Hz), 1.33-1.10 (2H, m), 0.93 (3H, t, J = 7.1 Hz). ^{19}F NMR (300 MHz, $CDCl_3$): δ -58.2, -63.4. MS (NH_3-CI): *m/e* 433 (3), 432 (24), 431 (100).

Example 832 spectral data: TLC R_f 0.34 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.94 (1H, s), 7.73 (1H, d, J = 8.5 Hz), 7.10 (1H, d, J = 2.6 Hz), 6.96 (1H, dd, J = 8.5, 2.6 Hz), 3.87 (3H, s), 3.55 (1H, br), 2.92 (2H, q, J = 7.3 Hz), 2.53-2.35 (1H, m), 2.31-2.18 (1H, m), 1.96 (1H, br), 1.42 (3H, t, J = 7.3 Hz), 0.87 (3H, t, J =

7.5 Hz), 0.87-0.79 (1H, m), 0.53-0.43 (2H, m), 0.25-0.15 (1H, m). MS (NH_3-CI): *m/e* 374 (8), 373 (34), 372 (24), 371 (100).

Example 833 spectral data: TLC R_f 0.20 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.96 (1H, s), 7.70 (1H, d, J = 8.4 Hz), 7.10 (1H, d, J = 2.5 Hz), 6.96 (1H, dd, J = 8.4, 2.5 Hz), 4.16 (2H, d, J = 7.0 Hz), 3.87 (3H, s), 3.01 (2H, q, J = 7.3 Hz), 1.46 (3H, t, J = 7.3 Hz), 1.37-1.27 (1H, m), 0.66-0.52 (4H, m). MS (NH_3-CI): *m/e* 346 (6), 345 (32), 344 (23), 343 (100).

Example 834 spectral data: TLC R_f 0.18 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.94 (1H, s), 7.69 (1H, d, J = 8.4 Hz), 7.09 (1H, d, J = 1 Hz), 6.96 (1H, dd, J = 8.4, 1 Hz), 4.60-4.50 (1H, m), 3.87 (3H, s), 2.97 (2H, q, J = 7.3 Hz), 2.49-2.33 (1H, m), 2.09-1.97 (1H, m), 1.74 (3H, d, J = 7.0 Hz), 1.41 (3H, t, J = 7.5 Hz), 1.40-1.22 (1H, m), 1.21-1.09 (1H, m), 0.92 (3H, t, J = 7.1 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{19}H_{24}ClN_4O$: 359.1639, found 359.1623; 362 (7), 361 (33), 360 (23), 359 (100). Analysis calc'd for $C_{19}H_{23}ClN_4O{\cdot}0.5\ H_2O$: C, 62.20; H, 6.32; N, 15.27; found: C, 62.33; H, 6.36; N, 14.86.

Example 835 spectral data: TLC R_f 0.39 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.94 (1H, s), 7.69 (1H, d, J = 8.4 Hz), 7.09 (1H, d, J = 2.5 Hz), 6.95 (1H, dd, J = 8.4, 2.5 Hz), 4.53-4.47 (1H, m), 3.87 (3H, s), 3.01-2.92 (2H, m), 2.48-2.35 (1H, m), 2.11-1.99 (1H, m), 1.74 (3H, d, J = 6.9 Hz), 1.41 (3H, t, J = 7.5 Hz), 1.38-1.22 (3H, m), 1.14-1.00 (1H, m), 0.86 (3H, t, J = 7.1 Hz). MS (NH_3-CI): *m/e* 376 (7), 375 (33), 374 (23), 373 (100).

Example 836 spectral data: TLC R_f 0.42 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.94 (1H, s), 7.79 (1H, d, J = 8.8 Hz), 7.09 (1H, d, J = 2.5 Hz), 6.95 (1H, dd, J = 8.8, 2.5 Hz), 4.55-4.47 (1H, m), 3.87 (3H, s), 3.01-2.92 (2H, m), 2.48-2.35 (1H, m), 2.10-1.97 (1H, m), 1.74 (3H, d, J = 7.0 Hz), 1.41 (3H, t, J = 7.5 Hz), 1.35-1.20 (5H, m), 1.18-1.02 (1H, m), 0.84 (3H, t, J = 7.0 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{21}H_{28}ClN_4O$: 387.1952, found 387.1944; 391 (1), 390 (8), 389 (35), 388 (25), 387 (100).

Example 837 spectral data: TLC R_f 0.45 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.93 (1H, s), 7.73 (1H, d, J = 8.8 Hz), 7.09 (1H, d, J = 2.6 Hz), 6.96 (1H, dd, J = 8.8, 2.6 Hz), 4.25 (1H, br), 3.87 (3H, s), 2.95 (2H, q, J = 7.3 Hz), 2.41 (2H, br), 2.10-2.00 (2H, m), 1.43 (3H, t, J = 7.3 Hz), 1.37-1.20 (3H, m), 1.12-0.98 (1H, m), 0.84 (3H, t, J = 7.3 Hz), 0.82 (3H, t, J = 7.4 Hz). MS (NH_3-CI): *m/e* 390 (8), 389 (34), 388 (25), 387 (100).

Example 838 spectral data: TLC R_f 0.48 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.94 (1H, s), 7.72 (1H, d, J = 8.5 Hz), 7.09 (1H, d, J = 2.2 Hz), 6.96 (1H, dd, J = 8.5, 2.2 Hz), 4.36 (1H, v br), 3.87 (3H, s), 2.94 (2H, q, J = 7.3 Hz), 2.39 (2H, br), 2.02-1.90 (2H, m), 1.42 (3H, t, J = 7.3 Hz), 1.39-1.21 (2H, m), 1.18-1.03 (2H, m), 0.90 (6H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{21}H_{28}ClN_4O$: 387.1952, found 387.1958; 391 (1), 390 (8), 389 (34), 388 (26), 387 (100).

Example 839 spectral data: TLC R_f 0.36 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.93 (1H, s), 7.73 (1H, d, J = 8.5 Hz), 7.09 (1H, d, J = 2.6 Hz), 6.96 (1H, dd, J = 8.5, 2.6 Hz), 4.19 (1H, br s), 3.87 (3H, s), 2.96 (2H, q, J = 7.5 Hz), 2.52-2.38 (2H, m), 2.13-1.99 (2H, m), 1.43 (3H, t, J = 7.5 Hz), 0.83 (6H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{19}H_{24}ClN_4O$: 359.1639, found 359.1632; 362 (7), 361 (34), 360 (23), 359 (100).

Example 870 spectral data: MS (NH_3-CI): m/e 423 ($M+H^+$, 100%).

Example 900 spectral data: TLC R_f 0.38 (50:50 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.93 (1H, s), 7.75 (1H, d, J = 9.2 Hz), 6.90-6.86 (2H, m), 4.23 (2H, t, J = 7.7 Hz), 3.86 (3H, s), 2.95 (2H, q, J = 7.7 Hz), 2.48 (3H, s), 1.93-1.83 (2H, m), 1.45 (3H, t, J = 7.6 Hz), 1.43-1.36 (4H, m), 0.92 (3H, t, J = 7.0 Hz).

Example 902 spectral data: TLC R_f 0.28 (5:95 ethyl acetate-dichloromethane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.94 (1H, s), 7.63 (1H, d, J = 8.1 Hz), 7.37 (1H, d, J = 1.0 Hz), 7.21 (1H, dd, J = 8.1, 1.0 Hz), 4.38 (1H, br), 2.94 (2H, q, J = 7.5 Hz), 2.41 (3H, s), 2.40 (2H, br), 2.00-1.90 (2H, m), 1.42 (3H, t, J = 7.5 Hz), 1.35-1.22 (2H, m), 1.17-1.03 (2H, m), 0.90 (6H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{21}H_{28}ClN_4$: 371.2002, found 371.1993; 374 (8), 373 (34), 372 (25), 371 (100).

Example 944 spectral data: MS (NH_3-CI): m/e 377 ($M+H^+$, 100%).

Example 945 spectral data: MS (NH_3-CI): m/e 365 ($M+H^+$, 100%).

Example 947 spectral data: MS (NH_3-CI): m/e 353 ($M+H^+$, 100%).

Example 951 spectral data: MS (NH_3-CI): m/e 381 ($M+H^+$, 100%).

Example 952 spectral data: MS (NH_3-CI): m/e 353 ($M+H^+$, 100%).

Example 1003 spectral data: TLC R_f 0.10 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.99 (1H, s), 7.43 (1H, s), 7.19 (2H, d, J = 8.8 Hz), 6.86 (2H, d, J = 8.8 Hz), 6.84 (1H, s), 5.42 (2H, s), 3.94 (3H, s), 3.91 (3H, s), 3.78 (3H, s), 2.86 (2H, q, J = 7.7 Hz), 2.45 (3H, s), 1.35 (3H, t, J = 7.7 Hz). MS (NH_3-CI): m/e 421 (4), 420 (27), 419 (100). Analysis calculated for $C_{24}H_{26}N_4O_3$: C, 68.88; H, 6.26; N, 13.39; found: C, 68.53; H, 6.30; N, 12.96.

Example 1012 spectral data: m.p. 147-148 °C. TLC R_f 0.18 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.88 (1H, s), 7.60 (1H, s), 6.77 (1H, s), 4.61 (2H, t, J = 8.6 Hz), 3.44 (1H, v br), 3.24 (2H, t, J = 8.6 Hz), 2.94 (2H, br), 2.44 (3H, s), 2.03 (2H, v br), 1.45 (3H, br t, J = 6 Hz), 0.89-0.79 (2H, m), 0.58 (2H, br), 0.50-0.40 (2H, m), 0.27-0.17 (2H, m). MS (NH_3-CI): m/e 377 (4), 376 (27), 375 (100). Analysis calc'd for $C_{23}H_{26}N_4O$: C, 73.77; H, 7.01; N, 14.96; found: C, 73.69; H, 7.08; N, 14.40.

Example 1023 spectral data: TLC R_f 0.22 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 9.04 (1H, s), 7.78 (1H, d, J = 8.4 Hz), 7.44 (1H, d, J = 1.1 Hz), 7.30 (1H, dd, J = 8.4, 1.1 Hz), 7.20 (2H, d, J = 8.5 Hz), 6.87 (2H, d, J = 8.5 Hz), 5.44 (2H, s), 3.79 (3H, s), 2.90 (2H, q, J = 7.5 Hz), 1.32 (3H, t, J = 7.5 Hz). MS (NH_3-CI): m/e 467 (1), 466 (8), 465 (35), 464 (27), 463 (100).

Example 1027 spectral data: TLC R_f 0.41 (25:75 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.96 (1H, s), 7.76 (1H, d, J = 8.4 Hz), 7.45-7.44 (1H, m), 7.27 (1H, dm, J = 8 Hz), 4.61-4.51 (1H, m), 2.98 (2H, dq, J = 7.5, 1.6 Hz), 2.48-2.35 (1H, m), 2.10-1.98 (1H, m), 1.75 (3H, d, J = 7.0 Hz), 1.41 (3H, t, J = 7.5 Hz), 1.35-1.22 (2H, m), 0.93 (3H, t, J = 7.2 Hz). MS (NH_3-CI): m/e calculated for $C_{19}H_{21}ClF_3N_4O$: 413.1349, found 413.1344; 416 (8), 415 (35), 414 (24), 413 (100).

Example 1028 spectral data: TLC R_f 0.45 (25:75 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.96 (1H, s), 7.77 (1H, d, J = 8.4 Hz), 7.44 (1H, m), 7.27 (1H, dm, J = 8 Hz), 4.57-4.49 (1H, m), 2.97 (2H, dq, J = 7.7, 1.7 Hz), 2.47-2.36 (1H, m), 2.12-2.02 (1H, m), 1.75 (3H, d, J = 7.0 Hz), 1.41 (3H, t, J = 7.7 Hz), 1.33-1.21 (4H, m), 0.86 (3H, t, J = 7.3 Hz). MS (NH_3-CI): m/e calculated for $C_{20}H_{23}ClF_3N_4O$: 427.1509, found 427.1507; 430 (8), 429 (35), 428 (25), 427 (100).

Example 1032 spectral data: TLC R_f 0.44 (25:75 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.95 (1H, s), 7.80 (1H, d, J = 8.4 Hz), 7.45-7.44 (1H, m), 7.30 (1H, dm, J = 8 Hz), 4.23-4.17 (1H, m), 2.97 (2H, q, J = 7.6 Hz), 2.54-2.39 (2H, m), 2.14-2.00 (2H, m), 1.43 (3H, t, J = 7.6 Hz), 0.84 (6H, t, J = 7.3 Hz). MS (NH_3-CI): m/e calculated for $C_{19}H_{21}ClF_3N_4O$: 413.1368, found 413.1373; 416 (8), 415 (34), 414 (24), 413 (100).

Example 1150 spectral data: TLC R_f 0.23 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.90 (1H, s), 7.73 (1H, d, J = 8.8 Hz), 7.36 (1H, d, J = 2.6 Hz), 7.17 (1H, dd, J = 8.8, 2.6 Hz), 3.92 (3H, s), 3.70-3.55 (1H, m), 2.91 (2H, q, J = 7.4 Hz), 2.45-2.35 (1H, m), 2.25-2.15 (1H, m), 2.00-1.90 (1H, m), 1.40 (3H, t, J = 7.4 Hz), 1.40-1.30 (1H, m), 1.20-1.10 (1H, m), 0.91 (3H, t, J = 7.2 Hz), 0.87-0.77 (1H, m), 0.54-0.44 (2H, m), 0.25-0.15 (1H, m). MS (NH_3-CI): *m/e* calc'd for $C_{22}H_{26}F_3N_4O$: 419.2057, found 419.2058; 421 (3), 420 (25), 419 (100).

Example 1153 spectral data: TLC R_f 0.48 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 9.00 (1H, s), 7.89 (1H, d, J = 8.0 Hz), 7.84 (1H, s), 7.69 (1H, d, J = 8.0 Hz), 7.40-7.30 (5H, m), 5.14 (1H, d, J = 10.2 Hz), 2.82 (1H, dq, J = 15.5, 7.7 Hz), 2.68 (1H, dq, J = 15.5, 7.7 Hz), 2.15 (1H, br), 1.23 (3H, t, J = 7.7 Hz), 1.13-1.03 (1H, m), 0.78-0.62 (2H, m), 0.53-0.43 (1H, m). MS (NH_3-CI): m/e calculated for $C_{24}H_{21}ClF_3N_4$: 457.1407, found 457.1389; 460 (9), 459 (35), 458 (29), 457 (100).

Example 1155 spectral data: TLC R_f 0.46 (25:75 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.98 (1H, s), 7.83 (1H, d, J = 8.4 Hz), 7.46-7.27 (7H, m), 5.13 (1H, d, J = 10.7 Hz), 2.88-2.62 (2H, m), 2.15 (1H, br), 1.26 (3H, t, J = 7.5 Hz), 1.12-1.02 (1H, m), 0.78-0.62 (2H, m), 0.54-0.44 (1H, m). MS (NH_3-CI): m/e calculated for $C_{24}H_{21}ClF_3N_4O$: 473.1361, found 473.1365; 476 (9), 475 (36), 474 (29), 473 (100).

Example 1157 spectral data: TLC R_f 0.19 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.93 (1H, s), 7.77 (1H, d, J = 8.8 Hz), 7.40-7.30 (6H, m), 7.19 (1H, dd, J = 8.8, 2.2 Hz), 5.13 (1H, d, J = 10.6 Hz), 3.92 (3H, s), 2.79 (1H, dq, J = 15, 7.7 Hz), 2.64 (1H, dq, J = 15, 7.7 Hz), 2.12 (1H, br), 1.21 (3H, t, J = 7.7 Hz), 1.10-1.00 (1H,

m), 0.77-0.62 (2H, m), 0.55-0.45 (1H, m). MS (NH_3-CI): *m/e* calc'd for $C_{25}H_{24}F_3N_4O$: 453.1902, found 453.1903; 455 (4), 454 (28), 453 (100).

Example 1158 spectral data: TLC R_f 0.16 (20:80 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.98 (1H, s), 7.46-7.25 (7H, m), 5.12 (1H, br d, J = 9 Hz), 2.85-2.62 (2H, m), 2.14 (1H, br), 2.13 (3H, d, J = 0.7 Hz), 1.18 (3H, dq, J = 7.7, 4.1 Hz), 0.75-0.35 (4H, m). MS (NH_3-CI): m/e calc'd for $C_{24}H_{23}Cl_2N_4$: 437.1300, found 437.1294; 440 (19), 439 (67), 438 (32), 437 (100).

Example 1161 spectral data: MS (NH_3-CI): m/e 441 ($M+H^+$, 100%).

Example 1163 spectral data: TLC R_f 0.44 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 9.00 (1H, s), 7.89 (1H, d, J = 8.4 Hz), 7.84 (1H, s), 7.69 (1H, d, J = 8.4 Hz), 7.38 (2H, d, J = 9 Hz), 7.05 (2H, d, J = 9 Hz), 5.08 (1H, d, J = 10.2 Hz), 2.82 (1H, dq, J = 15.5, 7.7 Hz), 2.68 (1H, dq, J = 15.5, 7.7 Hz), 2.14 (1H, m), 1.25 (3H, t, J = 7.7 Hz), 1.10-1.01 (1H, m), 0.74-0.62 (2H, m), 0.51-0.41 (1H, m). MS (NH_3-CI): m/e calculated for $C_{24}H_{20}ClF_4N_4$: 475.1313, found 475.1307; 479 (1), 478 (9), 477 (35), 476 (30), 475 (100).

Example 1222 spectral data: MS (NH_3-CI): m/e 363 ($M+H^+$, 100%).

Example 1252 spectral data: TLC R_f 0.24 (20:80 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.72 (1H, s), 7.87 (1H, dd, J = 8.8, 5.5 Hz), 7.46 (1H, dd, J = 8.8, 2.5 Hz), 7.35-7.26 (1H, m), 7.24-7.18 (6H, m), 7.08-7.01 (4H, m), 4.89-4.79 (1H, m), 4.49 (2H, d, J = 12.1 Hz), 4.37 (2H, d, J = 12.1 Hz), 4.27 (2H, t, J = 9.3 Hz), 4.01 (2H, dd, J = 9.9, 5.2 Hz), 2.98 (2H, q, J = 7.7 Hz), 1.39 (3H, t, J = 7.7 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{31}H_{29}F_4N_4O_2$: 565.2227, found 565.2226; 567 (7), 566 (36), 565 (100).

Example 1255 spectral data: TLC R_f 0.50 (25:75 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.96 (1H, s), 7.80 (1H, d, J = 8.4 Hz), 7.45-7.43 (1H, m), 7.31-7.27 (1H, dm, J = 8 Hz), 3.80-3.73 (1H, m), 2.93 (2H, q, J = 7.3 Hz), 2.40 (1H, br), 2.25-2.14 (1H, m), 1.95 (1H, br), 1.42 (3H, t, J = 7.5 Hz), 1.35-1.10 (2H, m), 0.92 (3H, t, J = 7.3 Hz), 0.91-0.80 (1H, m), 0.53-0.44 (2H, m), 0.24-0.14 (1H, m). MS (NH_3-CI): m/e calculated for $C_{21}H_{23}ClF_3N_4O$: 439.1519, found 439.1524; 442 (8), 441 (34), 440 (26), 439 (100).

Example 1256 spectral data: TLC R_f 0.48 (25:75 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.95 (1H, s), 7.79 (1H, d, J = 8.4 Hz), 7.45-7.43 (1H, m), 7.27 (1H, dm, J = 8 Hz), 4.35-4.25 (1H, m), 2.96 (2H, q, J = 7.4 Hz), 2.42 (2H, br), 2.12-1.93 (2H, m), 1.43 (3H, t, J = 7.4 Hz), 1.37-1.22 (2H, m), 0.91 (3H, t, J = 7.2 Hz), 0.83 (3H, t, J = 7.5 Hz). MS (NH_3-CI): m/e calculated for $C_{20}H_{23}ClF_3N_4O$: 427.1514, found 427.1515; 430 (8), 429 (34), 428 (25), 427 (100).

Example 1295 spectral data: TLC R_f 0.37 (50:50 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.91 (1H, s), 7.38 (1H, s), 6.83 (1H, s), 4.46 (1H, m, J = 7.3 Hz), 3.94 (3H, s), 3.91 (3H, s), 2.96 (2H, q, J = 7.6 Hz), 2.49-2.39 (1H, m), 2.43 (3H, s), 2.12-2.02 (1H, m), 1.75 (3H, d, J = 6.5 Hz), 1.44 (3H, t, J = 7.5 Hz), 0.86 (3H, t, J = 7.5 Hz).

MS (NH_3-CI): m/e calc'd for $C_{20}H_{27}N_4O_2$: 355.2134, found 355.2139; 357 (3), 356 (23), 355 (100).

Example 1296 spectral data: TLC R_f 0.37 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 9.00 (1H, s), 7.68 (1H, d, J = 8.4 Hz), 7.57 (1H, d, J = 2.2 Hz), 7.39 (1H, dd, J = 8.4, 2.2 Hz), 7.27 (2H, d, J = 8.4 Hz), 6.89 (2H, d, J = 8.4 Hz), 5.56 (1H, dd, J = 9.7, 7.4 Hz), 3.79 (3H, s), 2.92-2.75 (3H, m), 2.65-2.55 (1H, m), 1.31 (3H, t, J = 7.5 Hz), 0.92 (3H, t, J = 6.6 Hz). MS (NH_3-CI): m/e calc'd for $C_{23}H_{23}Cl_2N_4O$: 441.1249, found 441.1247; 445 (12), 444 (18), 443 (67), 442 (30), 441 (100).

Example 1319 spectral data: MS (NH_3-CI): m/e 459 ($M+H^+$, 100%).

Example 1320 spectral data: ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.99 (s, 1H), 7.68 (d, 1H, J = 8.4 Hz), 7.58 (d, 1H, J = 1.9 Hz), 7.42-7.3 (m, 6H), 6.04 (q, 1H), 2.82, (m, 2H), 2.16 (d, 3H, J = 7.4 Hz), 1.27 (t, 3H, J = 7.3, 7.7 Hz).

Example 1321 7906-5 spectral data: ^{1}H NMR (300 MHz, $CDCl_3$): δ 9.02 (s, 1H), 7.98 (d, 1H), 7.71 (d, 1H), 7.57 (d, 1H), 7.42-7.26 (m, 3H), 7.15 (m, 1H), 5.38 (d, 1H), 2.65 (m, 1H), 2.4 (m, 1H), 1.85 (m, 1H), 1.82 (s, 3H), 0.97 (t, 3H), 0.8 (m, 2H), 0.6 (m, 2H).

Example 1322 spectral data: MS (NH_3-CI): m/e 437 ($M+H^+$, 100%).

Example 1323 spectral data: MS (NH_3-CI): m/e 455 ($M+H^+$, 100%).

Example 1324 spectral data: MS (ESI): m/e 425 ($M+H^+$), 381 ($M+H^+ -CO_2$, 100%).

Example 1325 spectral data: MS (NH_3-CI): m/e 413 ($M+H^+$, 100%).

Example 1326 spectral data: MS (NH_3-CI): m/e 427 ($M+H^+$, 100%).

Example 1327 spectral data: MS (NH_3-CI): m/e 427 ($M+H^+$, 100%).

Example 1328 spectral data: MS (NH_3-CI): m/e 427 ($M+H^+$, 100%).

Example 1329 spectral data: MS (NH_3-CI): m/e 423 ($M+H^+$, 100%).

Example 1330 spectral data: MS (NH_3-CI): m/e 418 ($M+H^+$, 100%).

Example 1331 spectral data: MS (NH_3-CI): m/e 418 ($M+H^+$, 100%).

Example 1332 spectral data: MS (NH_3-CI): m/e 499 ($M+H^+$, 100%).

Example 1333 spectral data: MS (NH_3-CI): m/e 453 ($M+H^+$, 100%).

Example 1334 spectral data: MS (NH_3-CI): m/e 423 ($M+H^+$, 100%).

Example 1335 spectral data: MS (NH_3-CI): m/e 372 ($M+H^+$, 100%).

Example 1337 spectral data: MS (NH_3-CI): m/e 443 ($M+H^+$, 100%).

Example 1338 spectral data: MS (NH_3-CI): m/e 427 ($M+H^+$, 100%).

Example 1339 spectral data: MS (NH_3-CI): m/e 379 ($M+H^+$, 100%).

Example 1341 spectral data: MS (NH_3-CI): m/e 393 ($M+H^+$, 100%).

Example 1342 spectral data: MS (NH_3-CI): m/e 378 ($M+H^+$, 100%).

Example 1343 spectral data: MS (NH_3-CI): m/e 346 ($M+H^+$, 100%).

Example 1344 spectral data: MS (NH_3-CI): m/e 363 ($M+H^+$, 100%).

Example 1346 spectral data: MS (NH_3-CI): m/e 416 ($M+H^+$, 100%).

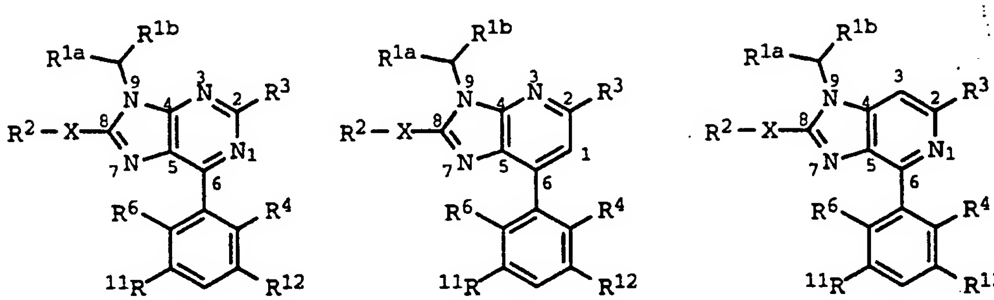
Example 1370 spectral data: TLC R_f 0.23 (30:70 ethyl acetate-hexane). [1]H NMR (300 MHz, $CDCl_3$): δ 8.89 (1H, s), 7.72 (1H, d, J = 8.4 Hz), 7.35 (1H, d, J = 2.5 Hz), 7.17 (1H, dd, J = 8.4, 2.5 Hz), 4.27 (1H, br), 3.91 (3H, s), 2.93 (2H, q, J = 7.7 Hz), 2.40 (2H, br), 2.10-1.95 (2H, m), 1.41 (3H, t, J = 7.7 Hz), 1.39-1.27 (1H, m), 1.20-1.07 (1H, m), 0.91 (3H, t, J = 7.3 Hz), 0.81 (3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{21}H_{26}F_3N_4O$: 407.2058, found 407.2052; 409 (3), 408 (24), 407 (100).

Example 1371 spectral data: MS (ESI): m/e 377 (M+2), 375 (M^+, 100 %).

(b) Q1 = 2-tetrazolyl

(c) Q2 = 1,2,4-triazol-2-yl

TABLE 1A



(A) (B) (C)

Ex. No.	R^2	X	R^3	R^4	R^{12}	R^{11}	R^6	R^{1a}	R^{1b}	mp, °C [a]
1043	CH_3	CH_2	H	CH_3	CH_3	CH_3	H	CH_3	C_3H_7	oil

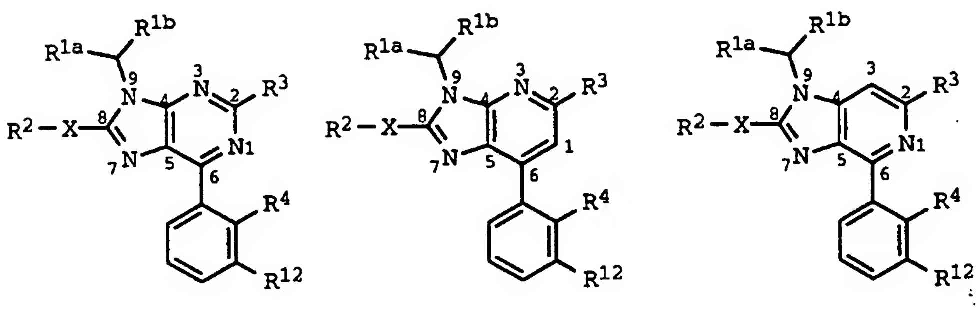
Key:

(a) Where the compound is indicated as an "oil", data is provided below:

Example 1043 spectral data: TLC R_f 0.40 (30:70 ethyl acetate-hexane). [1]H NMR (300 MHz, $CDCl_3$): d 8.91 (1H, s), 7.43 (1H, s), 7.10 (1H, s), 4.60-4.50 (1H, m), 2.94 (2H, dq, J = 7.5, 2.0 Hz), 2.45-2.35 (1H, m), 2.35 (3H, s), 2.28 (6H, s), 2.07-1.97 (1H, m), 1.73 (3H, d, J = 6.9 Hz), 1.41 (3H, t, J = 7.5 Hz), 1.40-1.27 (1H, m), 1.20-1.07 (1H, m), 0.92 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{21}H_{29}N_4$: 337.2392, found

337.2396; 339 (3), 338 (23), 337 (100). Analysis calc'd for $C_{21}H_{28}N_4$: C, 74.96; H, 8.40; N, 16.65; found: C, 74.28; H, 8.02; N, 16.37.

TABLE 1B

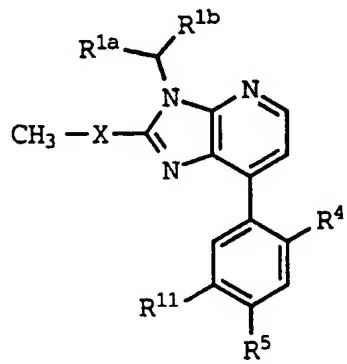


(A) (B) (C)

Ex. No.	R^2	X	R^4	R^5	R^{1a}	R^{1b}	mp, °C [a]
1270	CH_3	CH_2	CF_3	$O(CH_2)_2$-OH	c-C_3H_5	c-C_3H_5	-
1271	CH_3	CH_2	CF_3	OCH_2CO_2-C_2H_5	c-C_3H_5	c-C_3H_5	-
1272	CH_3	CH_2	CF_3	OCH_2CO-$N(CH_3)_2$	c-C_3H_5	c-C_3H_5	-
1273	CH_3	CH_2	CF_3	$O(CH_2)_2$-$NMe_3^+Cl^-$	c-C_3H_5	c-C_3H_5	-
1274	CH_3	CH_2	CF_3	OCH_2CH-$(OH)C_2H_5$	c-C_3H_5	c-C_3H_5	-
1275	CH_3	CH_2	OCH_2OCH_3	CH_3	CH_3	C_3H_7	77-79
1276	CH_3	CH_2	OH	CH_3	CH_3	C_3H_7	-
1277	CH_3	CH_2	OC_2H_5	CH_3	CH_3	C_3H_7	-
1278	CH_3	CH_2	OC_3H_7	CH_3	CH_3	C_3H_7	-
1279	CH_3	CH_2	$O(CH_2)_2$-OH	CH_3	CH_3	C_3H_7	-
1280	CH_3	CH_2	OCH_2CO_2-C_2H_5	CH_3	CH_3	C_3H_7	-
1281	CH_3	CH_2	OCH_2CO-$N(CH_3)_2$	CH_3	CH_3	C_3H_7	-
1282	CH_3	CH_2	$O(CH_2)_2$-$NMe_3^+Cl^-$	CH_3	CH_3	C_3H_7	-

1283	CH_3	CH_2	OCH_2CH-(OH)C_2H_5	CH_3	CH_3	C_3H_7	-

TABLE 1C

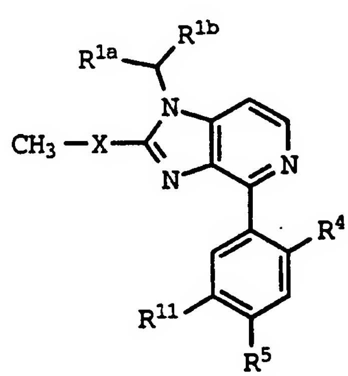


Ex. No.	X	R^4	R^5	R^{11}	R^{1a}	R^{1b}	mp, °C
1501	CH_2	Cl	CF_3	H	C_3H_7	OCH_3	76-78
1502	CH_2	Cl	CF_3	H	C_2H_5	$C_2H_4OCH_3$	oil
1503	CH_2	Cl	Cl	H	C_2H_5	$C_2H_4OCH_3$	-
1504	CH_2	Cl	OCH_3	H	C_2H_5	$C_2H_4OCH_3$	-
1505	CH_2	CF_3	OCH_3	H	C_2H_5	$C_2H_4OCH_3$	-
1506	CH_2	Cl	SO_2CH_3	H	C_2H_5	$C_2H_4OCH_3$	-
1507	CH_2	Cl	$COCH_3$	H	C_2H_5	$C_2H_4OCH_3$	-
1508	CH_2	CH_3	OCH_3	CH_3	C_2H_5	$C_2H_4OCH_3$	-
1509	CH_2	Cl	CH_3	F	C_2H_5	$C_2H_4OCH_3$	-
1510	CH_2	CH_3	OCH_3	F	C_2H_5	$C_2H_4OCH_3$	-
1511	CH_2	CH_3	CH_3	CH_3	C_2H_5	$C_2H_4OCH_3$	-
1512	CH_2	Cl	CF_3	H	c-C_3H_5	$C_2H_4OCH_3$	-
1513	CH_2	Cl	Cl	H	c-C_3H_5	$C_2H_4OCH_3$	-
1514	CH_2	Cl	OCH_3	H	c-C_3H_5	$C_2H_4OCH_3$	-
1515	CH_2	CF_3	OCH_3	H	c-C_3H_5	$C_2H_4OCH_3$	-
1516	CH_2	Cl	SO_2CH_3	H	c-C_3H_5	$C_2H_4OCH_3$	-
1517	CH_2	Cl	$COCH_3$	H	c-C_3H_5	$C_2H_4OCH_3$	-
1518	CH_2	CH_3	OCH_3	CH_3	c-C_3H_5	$C_2H_4OCH_3$	-
1519	CH_2	Cl	CH_3	F	c-C_3H_5	$C_2H_4OCH_3$	-

1520	CH_2	CH_3	OCH_3	F	c-C_3H_5	$C_2H_4OCH_3$	-
1521	CH_2	CH_3	CH_3	CH_3	c-C_3H_5	$C_2H_4OCH_3$	-
1522	CH_2	Cl	CF_3	H	C_2H_5	CH_2OCH_3	oil
1523	CH_2	Cl	Cl	H	C_2H_5	CH_2OCH_3	-
1524	CH_2	Cl	OCH_3	H	C_2H_5	CH_2OCH_3	-
1525	CH_2	CF_3	OCH_3	H	C_2H_5	CH_2OCH_3	-
1526	CH_2	Cl	SO_2CH_3	H	C_2H_5	CH_2OCH_3	-
1527	CH_2	Cl	$COCH_3$	H	C_2H_5	CH_2OCH_3	-
1528	CH_2	CH_3	OCH_3	CH_3	C_2H_5	CH_2OCH_3	-
1529	CH_2	Cl	CH_3	F	C_2H_5	CH_2OCH_3	-
1530	CH_2	CH_3	OCH_3	F	C_2H_5	CH_2OCH_3	-
1531	CH_2	CH_3	CH_3	CH_3	C_2H_5	CH_2OCH_3	-
1532	CH_2	Cl	CF_3	H	c-C_3H_5	CH_2OCH_3	-
1533	CH_2	Cl	Cl	H	c-C_3H_5	CH_2OCH_3	-
1534	CH_2	Cl	OCH_3	H	c-C_3H_5	CH_2OCH_3	-
1535	CH_2	CF_3	OCH_3	H	c-C_3H_5	CH_2OCH_3	-
1536	CH_2	Cl	SO_2CH_3	H	c-C_3H_5	CH_2OCH_3	-
1537	CH_2	Cl	$COCH_3$	H	c-C_3H_5	CH_2OCH_3	-
1538	CH_2	CH_3	OCH_3	CH_3	c-C_3H_5	CH_2OCH_3	-
1539	CH_2	Cl	CH_3	F	c-C_3H_5	CH_2OCH_3	-
1540	CH_2	CH_3	OCH_3	F	c-C_3H_5	CH_2OCH_3	-
1541	CH_2	CH_3	CH_3	CH_3	c-C_3H_5	CH_2OCH_3	-
1542	O	Cl	CF_3	H	C_2H_5	$C_2H_4OCH_3$	oil
1543	O	Cl	Cl	H	C_2H_5	$C_2H_4OCH_3$	-
1544	O	Cl	OCH_3	H	C_2H_5	$C_2H_4OCH_3$	-
1545	O	CF_3	OCH_3	H	C_2H_5	$C_2H_4OCH_3$	-
1546	O	Cl	SO_2CH_3	H	C_2H_5	$C_2H_4OCH_3$	-
1547	O	Cl	$COCH_3$	H	C_2H_5	$C_2H_4OCH_3$	-
1548	O	CH_3	OCH_3	CH_3	C_2H_5	$C_2H_4OCH_3$	-
1549	O	Cl	CH_3	F	C_2H_5	$C_2H_4OCH_3$	-
1550	O	CH_3	OCH_3	F	C_2H_5	$C_2H_4OCH_3$	-
1551	O	CH_3	CH_3	CH_3	C_2H_5	$C_2H_4OCH_3$	-
1552	O	Cl	CF_3	H	c-C_3H_5	$C_2H_4OCH_3$	-
1553	O	Cl	Cl	H	c-C_3H_5	$C_2H_4OCH_3$	-
1554	O	Cl	OCH_3	H	c-C_3H_5	$C_2H_4OCH_3$	-
1555	O	CF_3	OCH_3	H	c-C_3H_5	$C_2H_4OCH_3$	-
1556	O	Cl	SO_2CH_3	H	c-C_3H_5	$C_2H_4OCH_3$	-

1557	O	Cl	$COCH_3$	H	$c\text{-}C_3H_5$	$C_2H_4OCH_3$	-
1558	O	CH_3	OCH_3	CH_3	$c\text{-}C_3H_5$	$C_2H_4OCH_3$	-
1559	O	Cl	CH_3	F	$c\text{-}C_3H_5$	$C_2H_4OCH_3$	-
1560	O	CH_3	OCH_3	F	$c\text{-}C_3H_5$	$C_2H_4OCH_3$	-
1561	O	CH_3	CH_3	CH_3	$c\text{-}C_3H_5$	$C_2H_4OCH_3$	-
1562	O	Cl	CF_3	H	C_2H_5	CH_2OCH_3	oil
1563	O	Cl	OCH_3	H	C_2H_5	CH_2OCH_3	-
1564	O	CF_3	OCH_3	H	C_2H_5	CH_2OCH_3	-
1565	O	Cl	SO_2CH_3	H	C_2H_5	CH_2OCH_3	-
1566	O	Cl	$COCH_3$	H	C_2H_5	CH_2OCH_3	-
1567	O	CH_3	OCH_3	CH_3	C_2H_5	CH_2OCH_3	-
1568	O	Cl	CH_3	F	C_2H_5	CH_2OCH_3	-
1569	O	CH_3	OCH_3	F	C_2H_5	CH_2OCH_3	-
1570	O	CH_3	CH_3	CH_3	C_2H_5	CH_2OCH_3	-
1571	O	Cl	CF_3	H	$c\text{-}C_3H_5$	CH_2OCH_3	-
1572	O	Cl	Cl	H	$c\text{-}C_3H_5$	CH_2OCH_3	-
1573	O	Cl	OCH_3	H	$c\text{-}C_3H_5$	CH_2OCH_3	-
1574	O	CF_3	OCH_3	H	$c\text{-}C_3H_5$	CH_2OCH_3	-
1575	O	Cl	SO_2CH_3	H	$c\text{-}C_3H_5$	CH_2OCH_3	-
1576	O	Cl	$COCH_3$	H	$c\text{-}C_3H_5$	CH_2OCH_3	-
1577	O	CH_3	OCH_3	CH_3	$c\text{-}C_3H_5$	CH_2OCH_3	-
1578	O	Cl	CH_3	F	$c\text{-}C_3H_5$	CH_2OCH_3	-
1579	O	CH_3	OCH_3	F	$c\text{-}C_3H_5$	CH_2OCH_3	-
1580	O	CH_3	CH_3	CH_3	$c\text{-}C_3H_5$	CH_2OCH_3	-

TABLE 1D



Ex. No.	X	R^4	R^5	R^{11}	R^{1a}	R^{1b}	mp, °C
1601	CH_2	CH_3	Cl	H	C_2H_5	c-C_3H_5	109-111
1602	CH_2	Cl	Cl	H	C_2H_5	$C_2H_4OCH_3$	-
1603	CH_2	Cl	OCH_3	H	C_2H_5	$C_2H_4OCH_3$	-
1604	CH_2	CF_3	OCH_3	H	C_2H_5	$C_2H_4OCH_3$	-
1605	CH_2	Cl	SO_2CH_3	H	C_2H_5	$C_2H_4OCH_3$	-
1606	CH_2	Cl	$COCH_3$	H	C_2H_5	$C_2H_4OCH_3$	-
1607	CH_2	CH_3	OCH_3	CH_3	C_2H_5	$C_2H_4OCH_3$	-
1608	CH_2	Cl	CH_3	F	C_2H_5	$C_2H_4OCH_3$	-
1609	CH_2	CH_3	OCH_3	F	C_2H_5	$C_2H_4OCH_3$	-
1610	CH_2	CH_3	CH_3	CH_3	C_2H_5	$C_2H_4OCH_3$	-
1611	CH_2	Cl	CF_3	H	c-C_3H_5	$C_2H_4OCH_3$	-
1612	CH_2	Cl	Cl	H	c-C_3H_5	$C_2H_4OCH_3$	-
1613	CH_2	Cl	OCH_3	H	c-C_3H_5	$C_2H_4OCH_3$	-
1614	CH_2	CF_3	OCH_3	H	c-C_3H_5	$C_2H_4OCH_3$	-
1615	CH_2	Cl	SO_2CH_3	H	c-C_3H_5	$C_2H_4OCH_3$	-
1616	CH_2	Cl	$COCH_3$	H	c-C_3H_5	$C_2H_4OCH_3$	-
1617	CH_2	CH_3	OCH_3	CH_3	c-C_3H_5	$C_2H_4OCH_3$	-
1618	CH_2	Cl	CH_3	F	c-C_3H_5	$C_2H_4OCH_3$	-
1619	CH_2	CH_3	OCH_3	F	c-C_3H_5	$C_2H_4OCH_3$	-
1620	CH_2	CH_3	CH_3	CH_3	c-C_3H_5	$C_2H_4OCH_3$	-
1621	CH_2	Cl	CF_3	H	C_2H_5	CH_2OCH_3	oil
1622	CH_2	Cl	Cl	H	C_2H_5	CH_2OCH_3	-
1623	CH_2	Cl	OCH_3	H	C_2H_5	CH_2OCH_3	-
1624	CH_2	CF_3	OCH_3	H	C_2H_5	CH_2OCH_3	-
1625	CH_2	Cl	SO_2CH_3	H	C_2H_5	CH_2OCH_3	-
1626	CH_2	Cl	$COCH_3$	H	C_2H_5	CH_2OCH_3	-
1627	CH_2	CH_3	OCH_3	CH_3	C_2H_5	CH_2OCH_3	-
1628	CH_2	Cl	CH_3	F	C_2H_5	CH_2OCH_3	-
1629	CH_2	CH_3	OCH_3	F	C_2H_5	CH_2OCH_3	-
1630	CH_2	CH_3	CH_3	CH_3	C_2H_5	CH_2OCH_3	-
1631	CH_2	Cl	CF_3	H	c-C_3H_5	CH_2OCH_3	-
1632	CH_2	Cl	Cl	H	c-C_3H_5	CH_2OCH_3	-
1633	CH_2	Cl	OCH_3	H	c-C_3H_5	CH_2OCH_3	-
1634	CH_2	CF_3	OCH_3	H	c-C_3H_5	CH_2OCH_3	-

1635	CH_2	Cl	SO_2CH_3	H	c-C_3H_5	CH_2OCH_3	-
1636	CH_2	Cl	$COCH_3$	H	c-C_3H_5	CH_2OCH_3	-
1637	CH_2	CH_3	OCH_3	CH_3	c-C_3H_5	CH_2OCH_3	-
1638	CH_2	Cl	CH_3	F	c-C_3H_5	CH_2OCH_3	-
1639	CH_2	CH_3	OCH_3	F	c-C_3H_5	CH_2OCH_3	-
1640	CH_2	CH_3	CH_3	CH_3	c-C_3H_5	CH_2OCH_3	-
1641	O	Cl	CF_3	H	C_2H_5	$C_2H_4OCH_3$	oil
1642	O	Cl	Cl	H	C_2H_5	$C_2H_4OCH_3$	-
1643	O	Cl	OCH_3	H	C_2H_5	$C_2H_4OCH_3$	-
1644	O	CF_3	OCH_3	H	C_2H_5	$C_2H_4OCH_3$	-
1645	O	Cl	SO_2CH_3	H	C_2H_5	$C_2H_4OCH_3$	-
1646	O	Cl	$COCH_3$	H	C_2H_5	$C_2H_4OCH_3$	-
1647	O	CH_3	OCH_3	CH_3	C_2H_5	$C_2H_4OCH_3$	-
1648	O	Cl	CH_3	F	C_2H_5	$C_2H_4OCH_3$	-
1649	O	CH_3	OCH_3	F	C_2H_5	$C_2H_4OCH_3$	-
1650	O	CH_3	CH_3	CH_3	C_2H_5	$C_2H_4OCH_3$	-
1651	O	Cl	CF_3	H	c-C_3H_5	$C_2H_4OCH_3$	-
1652	O	Cl	Cl	H	c-C_3H_5	$C_2H_4OCH_3$	-
1653	O	Cl	OCH_3	H	c-C_3H_5	$C_2H_4OCH_3$	-
1654	O	CF_3	OCH_3	H	c-C_3H_5	$C_2H_4OCH_3$	-
1655	O	Cl	SO_2CH_3	H	c-C_3H_5	$C_2H_4OCH_3$	-
1656	O	Cl	$COCH_3$	H	c-C_3H_5	$C_2H_4OCH_3$	-
1657	O	CH_3	OCH_3	CH_3	c-C_3H_5	$C_2H_4OCH_3$	-
1658	O	Cl	CH_3	F	c-C_3H_5	$C_2H_4OCH_3$	-
1659	O	CH_3	OCH_3	F	c-C_3H_5	$C_2H_4OCH_3$	-
1660	O	CH_3	CH_3	CH_3	c-C_3H_5	$C_2H_4OCH_3$	-
1661	O	Cl	CF_3	H	C_2H_5	CH_2OCH_3	oil
1662	O	Cl	OCH_3	H	C_2H_5	CH_2OCH_3	-
1663	O	CF_3	OCH_3	H	C_2H_5	CH_2OCH_3	-
1664	O	Cl	SO_2CH_3	H	C_2H_5	CH_2OCH_3	-
1665	O	Cl	$COCH_3$	H	C_2H_5	CH_2OCH_3	-
1666	O	CH_3	OCH_3	CH_3	C_2H_5	CH_2OCH_3	-
1667	O	Cl	CH_3	F	C_2H_5	CH_2OCH_3	-
1668	O	CH_3	OCH_3	F	C_2H_5	CH_2OCH_3	-
1669	O	CH_3	CH_3	CH_3	C_2H_5	CH_2OCH_3	-
1670	O	Cl	CF_3	H	c-C_3H_5	CH_2OCH_3	-

1671	O	Cl	Cl	H	c-C_3H_5	CH_2OCH_3	-
1672	O	Cl	OCH_3	H	c-C_3H_5	CH_2OCH_3	-
1673	O	CF_3	OCH_3	H	c-C_3H_5	CH_2OCH_3	-
1674	O	Cl	SO_2CH_3	H	c-C_3H_5	CH_2OCH_3	-
1675	O	Cl	$COCH_3$	H	c-C_3H_5	CH_2OCH_3	-
1676	O	CH_3	OCH_3	CH_3	c-C_3H_5	CH_2OCH_3	-
1677	O	Cl	CH_3	F	c-C_3H_5	CH_2OCH_3	-
1678	O	CH_3	OCH_3	F	c-C_3H_5	CH_2OCH_3	-
1679	O	CH_3	CH_3	CH_3	c-C_3H_5	CH_2OCH_3	-

The methods discussed below in the preparation of 1-benzyl-6-methyl-4-(2,4,6-trimethylphenyl)imidazo[4,5-*c*]pyridine (Example 2001, Table 2, Structure A) may be used to prepare all of the examples of Structure A contained in Table 2, with minor procedural modifications where necessary and use of reagents of the appropriate structure.

The methods of Schemes 13 and 14 may be used to prepare many of the examples of Structure B and Structure C contained in Table 2, with minor procedural modifications where necessary and use of reagents of the appropriate structure.

Example 2001

Preparation of 1-benzyl-6-methyl-4-(2,4,6-trimethylphenyl)imidazo[4,5-*c*]pyridine

Part A. A solution of 4-chloro-6-methyl-3-nitropyridone (5.0 g, 26.5 mmol) in acetonitrile (93 mL) was treated with benzylamine (2.89 mL, 26.5 mmol) and diisopropylethylamine (5.54 mL, 31.8 mmol). The mixture was heated to reflux for 4 hrs., then cooled to ambient temperature and allowed to stir for 12 hrs. The mixture was partitioned between dichloromethane and water (200 mL each), and the aqueous layer was extracted with dichloromethane (200 mL). The

extracts were washed in sequence with water (200 mL) and combined, and the resulting precipitate was collected by filtration. The filtrate was dried over sodium sulfate, refiltered and evaporated to afford a second crop of crystalline product, 4-benzylamino-6-methyl-3-nitropyridone (6.74 g total, 26.0 mmol, 98%). m.p. 246-247 °C. TLC R_F 0.35 (10:90 isopropanol-ethyl acetate). ^{1}H NMR (300 MHz, $CDCl_3$): d 10.48 (1H, br s), 9.69 (1H, br s), 7.41-7.26 (5H, m), 5.66 (1H, s), 4.57 (2H, d, J = 5.5 Hz), 2.26 (3H, s). MS (NH_3-CI): *m/e* 261 (10), 260 (70), 226 (100).

Part B. A solution of the pyridone from Part A (6.72 g, 25.9 mmol) in phosphorus oxychloride (52 mL, 25.5 mmol) was stirred at ambient temperature for 3 d. The reaction mixture was poured into a mixture of ice (150 g) and dichloromethane (200 mL). After the ice had melted, 100 mL more dichloromethane was added, and the pH of the mixture was adjusted to 7 with solid $NaHCO_3$. The mixture was separated, and the aqueous phase was extracted with dichloromethane. The extracts were combined, dried over sodium sulfate, filtered and evaporated to afford the product (4-benzylamino-2-chloro-6-methyl-3-nitropyridine) as a bright yellow crystalline solid (6.45 g, 23.2 mmol, 90%). TLC R_F 0.76 (ethyl acetate). ^{1}H NMR (300 MHz, $CDCl_3$): d 7.43-7.26 (5H, m), 7.04 (1H, br), 6.47 (1H, s), 4.48 (2H, d, J = 5.5 Hz), 2.40 (3H, s). MS (NH_3-CI): *m/e* 281 (5), 280 (35), 279 (17), 278 (100).

Part C. A solution of the nitro compound from Part B above (6.42 g, 23.1 mmol) in methanol (162 mL) was treated with iron powder (13.61 g) and glacial acetic acid (13.6 mL). The resulting mixture was heated to reflux for 2 h, then cooled, filtered through celite (with methanol washing) and evaporated. The residual material was taken up in dichloromethane (231 mL) and 1 N aq. HCl (162 mL), and adjusted to neutral pH by addition of solid $NaHCO_3$. This mixture was filtered through celite and separated, and the aqueous phase was extracted with dichloromethane. The

extracts were combined, dried over Na_2SO_4, filtered and evaporated to afford the product, 3-amino-4-benzylamino-2-chloro-6-methylpyridine, as a solid (5.59 g, 22.6 mmol, 98%). m.p. 177-178 °C. TLC R_F 0.60 (ethyl acetate). ^1H NMR (300 MHz, $CDCl_3$): d 7.41-7.32 (5H, m), 6.33 (1H, s), 4.54 (1H, br), 4.36 (2H, d, J = 5.1 Hz), 3.30 (2H, br s), 2.35 (3H, s). MS (NH_3-CI): *m/e* 251 (6), 250 (37), 249 (19), 248 (100).

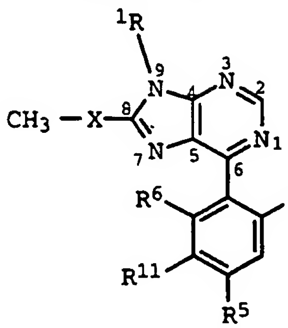
Part D. A suspension of the diamine from Part C above (2.15 g, 8.68 mmol) in triethyl orthopropionate (5 mL) was treated with conc. HCl (3 drops), and heated to reflux for 1 h, then cooled and the excess orthoester removed by vacuum distillation. The pot residue was taken up in ethyl acetate (120 mL), which was washed with water and brine (100 mL each). The aqueous phases were back-extracted in sequence with ethyl acetate, and the extracts were combined, dried over Na_2SO_4, filtered and evaporated to afford N-(4-benzylamino-2-chloro-6-methylpyridin-3-yl)propionamide O-ethyl imidate (2.62 g, 91%). TLC R_F 0.40 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): d 7.39-7.29 (5H, m), 6.29 (1H, s), 4.64 (1H, br t, J = 5.8 Hz), 4.37 (2H, d, J = 5.8 Hz), 4.25 (2H, br), 2.35 (3H, s), 2.18-2.11 (2H, m), 1.36 (3H, t, J = 7.0 Hz), 1.06 (3H, t, J = 7.7 Hz). MS (NH_3-CI): *m/e* 335 (7), 334 (34), 333 (22), 332 (100).

Part E. A solution of the compound from Part D (2.62 g, 7.90 mmol) in phenyl ether (10 mL) was heated to 170 °C for 6 h, then cooled and poured into ethyl acetate (150 mL). This was washed with water and brine (100 mL each), then dried over Na_2SO_4, filtered and evaporated. The residual liquid was separated by column chromatography (hexane, then ethyl acetate) to afford the product, 1-benzyl-4-chloro-2-ethyl-6-methylimidazo[4,5-*c*]pyridine, as an oil (2.16 g, 96 %). m.p. 140-141 °C. TLC R_F 0.06 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): d 7.36-7.32 (3H, m), 7.02-6.98 (2H, m), 6.93 (1H, s), 5.31 (2H, s), 2.89 (2H, q, J =

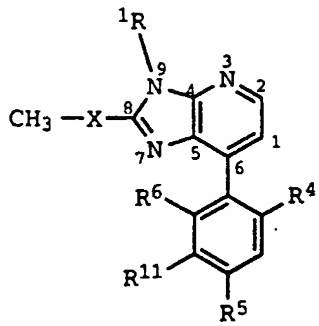
7.3 Hz), 2.58 (3H, s), 1.39 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* 289 (6), 288 (35), 287 (20), 286 (100).

Part F. A solution of zinc chloride (538 mg) in tetrahydrofuran (7 mL) was treated with a tetrahydrofuran solution of 2-mesitylmagnesium bromide (3.95 mL, 1.0 M), and stirred for 1 h. In another flask, a solution of bis(triphenylphosphine)palladium chloride (93 mg, 0.132 mmol) in tetrahydrofuran (5 mL) was treated with a hexane solution of diisobutylaluminum hydride (0.263 mL, 1.0 M), and this solution was stirred for 20 min. The arylzinc solution was then delivered by cannula to the flask containing the palladium catalyst, which was followed by the chloride prepared in Part E. The mixture was heated to reflux for 12 h, then cooled, and poured into water (100 mL). This was extracted with ethyl acetate (2 x 150 mL), and the extracts were washed with brine, combined, dried over Na_2SO_4, filtered and evaporated. The residual material was separated by column chromatography (1:1 ethyl acetate-hexane) to afford the title product as a solid, recrystallized to purity from ether (187 mg, 29%). m.p. 177-180 °C (ether). TLC R_F 0.27 (50:50 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): d 7.38-7.32 (3H, m), 7.10-7.05 (2H, m), 6.96 (1H, s), 6.93 (2H, s), 5.32 (2H, s), 2.84 (2H, q, J = 7.3 Hz), 2.64 (3H, s), 2.30 (3H, s), 2.02 (6H, s), 1.26 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* 372 (4), 371 (29), 370 (100). Analysis calc'd for $C_{25}H_{27}N_3$: C, 81.26; H, 7.38; N, 11.37; found: C, 80.70; H, 7.26; N, 11.20.

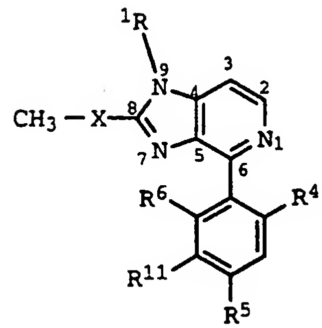
TABLE 2



(A)



(B)



(C)

Ex. No.	X	R^4	R^5	R^{11}	R^6	R^1	mp, °C
2001	CH_2	Cl	Cl	H	H	c-C_4H_7	-
2002	CH_2	Cl	Cl	H	H	c-C_5H_9	111-112
2003	CH_2	Cl	Cl	H	H	c-C_6H_{11}	oil
2004	CH_2	Cl	Cl	H	H	c-C_7H_{13}	128-130
2005	CH_2	Cl	Cl	H	H	c-C_8H_{15}	-
2006	CH_2	Cl	Cl	H	H	2-CH_3-c-C_5H_8	oil
2007	CH_2	Cl	Cl	H	H	3-CH_3-c-C_5H_8	-
2008	CH_2	Cl	Cl	H	H	2-OCH_3-c-C_5H_8	-
2009	CH_2	Cl	Cl	H	H	2,5-$(CH_3)_2$-c-C_5H_7	-
2010	CH_2	Cl	Cl	H	H	2-$(CH_3)_2CH$-5-CH_3-c-C_6H_9	-
2011	CH_2	Cl	Cl	H	H	9-fluorenyl	oil
2012	CH_2	Cl	Cl	H	H	1-tetrahydronaphthyl	oil
2013	CH_2	Cl	Cl	H	H	1-indanyl	oil
2014	CH_2	Cl	Cl	H	H	4-chromanyl	oil
2015	CH_2	Cl	Cl	H	H	2-oxo-c-C_5H_7	166-168
2016	CH_2	Cl	Cl	H	H	5-dibenzosuberyl	-
2017	CH_2	Cl	Cl	H	H	5-dibenzosuberenyl	-
2018	CH_2	Cl	CF_3	H	H	c-C_4H_7	-
2019	CH_2	Cl	CF_3	H	H	c-C_5H_9	146-147
2020	CH_2	Cl	CF_3	H	H	c-C_6H_{11}	oil
2021	CH_2	Cl	CF_3	H	H	c-C_7H_{13}	129-130
2022	CH_2	Cl	CF_3	H	H	c-C_8H_{15}	-
2023	CH_2	Cl	CF_3	H	H	2-CH_3-c-C_5H_8	98-99

2024	CH_2	Cl	CF_3	H	H	$3\text{-}CH_3\text{-}c\text{-}C_5H_8$	-
2025	CH_2	Cl	CF_3	H	H	$2\text{-}OCH_3\text{-}c\text{-}C_5H_8$	-
2026	CH_2	Cl	CF_3	H	H	$2,5\text{-}(CH_3)_2\text{-}c\text{-}C_5H_7$	-
2027	CH_2	Cl	CF_3	H	H	$2\text{-}(CH_3)_2CH\text{-}5\text{-}CH_3\text{-}c\text{-}C_6H_9$	-
2028	CH_2	Cl	CF_3	H	H	9-fluorenyl	-
2029	CH_2	Cl	CF_3	H	H	1-tetrahydronaphthyl	-
2030	CH_2	Cl	CF_3	H	H	1-indanyl	-
2031	CH_2	Cl	CF_3	H	H	4-chromanyl	-
2032	CH_2	Cl	CF_3	H	H	$2\text{-}oxo\text{-}c\text{-}C_5H_7$	-
2033	CH_2	Cl	CF_3	H	H	5-dibenzosuberyl	-
2034	CH_2	Cl	CF_3	H	H	5-dibenzosuberenyl	-
2035	CH_2	Cl	OCH_3	H	H	$c\text{-}C_4H_7$	-
2036	CH_2	Cl	OCH_3	H	H	$c\text{-}C_5H_9$	-
2037	CH_2	Cl	OCH_3	H	H	$c\text{-}C_6H_{11}$	-
2038	CH_2	Cl	OCH_3	H	H	$c\text{-}C_7H_{13}$	-
2039	CH_2	Cl	OCH_3	H	H	$c\text{-}C_8H_{15}$	-
2040	CH_2	Cl	OCH_3	H	H	$2\text{-}CH_3\text{-}c\text{-}C_5H_8$	-
2041	CH_2	Cl	OCH_3	H	H	$3\text{-}CH_3\text{-}c\text{-}C_5H_8$	-
2042	CH_2	Cl	OCH_3	H	H	$2\text{-}OCH_3\text{-}c\text{-}C_5H_8$	-
2043	CH_2	Cl	OCH_3	H	H	$2,5\text{-}(CH_3)_2\text{-}c\text{-}C_5H_7$	-
2044	CH_2	Cl	OCH_3	H	H	$2\text{-}(CH_3)_2CH\text{-}5\text{-}CH_3\text{-}c\text{-}C_6H_9$	-
2045	CH_2	Cl	OCH_3	H	H	9-fluorenyl	-
2046	CH_2	Cl	OCH_3	H	H	1-tetrahydronaphthyl	-
2047	CH_2	Cl	OCH_3	H	H	1-indanyl	-
2048	CH_2	Cl	OCH_3	H	H	4-chromanyl	-
2049	CH_2	Cl	OCH_3	H	H	$2\text{-}oxo\text{-}c\text{-}C_5H_7$	-
2050	CH_2	Cl	OCH_3	H	H	5-dibenzosuberyl	-
2051	CH_2	Cl	OCH_3	H	H	5-dibenzosuberenyl	-
2052	CH_2	Cl	OCF_3	H	H	$c\text{-}C_4H_7$	-
2053	CH_2	Cl	OCF_3	H	H	$c\text{-}C_5H_9$	oil
2054	CH_2	Cl	OCF_3	H	H	$c\text{-}C_6H_{11}$	-
2055	CH_2	Cl	OCF_3	H	H	$c\text{-}C_7H_{13}$	-
2056	CH_2	Cl	OCF_3	H	H	$c\text{-}C_8H_{15}$	-
2057	CH_2	Cl	OCF_3	H	H	$2\text{-}CH_3\text{-}c\text{-}C_5H_8$	-
2058	CH_2	Cl	OCF_3	H	H	$3\text{-}CH_3\text{-}c\text{-}C_5H_8$	-
2059	CH_2	Cl	OCF_3	H	H	$2\text{-}OCH_3\text{-}c\text{-}C_5H_8$	-
2060	CH_2	Cl	OCF_3	H	H	$2,5\text{-}(CH_3)_2\text{-}c\text{-}C_5H_7$	-
2061	CH_2	Cl	OCF_3	H	H	$2\text{-}(CH_3)_2CH\text{-}5\text{-}CH_3\text{-}c\text{-}C_6H_9$	-

2062	CH_2	Cl	OCF_3	H	H	9-fluorenyl	-
2063	CH_2	Cl	OCF_3	H	H	1-tetrahydronaphthyl	-
2064	CH_2	Cl	OCF_3	H	H	1-indanyl	-
2065	CH_2	Cl	OCF_3	H	H	4-chromanyl	-
2066	CH_2	Cl	OCF_3	H	H	2-oxo-c-C_5H_7	-
2067	CH_2	Cl	OCF_3	H	H	5-dibenzosuberyl	-
2068	CH_2	Cl	OCF_3	H	H	5-dibenzosuberenyl	-
2069	CH_2	Cl	CH_3	H	H	c-C_4H_7	-
2070	CH_2	Cl	CH_3	H	H	c-C_5H_9	-
2071	CH_2	Cl	CH_3	H	H	c-C_6H_{11}	-
2072	CH_2	Cl	CH_3	H	H	c-C_7H_{13}	-
2073	CH_2	Cl	CH_3	H	H	c-C_8H_{15}	-
2074	CH_2	Cl	CH_3	H	H	2-CH_3-c-C_5H_8	-
2075	CH_2	Cl	CH_3	H	H	3-CH_3-c-C_5H_8	-
2076	CH_2	Cl	CH_3	H	H	2-OCH_3-c-C_5H_8	-
2077	CH_2	Cl	CH_3	H	H	2,5-$(CH_3)_2$-c-C_5H_7	-
2078	CH_2	Cl	CH_3	H	H	2-$(CH_3)_2CH$-5-CH_3-c-C_6H_9	-
2079	CH_2	Cl	CH_3	H	H	9-fluorenyl	-
2080	CH_2	Cl	CH_3	H	H	1-tetrahydronaphthyl	-
2081	CH_2	Cl	CH_3	H	H	1-indanyl	-
2082	CH_2	Cl	CH_3	H	H	4-chromanyl	-
2083	CH_2	Cl	CH_3	H	H	2-oxo-c-C_5H_7	-
2084	CH_2	Cl	CH_3	H	H	5-dibenzosuberyl	-
2085	CH_2	Cl	CH_3	H	H	5-dibenzosuberenyl	-
2086	CH_2	CF_3	Cl	H	H	c-C_4H_7	-
2087	CH_2	CF_3	Cl	H	H	c-C_5H_9	143-145
2088	CH_2	CF_3	Cl	H	H	c-C_6H_{11}	-
2089	CH_2	CF_3	Cl	H	H	c-C_7H_{13}	-
2090	CH_2	CF_3	Cl	H	H	c-C_8H_{15}	-
2091	CH_2	CF_3	Cl	H	H	2-CH_3-c-C_5H_8	-
2092	CH_2	CF_3	Cl	H	H	3-CH_3-c-C_5H_8	-
2093	CH_2	CF_3	Cl	H	H	2-OCH_3-c-C_5H_8	-
2094	CH_2	CF_3	Cl	H	H	2,5-$(CH_3)_2$-c-C_5H_7	-
2095	CH_2	CF_3	Cl	H	H	2-$(CH_3)_2CH$-5-CH_3-c-C_6H_9	-
2096	CH_2	CF_3	Cl	H	H	9-fluorenyl	-
2097	CH_2	CF_3	Cl	H	H	1-tetrahydronaphthyl	-
2098	CH_2	CF_3	Cl	H	H	1-indanyl	-
2099	CH_2	CF_3	Cl	H	H	4-chromanyl	-

2100	CH_2	CF_3	Cl	H	H	2-oxo-c-C_5H_7	-
2101	CH_2	CF_3	Cl	H	H	5-dibenzosuberyl	-
2102	CH_2	CF_3	Cl	H	H	5-dibenzosuberenyl	-
2103	CH_2	CF_3	OCH_3	H	H	c-C_4H_7	-
2104	CH_2	CF_3	OCH_3	H	H	c-C_5H_9	103-106
2105	CH_2	CF_3	OCH_3	H	H	c-C_6H_{11}	-
2106	CH_2	CF_3	OCH_3	H	H	c-C_7H_{13}	-
2107	CH_2	CF_3	OCH_3	H	H	c-C_8H_{15}	-
2108	CH_2	CF_3	OCH_3	H	H	2-CH_3-c-C_5H_8	-
2109	CH_2	CF_3	OCH_3	H	H	3-CH_3-c-C_5H_8	-
2110	CH_2	CF_3	OCH_3	H	H	2-OCH_3-c-C_5H_8	-
2111	CH_2	CF_3	OCH_3	H	H	2,5-$(CH_3)_2$-c-C_5H_7	-
2112	CH_2	CF_3	OCH_3	H	H	2-$(CH_3)_2CH$-5-CH_3-c-C_6H_9	-
2113	CH_2	CF_3	OCH_3	H	H	9-fluorenyl	-
2114	CH_2	CF_3	OCH_3	H	H	1-tetrahydronaphthyl	-
2115	CH_2	CF_3	OCH_3	H	H	1-indanyl	-
2116	CH_2	CF_3	OCH_3	H	H	4-chromanyl	-
2117	CH_2	CF_3	OCH_3	H	H	2-oxo-c-C_5H_7	-
2118	CH_2	CF_3	OCH_3	H	H	5-dibenzosuberyl	-
2119	CH_2	CF_3	OCH_3	H	H	5-dibenzosuberenyl	-
2120	CH_2	CF_3	F	H	H	c-C_4H_7	-
2121	CH_2	CF_3	F	H	H	c-C_5H_9	-
2122	CH_2	CF_3	F	H	H	c-C_6H_{11}	-
2123	CH_2	CF_3	F	H	H	c-C_7H_{13}	119-122
2124	CH_2	CF_3	F	H	H	c-C_8H_{15}	-
2125	CH_2	CF_3	F	H	H	2-CH_3-c-C_5H_8	-
2126	CH_2	CF_3	F	H	H	3-CH_3-c-C_5H_8	-
2127	CH_2	CF_3	F	H	H	2-OCH_3-c-C_5H_8	-
2128	CH_2	CF_3	F	H	H	2,5-$(CH_3)_2$-c-C_5H_7	-
2129	CH_2	CF_3	F	H	H	2-$(CH_3)_2CH$-5-CH_3-c-C_6H_9	155-156
2130	CH_2	CF_3	F	H	H	9-fluorenyl	184-185
2131	CH_2	CF_3	F	H	H	1-tetrahydronaphthyl	-
2132	CH_2	CF_3	F	H	H	1-indanyl	-
2133	CH_2	CF_3	F	H	H	4-chromanyl	-
2134	CH_2	CF_3	F	H	H	2-oxo-c-C_5H_7	-
2135	CH_2	CF_3	F	H	H	5-dibenzosuberyl	-
2136	CH_2	CF_3	F	H	H	5-dibenzosuberenyl	-
2137	CH_2	CH_3	OCH_3	CH_3	H	c-C_4H_7	-

2138	CH_2	CH_3	OCH_3	CH_3	H	c-C_5H_9	-
2139	CH_2	CH_3	OCH_3	CH_3	H	c-C_6H_{11}	-
2140	CH_2	CH_3	OCH_3	CH_3	H	c-C_7H_{13}	-
2141	CH_2	CH_3	OCH_3	CH_3	H	c-C_8H_{15}	-
2142	CH_2	CH_3	OCH_3	CH_3	H	2-CH_3-c-C_5H_8	-
2143	CH_2	CH_3	OCH_3	CH_3	H	3-CH_3-c-C_5H_8	-
2144	CH_2	CH_3	OCH_3	CH_3	H	2-OCH_3-c-C_5H_8	-
2145	CH_2	CH_3	OCH_3	CH_3	H	2,5-$(CH_3)_2$-c-C_5H_7	-
2146	CH_2	CH_3	OCH_3	CH_3	H	2-$(CH_3)_2$CH-5-CH_3-c-C_6H_9	-
2147	CH_2	CH_3	OCH_3	CH_3	H	9-fluorenyl	-
2148	CH_2	CH_3	OCH_3	CH_3	H	1-tetrahydronaphthyl	-
2149	CH_2	CH_3	OCH_3	CH_3	H	1-indanyl	-
2150	CH_2	CH_3	OCH_3	CH_3	H	4-chromanyl	-
2151	CH_2	CH_3	OCH_3	CH_3	H	2-oxo-c-C_5H_7	-
2152	CH_2	CH_3	OCH_3	CH_3	H	5-dibenzosuberyl	-
2153	CH_2	CH_3	OCH_3	CH_3	H	5-dibenzosuberenyl	-
2154	CH_2	CH_3	OCH_3	Cl	H	c-C_4H_7	-
2155	CH_2	CH_3	OCH_3	Cl	H	c-C_5H_9	115-116
2156	CH_2	CH_3	OCH_3	Cl	H	c-C_6H_{11}	-
2157	CH_2	CH_3	OCH_3	Cl	H	c-C_7H_{13}	-
2158	CH_2	CH_3	OCH_3	Cl	H	c-C_8H_{15}	-
2159	CH_2	CH_3	OCH_3	Cl	H	2-CH_3-c-C_5H_8	-
2160	CH_2	CH_3	OCH_3	Cl	H	3-CH_3-c-C_5H_8	-
2161	CH_2	CH_3	OCH_3	Cl	H	2-OCH_3-c-C_5H_8	-
2162	CH_2	CH_3	OCH_3	Cl	H	2,5-$(CH_3)_2$-c-C_5H_7	-
2163	CH_2	CH_3	OCH_3	Cl	H	2-$(CH_3)_2$CH-5-CH_3-c-C_6H_9	-
2164	CH_2	CH_3	OCH_3	Cl	H	9-fluorenyl	-
2165	CH_2	CH_3	OCH_3	Cl	H	1-tetrahydronaphthyl	-
2166	CH_2	CH_3	OCH_3	Cl	H	1-indanyl	-
2167	CH_2	CH_3	OCH_3	Cl	H	4-chromanyl	-
2168	CH_2	CH_3	OCH_3	Cl	H	2-oxo-c-C_5H_7	-
2169	CH_2	CH_3	OCH_3	Cl	H	5-dibenzosuberyl	-
2170	CH_2	CH_3	OCH_3	Cl	H	5-dibenzosuberenyl	-
2171	CH_2	CH_3	OCH_3	F	H	c-C_4H_7	-
2172	CH_2	CH_3	OCH_3	F	H	c-C_5H_9	-
2173	CH_2	CH_3	OCH_3	F	H	c-C_6H_{11}	-
2174	CH_2	CH_3	OCH_3	F	H	c-C_7H_{13}	-
2175	CH_2	CH_3	OCH_3	F	H	c-C_8H_{15}	-

2176	CH_2	CH_3	OCH_3	F	H	2-CH_3-c-C_5H_8	-
2177	CH_2	CH_3	OCH_3	F	H	3-CH_3-c-C_5H_8	-
2178	CH_2	CH_3	OCH_3	F	H	2-OCH_3-c-C_5H_8	-
2179	CH_2	CH_3	OCH_3	F	H	2,5-$(CH_3)_2$-c-C_5H_7	-
2180	CH_2	CH_3	OCH_3	F	H	2-$(CH_3)_2CH$-5-CH_3-c-C_6H_9	-
2181	CH_2	CH_3	OCH_3	F	H	9-fluorenyl	-
2182	CH_2	CH_3	OCH_3	F	H	1-tetrahydronaphthyl	-
2183	CH_2	CH_3	OCH_3	F	H	1-indanyl	-
2184	CH_2	CH_3	OCH_3	F	H	4-chromanyl	-
2185	CH_2	CH_3	OCH_3	F	H	2-oxo-c-C_5H_7	-
2186	CH_2	CH_3	OCH_3	F	H	5-dibenzosuberyl	-
2187	CH_2	CH_3	OCH_3	F	H	5-dibenzosuberenyl	-
2188	CH_2	CH_3	CH_3	H	CH_3	c-C_4H_7	-
2189	CH_2	CH_3	CH_3	H	CH_3	c-C_5H_9	-
2190	CH_2	CH_3	CH_3	H	CH_3	c-C_6H_{11}	-
2191	CH_2	CH_3	CH_3	H	CH_3	c-C_7H_{13}	-
2192	CH_2	CH_3	CH_3	H	CH_3	c-C_8H_{15}	-
2193	CH_2	CH_3	CH_3	H	CH_3	2-CH_3-c-C_5H_8	-
2194	CH_2	CH_3	CH_3	H	CH_3	3-CH_3-c-C_5H_8	-
2195	CH_2	CH_3	CH_3	H	CH_3	2-OCH_3-c-C_5H_8	-
2196	CH_2	CH_3	CH_3	H	CH_3	2,5-$(CH_3)_2$-c-C_5H_7	-
2197	CH_2	CH_3	CH_3	H	CH_3	2-$(CH_3)_2CH$-5-CH_3-c-C_6H_9	-
2198	CH_2	CH_3	CH_3	H	CH_3	9-fluorenyl	-
2199	CH_2	CH_3	CH_3	H	CH_3	1-tetrahydronaphthyl	-
2200	CH_2	CH_3	CH_3	H	CH_3	1-indanyl	-
2201	CH_2	CH_3	CH_3	H	CH_3	4-chromanyl	-
2202	CH_2	CH_3	CH_3	H	CH_3	2-oxo-c-C_5H_7	-
2203	CH_2	CH_3	CH_3	H	CH_3	5-dibenzosuberyl	-
2204	CH_2	CH_3	CH_3	H	CH_3	5-dibenzosuberenyl	-
2205	CH_2	Cl	Cl	H	CH_3	c-C_4H_7	-
2206	CH_2	Cl	Cl	H	CH_3	c-C_5H_9	-
2207	CH_2	Cl	Cl	H	CH_3	c-C_6H_{11}	-
2208	CH_2	Cl	Cl	H	CH_3	c-C_7H_{13}	-
2209	CH_2	Cl	Cl	H	CH_3	c-C_8H_{15}	-
2210	CH_2	Cl	Cl	H	CH_3	2-CH_3-c-C_5H_8	-
2211	CH_2	Cl	Cl	H	CH_3	3-CH_3-c-C_5H_8	-
2212	CH_2	Cl	Cl	H	CH_3	2-OCH_3-c-C_5H_8	-
2213	CH_2	Cl	Cl	H	CH_3	2,5-$(CH_3)_2$-c-C_5H_7	-

2214	CH_2	Cl	Cl	H	CH_3	2-$(CH_3)_2$CH-5-CH_3-c-C_6H_9	-
2215	CH_2	Cl	Cl	H	CH_3	9-fluorenyl	-
2216	CH_2	Cl	Cl	H	CH_3	1-tetrahydronaphthyl	oil
2217	CH_2	Cl	Cl	H	CH_3	1-indanyl	-
2218	CH_2	Cl	Cl	H	CH_3	4-chromanyl	-
2219	CH_2	Cl	Cl	H	CH_3	2-oxo-c-C_5H_7	-
2220	CH_2	Cl	Cl	H	CH_3	5-dibenzosuberyl	-
2221	CH_2	Cl	Cl	H	CH_3	5-dibenzosuberenyl	-
2222	CH_2	CH_3	OCH_3	OCH_3	H	c-C_4H_7	-
2223	CH_2	CH_3	OCH_3	OCH_3	H	c-C_5H_9	oil
2224	CH_2	CH_3	OCH_3	OCH_3	H	c-C_6H_{11}	-
2225	CH_2	CH_3	OCH_3	OCH_3	H	c-C_7H_{13}	-
2226	CH_2	CH_3	OCH_3	OCH_3	H	c-C_8H_{15}	-
2227	CH_2	CH_3	OCH_3	OCH_3	H	2-CH_3-c-C_5H_8	oil
2228	CH_2	CH_3	OCH_3	OCH_3	H	3-CH_3-c-C_5H_8	-
2229	CH_2	CH_3	OCH_3	OCH_3	H	2-OCH_3-c-C_5H_8	-
2230	CH_2	CH_3	OCH_3	OCH_3	H	2,5-$(CH_3)_2$-c-C_5H_7	-
2231	CH_2	CH_3	OCH_3	OCH_3	H	2-$(CH_3)_2$CH-5-CH_3-c-C_6H_9	-
2232	CH_2	CH_3	OCH_3	OCH_3	H	9-fluorenyl	-
2233	CH_2	CH_3	OCH_3	OCH_3	H	1-tetrahydronaphthyl	-
2234	CH_2	CH_3	OCH_3	OCH_3	H	1-indanyl	-
2235	CH_2	CH_3	OCH_3	OCH_3	H	4-chromanyl	-
2236	CH_2	CH_3	OCH_3	OCH_3	H	2-oxo-c-C_5H_7	-
2237	CH_2	CH_3	OCH_3	OCH_3	H	5-dibenzosuberyl	-
2238	CH_2	CH_3	OCH_3	OCH_3	H	5-dibenzosuberenyl	-
2239	O	Cl	Cl	H	H	c-C_5H_9	-
2240	O	Cl	CF_3	H	H	c-C_5H_9	-
2241	O	Cl	OCH_3	H	H	c-C_5H_9	-
2242	O	Cl	OCF_3	H	H	c-C_5H_9	-
2243	O	Cl	CH_3	H	H	c-C_5H_9	-
2244	O	CF_3	Cl	H	H	c-C_5H_9	-
2245	O	CF_3	OCH_3	H	H	c-C_5H_9	-
2246	O	CH_3	OCH_3	CH_3	H	c-C_5H_9	-
2247	O	CH_3	OCH_3	Cl	H	c-C_5H_9	-
2248	O	CH_3	OCH_3	F	H	c-C_5H_9	-
2249	O	CH_3	CH_3	H	CH_3	c-C_5H_9	-
2250	O	Cl	Cl	H	CH_3	c-C_5H_9	-

Key:

a) Where the compound is listed as an "oil", spectral data is as follows:

Example 2003 spectral data: MS (NH_3-CI): m/e 374 ($M+H^+$, 100%).

Example 2006 spectral data: TLC R_f 0.20 (20:80 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.94 (1H, s), 7.67 (1H, d, J = 8.1 Hz), 7.57 (1H, d, J = 1.8 Hz), 7.40 (1H, dd, J = 8.1, 1.8 Hz), 4.83 (1H, q, J = 8.0 Hz), 3.20-3.04 (1H, m), 2.98 (2H, q, J = 7.3 Hz), 2.50-2.38 (1H, m), 2.30-2.15 (2H, m), 2.03-1.93 (2H, m), 1.75-1.60 (1H, m), 1.42 (3H, t, J = 7.3 Hz), 0.68 (3H, d, J = 6.9 Hz). MS (NH_3-CI): m/e calc'd for $C_{19}H_{21}Cl_2N_4$: 375.1143, found 375.1149; 380 (2), 379 (12), 378 (15), 377 (66), 376 (27), 375 (100).

Example 2011 spectral data: MS (NH_3-CI): m/e 457 ($M+H^+$, 100%).

Example 2012 spectral data: TLC R_f 0.38 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.94 (1H, s), 7.72 (1H, d, J = 8.5 Hz), 7.58 (1H, d, J = 1.8 Hz), 7.47-7.40 (2H, m), 7.24-7.18 (1H, m), 6.56 (1H, d, J = 7.7 Hz), 6.18-6.10 (1H, m), 4.82-4.76 (1H, m), 3.15-2.30 (5H, m), 2.10-1.77 (3H, m), 1.27 (3H, t, J = 7.5 Hz). MS (NH_3-CI): m/e calc'd for $C_{23}H_{21}Cl_2N_4$: 423.1143, found 423.1142; 427 (13), 426 (18), 425 (67), 424 (31), 423 (100).

Example 2013 spectral data: TLC R_f 0.28 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.91 (1H, s), 7.68 (1H, d, J = 8.5 Hz), 7.58 (1H, d, J = 1.8 Hz), 7.46-7.38 (2H, m), 7.22-7.15 (1H, m), 6.91 (1H, d, J = 7.7 Hz), 6.42 (1H, br t, J = 7 Hz), 5.30-5.22 (1H, m), 3.43-3.33 (1H, m), 3.20-3.03 (1H, m), 2.89-2.76 (2H, m), 2.56-2.43 (1H, m), 2.01-1.90 (1H, m), 1.31 (3H, t, J = 7.5 Hz). MS (NH_3-CI): m/e calc'd for $C_{22}H_{19}Cl_2N_4$: 409.0987, found 409.0987; 413 (12), 412 (17), 411 (67), 410 (29), 409 (100).

Example 2014 spectral data: TLC R_f 0.38 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.95 (1H, s), 7.71 (1H, d, J = 8.4 Hz), 7.59 (1H, d, J = 2.2 Hz), 7.42 (1H, dd, J = 8.4, 2.2 Hz), 7.26-7.19 (1H, m), 6.98-6.90 (1H, m), 6.58 (1H, d, J = 7.7 Hz), 6.30-6.22 (1H, m), 4.60-4.53 (1H, m), 4.43-4.33 (1H, m), 4.20 (1H, br), 2.82-2.72 (1H, m), 2.69-2.58 (1H, m), 2.46-2.36 (1H, m), 2.18-2.08 (1H, m), 1.29 (3H, t, J = 7.5 Hz). MS (NH_3-CI): m/e calc'd for $C_{22}H_{19}Cl_2N_4O$: 425.0936, found 425.0926; 429 (12), 428 (17), 427 (67), 426 (30), 425 (100).

Example 2020 spectral data: TLC R_f 0.43 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.98 (1H, s), 7.81 (2H, d, J = 8.4 Hz), 7.67 (1H,

dd, J = 8.0, 0.7 Hz), 4.26 (1H, m), 3.00 (2H, q, J = 7.6 Hz), 2.75-2.66 (2H, m), 2.06-1.90 (4H, m), 1.50-1.36 (4H, m), 1.40 (3H, t, J = 7.5 Hz). MS (NH_3-CI): m/e 412 (7), 411 (34), 410 (25), 409 (100).

Example 2053 spectral data: TLC R_f 0.36 (25:75 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.96 (1H, s), 7.73 (1H, d, J = 8.4 Hz), 7.44 (1H, d, J = 1.1 Hz), 7.28 (1H, dd, J = 8.4, 1.1 hz), 4.79 (1H, pentet, J = 8.4 Hz), 3.01 (2H, q, J = 7.7 Hz), 2.62-2.50 (2H, m), 2.23-2.07 (2H, m), 1.89-1.77 (2H, m), 1.66-1.49 (2H, m), 1.41 (3H, t, J = 7.7 Hz). MS (NH_3-CI): m/e calculated for $C_{19}H_{19}ClF_3N_4O$: 411.1205, found 411.1208; 414 (7), 413 (34), 412 (24), 411 (100).

Example 2216 spectral data: TLC R_f 0.13 (20:80 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.94 (1H, s), 7.48-7.02 (5H, m), 6.53 (1H, dd, J = 7.7, 1.5 Hz), 6.18-6.10 (1H, m), 3.16-2.20 (5H, m), 2.13 (3H, d, J = 4.8 Hz), 2.06-1.70 (3H, m), 1.23 (3H, dt, J = 7.4, 4.4 Hz). MS (NH_3-CI): m/e calc'd for $C_{24}H_{23}Cl_2N_4$: 437.1300, found 437.1299; 439 (67), 437 (100).

Example 2223 spectral data: TLC R_f 0.36 (50:50 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.91 (1H, s), 7.33 (1H, s), 6.83 (1H, s), 4.78 (1H, pentet, J = 8.5 Hz), 3.94 (3H, s), 3.90 (3H, s), 2.98 (2H, q, J = 7.6 Hz), 2.58-2.48 (2H, m), 2.42 (3H, s), 2.19-2.07 (2H, m), 1.84-1.56 (4H, m), 1.43 (3H, t, J = 7.5 Hz). MS (NH_3-CI): m/e calc'd for $C_{21}H_{27}N_4O_2$: 367.2134, found 367.2120; 369 (3), 368 (24), 367 (100).

Example 2227 spectral data: TLC R_f 0.45 (50:50 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.90 (1H, s), 7.37 (1H, s), 6.83 (1H, s), 4.85 (1H, q, J = 8.4 Hz), 3.94 (3H, s), 3.91 (3H, s), 3.19-3.11 (1H, m), 2.96 (2H, dq, J = 7.9, 1.5 Hz), 2.41 (3H, s), 2.24-2.16 (2H, m), 2.04-1.94 (2H, m), 1.71-1.62 (2H, m), 1.44 (3H, t, J = 7.4 Hz), 0.69 (3H, d, J = 6.9 Hz). MS (NH_3-CI): m/e calc'd for $C_{22}H_{29}N_4O_2$: 381.2290, found 381.2294; 383 (4), 382 (25), 381 (100).

The methods discussed below in the preparation of 3-benzyl-5-methyl-7-(2,4,6-trimethylphenyl)-imidazo[4,5-*b*]pyridine (Example 3001, Table 3) may be used to prepare all of the examples of Structure A contained in Table 3, with minor procedural modifications where necessary and use of reagents of the appropriate structure.

The methods of Schemes 13 and 14 may be used to prepare many of the examples of Structure B and Structure C contained in Table 3, with minor procedural modifications where necessary and use of reagents of the appropriate structure.

Example 3001

Preparation of 3-benzyl-5-methyl-7-(2,4,6-trimethylphenyl)imidazo[4,5-*b*]pyridine

Part A. A solution of 2,4,6-trimethylbenzeneboronic acid in benzene (0.5 M) is treated with excess *n*-butanol, and the solution is heated to reflux under a Dean-Stark still head to azeotropically remove water. Solvent is removed by evaporation, and the resulting dibutyl 2,4,6-trimethylbenzeneboronate is used directly in Part B.

Part B. The method of Snieckus et al. (Fu, J. M.; Zhao, B. P.; Sharp, M. J.; Snieckus, V. *Can. J. Chem.* **1994**, *72*, 227-236) may be employed here. Thus, a solution of 4-chloro-6-methyl-3-nitro-2-pyridone in dimethylformamide (0.1 M) is treated with the boronate from Part A (1.2 eq), tribasic potassium phosphate (2.4 eq), and [1,1'-bis(diphenylphosphino)-ferrocene]dichloropalladium (0.1 eq). The mixture is stirred at ambient temperature for 30 hrs., then poured into 4 volumes ethyl acetate. This is washed with 3 equal volumes of water, then brine. The extract is dried over Na_2SO_4, filtered and evaporated. Chromatographic separation affords pure 6-methyl-3-nitro-4-(2,4,6-trimethylphenyl)-2-pyridone.

Part C. The pyridone from Part B is suspended in 6 eq phosphorus oxychloride, and stirred with mild heating until the compound dissolves. The mixture is cooled, and poured over ice. After melting, the mixture is extracted twice with dichloromethane, and the extracts are combined, dried over Na_2SO_4, filtered and evaporated. The product, 2-chloro-

6-methyl-3-nitro-4-(2,4,6-trimethylphenyl)pyridine, is purified by either chromatography or recrystallization.

Part D. The chloride from Part C is dissolved in ethanol, and treated with benzylamine (1.2 eq.). The mixture is heated to reflux until the starting material is consumed as determined by thin-layer chromatography. The mixture is evaporated, and the residual material is partitioned between water and ethyl acetate. The organic layer is separated, washed with brine, dried over Na_2SO_4, filtered and evaporated. The product, 2-benzylamino-6-methyl-3-nitro-4-(2,4,6-trimethylphenyl)pyridine, is purified by either chromatography or recrystallization.

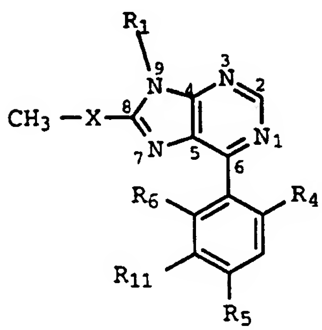
Part E. The nitro compound from Part D is dissolved in 1:1 aqueous dioxane, and treated with conc. aq. ammonium hydroxide solution. To this is added solid sodium dithionite in several portions over 2 h. The mixture is allowed to stir for an additional 4 h, then partitioned between water and ethyl acetate. The organic layer is separated, washed with brine, dried over Na_2SO_4, filtered and evaporated. The product, 3-amino-2-benzylamino-6-methyl-4-(2,4,6-trimethylphenyl)pyridine, is purified by either chromatography or recrystallization.

Part F. A suspension of the diamine from Part E above in triethyl orthopropionate is treated with conc. HCl, and heated to reflux for 1 h, then cooled and the excess orthoester removed by vacuum distillation. The pot residue contains sufficiently pure N-[2-benzylamino-4-(2,4,6-trimethylphenyl)-6-methylpyridin-3-yl]propionamide O-ethyl imidate.

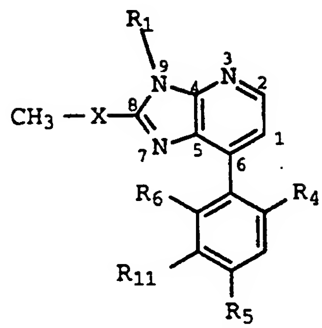
Part G. A solution of the compound from Part F in phenyl ether is treated with a catalytic amount of p-toluenesulfonic acid and heated to 170 °C for 6 h, then cooled. The residual liquid is separated by column

chromatography (hexane, then ethyl acetate) to afford the title product.

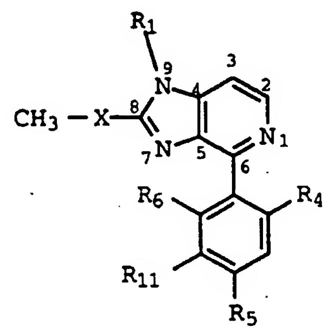
TABLE 3



(A)



(B)



(C)

Ex. No.	X	R^4	R^5	R^{11}	R^6	R^1	mp, °C [a]
3001	CH_2	Cl	Cl	H	H	$C(=O)OC_2H_5$	-
3002	CH_2	Cl	Cl	H	H	$C(=O)OC_3H_7$	90-91
3003	CH_2	Cl	Cl	H	H	$C(=O)OC_4H_9$	57-59
3004	CH_2	Cl	Cl	H	H	$C(=O)OCH(CH_3)_2$	80-81
3005	CH_2	Cl	Cl	H	H	$C(=O)OCH_2CH(CH_3)_2$	60-62
3006	CH_2	Cl	Cl	H	H	$C(=O)N(CH_3)_2$	-
3007	CH_2	Cl	Cl	H	H	$C(=O)N(C_2H_5)_2$	120-123
3008	CH_2	Cl	Cl	H	H	$C(=O)N[CH(CH_3)_2]_2$	147-149
3009	CH_2	Cl	Cl	H	H	C(=O)(1-morpholinyl)	158-159
3010	CH_2	Cl	Cl	H	H	$SO_2C_6H_5$	132-133
3011	CH_2	Cl	Cl	H	H	$SO_2(4\text{-}CH_3\text{-}C_6H_4)$	154-155
3012	CH_2	Cl	Cl	H	H	$SO_2(4\text{-}OCH_3\text{-}C_6H_4)$	156-158
3013	CH_2	Cl	Cl	H	H	SO_2-(2-thienyl)	176-178
3014	CH_2	Cl	Cl	H	H	$SO_2CH_2C_6H_5$	127-129
3015	CH_2	Cl	Cl	H	H	$SO_2C_3H_7$	100-101
3016	CH_2	Cl	Cl	H	H	$SO_2C_4H_9$	79-80
3017	CH_2	Cl	Cl	H	H	$C(=O)\text{-}(2\text{-}Cl\text{-}C_6H_4)$	110-113
3018	CH_2	Cl	CF_3	H	H	$C(=O)OC_2H_5$	-
3019	CH_2	Cl	CF_3	H	H	$C(=O)OC_3H_7$	-

3020	CH_2	Cl	CF_3	H	H	$C(=O)OC_4H_9$	-
3021	CH_2	Cl	CF_3	H	H	$C(=O)OCH(CH_3)_2$	-
3022	CH_2	Cl	CF_3	H	H	$C(=O)OCH_2CH(CH_3)_2$	-
3023	CH_2	Cl	CF_3	H	H	$C(=O)N(CH_3)_2$	-
3024	CH_2	Cl	CF_3	H	H	$C(=O)N(C_2H_5)_2$	-
3025	CH_2	Cl	CF_3	H	H	$C(=O)N[CH(CH_3)_2]_2$	-
3026	CH_2	Cl	CF_3	H	H	C(=O)(1-morpholinyl)	-
3027	CH_2	Cl	CF_3	H	H	$SO_2C_6H_5$	-
3028	CH_2	Cl	CF_3	H	H	$SO_2(4\text{-}CH_3\text{-}C_6H_4)$	-
3029	CH_2	Cl	CF_3	H	H	$SO_2(4\text{-}OCH_3\text{-}C_6H_4)$	-
3030	CH_2	Cl	CF_3	H	H	SO_2-(2-thienyl)	-
3031	CH_2	Cl	CF_3	H	H	$SO_2CH_2C_6H_5$	-
3032	CH_2	Cl	CF_3	H	H	$SO_2C_3H_7$	-
3033	CH_2	Cl	CF_3	H	H	$SO_2C_4H_9$	-
3034	CH_2	Cl	CF_3	H	H	$C(=O)\text{-}(2\text{-}Cl\text{-}C_6H_4)$	-
3035	CH_2	Cl	OCH_3	H	H	$C(=O)OC_2H_5$	-
3036	CH_2	Cl	OCH_3	H	H	$C(=O)OC_3H_7$	-
3037	CH_2	Cl	OCH_3	H	H	$C(=O)OC_4H_9$	-
3038	CH_2	Cl	OCH_3	H	H	$C(=O)OCH(CH_3)_2$	-
3039	CH_2	Cl	OCH_3	H	H	$C(=O)OCH_2CH(CH_3)_2$	-
3040	CH_2	Cl	OCH_3	H	H	$C(=O)N(CH_3)_2$	-
3041	CH_2	Cl	OCH_3	H	H	$C(=O)N(C_2H_5)_2$	-
3042	CH_2	Cl	OCH_3	H	H	$C(=O)N[CH(CH_3)_2]_2$	-
3043	CH_2	Cl	OCH_3	H	H	C(=O)(1-morpholinyl)	-
3044	CH_2	Cl	OCH_3	H	H	$SO_2C_6H_5$	-
3045	CH_2	Cl	OCH_3	H	H	$SO_2(4\text{-}CH_3\text{-}C_6H_4)$	-
3046	CH_2	Cl	OCH_3	H	H	$SO_2(4\text{-}OCH_3\text{-}C_6H_4)$	-
3047	CH_2	Cl	OCH_3	H	H	SO_2-(2-thienyl)	-
3048	CH_2	Cl	OCH_3	H	H	$SO_2CH_2C_6H_5$	-
3049	CH_2	Cl	OCH_3	H	H	$SO_2C_3H_7$	-
3050	CH_2	Cl	OCH_3	H	H	$SO_2C_4H_9$	-
3051	CH_2	Cl	OCH_3	H	H	$C(=O)\text{-}(2\text{-}Cl\text{-}C_6H_4)$	-
3052	CH_2	Cl	OCF_3	H	H	$C(=O)OC_2H_5$	-
3053	CH_2	Cl	OCF_3	H	H	$C(=O)OC_3H_7$	-
3054	CH_2	Cl	OCF_3	H	H	$C(=O)OC_4H_9$	-
3055	CH_2	Cl	OCF_3	H	H	$C(=O)OCH(CH_3)_2$	-
3056	CH_2	Cl	OCF_3	H	H	$C(=O)OCH_2CH(CH_3)_2$	-
3057	CH_2	Cl	OCF_3	H	H	$C(=O)N(CH_3)_2$	-

3058	CH_2	Cl	OCF_3	H	H	$C(=O)N(C_2H_5)_2$	-
3059	CH_2	Cl	OCF_3	H	H	$C(=O)N[CH(CH_3)_2]_2$	-
3060	CH_2	Cl	OCF_3	H	H	C(=O)(1-morpholinyl)	-
3061	CH_2	Cl	OCF_3	H	H	$SO_2C_6H_5$	-
3062	CH_2	Cl	OCF_3	H	H	$SO_2(4-CH_3-C_6H_4)$	-
3063	CH_2	Cl	OCF_3	H	H	$SO_2(4-OCH_3-C_6H_4)$	-
3064	CH_2	Cl	OCF_3	H	H	SO_2-(2-thienyl)	-
3065	CH_2	Cl	OCF_3	H	H	$SO_2CH_2C_6H_5$	-
3066	CH_2	Cl	OCF_3	H	H	$SO_2C_3H_7$	-
3067	CH_2	Cl	OCF_3	H	H	$SO_2C_4H_9$	-
3068	CH_2	Cl	OCF_3	H	H	$C(=O)-(2-Cl-C_6H_4)$	-
3069	CH_2	Cl	CH_3	H	H	$C(=O)OC_2H_5$	-
3070	CH_2	Cl	CH_3	H	H	$C(=O)OC_3H_7$	-
3071	CH_2	Cl	CH_3	H	H	$C(=O)OC_4H_9$	-
3072	CH_2	Cl	CH_3	H	H	$C(=O)OCH(CH_3)_2$	-
3073	CH_2	Cl	CH_3	H	H	$C(=O)OCH_2CH(CH_3)_2$	-
3074	CH_2	Cl	CH_3	H	H	$C(=O)N(CH_3)_2$	-
3075	CH_2	Cl	CH_3	H	H	$C(=O)N(C_2H_5)_2$	-
3076	CH_2	Cl	CH_3	H	H	$C(=O)N[CH(CH_3)_2]_2$	-
3077	CH_2	Cl	CH_3	H	H	C(=O)(1-morpholinyl)	-
3078	CH_2	Cl	CH_3	H	H	$SO_2C_6H_5$	-
3079	CH_2	Cl	CH_3	H	H	$SO_2(4-CH_3-C_6H_4)$	-
3080	CH_2	Cl	CH_3	H	H	$SO_2(4-OCH_3-C_6H_4)$	-
3081	CH_2	Cl	CH_3	H	H	SO_2-(2-thienyl)	-
3082	CH_2	Cl	CH_3	H	H	$SO_2CH_2C_6H_5$	-
3083	CH_2	Cl	CH_3	H	H	$SO_2C_3H_7$	-
3084	CH_2	Cl	CH_3	H	H	$SO_2C_4H_9$	-
3085	CH_2	Cl	CH_3	H	H	$C(=O)-(2-Cl-C_6H_4)$	-
3086	CH_2	CF_3	Cl	H	H	$C(=O)OC_2H_5$	-
3087	CH_2	CF_3	Cl	H	H	$C(=O)OC_3H_7$	-
3088	CH_2	CF_3	Cl	H	H	$C(=O)OC_4H_9$	-
3089	CH_2	CF_3	Cl	H	H	$C(=O)OCH(CH_3)_2$	-
3090	CH_2	CF_3	Cl	H	H	$C(=O)OCH_2CH(CH_3)_2$	-
3091	CH_2	CF_3	Cl	H	H	$C(=O)N(CH_3)_2$	-
3092	CH_2	CF_3	Cl	H	H	$C(=O)N(C_2H_5)_2$	-
3093	CH_2	CF_3	Cl	H	H	$C(=O)N[CH(CH_3)_2]_2$	-
3094	CH_2	CF_3	Cl	H	H	C(=O)(1-morpholinyl)	-
3095	CH_2	CF_3	Cl	H	H	$SO_2C_6H_5$	-

3096	CH_2	CF_3	Cl	H	H	$SO_2(4\text{-}CH_3\text{-}C_6H_4)$	-
3097	CH_2	CF_3	Cl	H	H	$SO_2(4\text{-}OCH_3\text{-}C_6H_4)$	-
3098	CH_2	CF_3	Cl	H	H	SO_2-(2-thienyl)	-
3099	CH_2	CF_3	Cl	H	H	$SO_2CH_2C_6H_5$	-
3100	CH_2	CF_3	Cl	H	H	$SO_2C_3H_7$	-
3101	CH_2	CF_3	Cl	H	H	$SO_2C_4H_9$	-
3102	CH_2	CF_3	Cl	H	H	$C(=O)\text{-}(2\text{-}Cl\text{-}C_6H_4)$	-
3103	CH_2	CF_3	OCH_3	H	H	$C(=O)OC_2H_5$	-
3104	CH_2	CF_3	OCH_3	H	H	$C(=O)OC_3H_7$	-
3105	CH_2	CF_3	OCH_3	H	H	$C(=O)OC_4H_9$	-
3106	CH_2	CF_3	OCH_3	H	H	$C(=O)OCH(CH_3)_2$	-
3107	CH_2	CF_3	OCH_3	H	H	$C(=O)OCH_2CH(CH_3)_2$	-
3108	CH_2	CF_3	OCH_3	H	H	$C(=O)N(CH_3)_2$	-
3109	CH_2	CF_3	OCH_3	H	H	$C(=O)N(C_2H_5)_2$	-
3110	CH_2	CF_3	OCH_3	H	H	$C(=O)N[CH(CH_3)_2]_2$	-
3111	CH_2	CF_3	OCH_3	H	H	C(=O)(1-morpholinyl)	-
3112	CH_2	CF_3	OCH_3	H	H	$SO_2C_6H_5$	-
3113	CH_2	CF_3	OCH_3	H	H	$SO_2(4\text{-}CH_3\text{-}C_6H_4)$	-
3114	CH_2	CF_3	OCH_3	H	H	$SO_2(4\text{-}OCH_3\text{-}C_6H_4)$	-
3115	CH_2	CF_3	OCH_3	H	H	SO_2-(2-thienyl)	-
3116	CH_2	CF_3	OCH_3	H	H	$SO_2CH_2C_6H_5$	-
3117	CH_2	CF_3	OCH_3	H	H	$SO_2C_3H_7$	-
3118	CH_2	CF_3	OCH_3	H	H	$SO_2C_4H_9$	-
3119	CH_2	CF_3	OCH_3	H	H	$C(=O)\text{-}(2\text{-}Cl\text{-}C_6H_4)$	-
3120	CH_2	CF_3	F	H	H	$C(=O)OC_2H_5$	-
3121	CH_2	CF_3	F	H	H	$C(=O)OC_3H_7$	-
3122	CH_2	CF_3	F	H	H	$C(=O)OC_4H_9$	-
3123	CH_2	CF_3	F	H	H	$C(=O)OCH(CH_3)_2$	-
3124	CH_2	CF_3	F	H	H	$C(=O)OCH_2CH(CH_3)_2$	-
3125	CH_2	CF_3	F	H	H	$C(=O)N(CH_3)_2$	-
3126	CH_2	CF_3	F	H	H	$C(=O)N(C_2H_5)_2$	-
3127	CH_2	CF_3	F	H	H	$C(=O)N[CH(CH_3)_2]_2$	-
3128	CH_2	CF_3	F	H	H	C(=O)(1-morpholinyl)	-
3129	CH_2	CF_3	F	H	H	$SO_2C_6H_5$	-
3130	CH_2	CF_3	F	H	H	$SO_2(4\text{-}CH_3\text{-}C_6H_4)$	-
3131	CH_2	CF_3	F	H	H	$SO_2(4\text{-}OCH_3\text{-}C_6H_4)$	-
3132	CH_2	CF_3	F	H	H	SO_2-(2-thienyl)	-
3133	CH_2	CF_3	F	H	H	$SO_2CH_2C_6H_5$	-

3134	CH_2	CF_3	F	H	H	$SO_2C_3H_7$	-
3135	CH_2	CF_3	F	H	H	$SO_2C_4H_9$	-
3136	CH_2	CF_3	F	H	H	C(=O)-(2-Cl-C_6H_4)	-
3137	CH_2	CH_3	OCH_3	CH_3	H	C(=O)OC_2H_5	-
3138	CH_2	CH_3	OCH_3	CH_3	H	C(=O)OC_3H_7	-
3139	CH_2	CH_3	OCH_3	CH_3	H	C(=O)OC_4H_9	-
3140	CH_2	CH_3	OCH_3	CH_3	H	C(=O)OCH$(CH_3)_2$	-
3141	CH_2	CH_3	OCH_3	CH_3	H	C(=O)$OCH_2CH(CH_3)_2$	-
3142	CH_2	CH_3	OCH_3	CH_3	H	C(=O)N$(CH_3)_2$	-
3143	CH_2	CH_3	OCH_3	CH_3	H	C(=O)N$(C_2H_5)_2$	-
3144	CH_2	CH_3	OCH_3	CH_3	H	C(=O)N[CH$(CH_3)_2]_2$	-
3145	CH_2	CH_3	OCH_3	CH_3	H	C(=O)(1-morpholinyl)	-
3146	CH_2	CH_3	OCH_3	CH_3	H	$SO_2C_6H_5$	-
3147	CH_2	CH_3	OCH_3	CH_3	H	SO_2(4-CH_3-C_6H_4)	-
3148	CH_2	CH_3	OCH_3	CH_3	H	SO_2(4-OCH_3-C_6H_4)	-
3149	CH_2	CH_3	OCH_3	CH_3	H	SO_2-(2-thienyl)	-
3150	CH_2	CH_3	OCH_3	CH_3	H	$SO_2CH_2C_6H_5$	-
3151	CH_2	CH_3	OCH_3	CH_3	H	$SO_2C_3H_7$	-
3152	CH_2	CH_3	OCH_3	CH_3	H	$SO_2C_4H_9$	-
3153	CH_2	CH_3	OCH_3	CH_3	H	C(=O)-(2-Cl-C_6H_4)	-
3154	CH_2	CH_3	OCH_3	Cl	H	C(=O)OC_2H_5	-
3155	CH_2	CH_3	OCH_3	Cl	H	C(=O)OC_3H_7	-
3156	CH_2	CH_3	OCH_3	Cl	H	C(=O)OC_4H_9	-
3157	CH_2	CH_3	OCH_3	Cl	H	C(=O)OCH$(CH_3)_2$	-
3158	CH_2	CH_3	OCH_3	Cl	H	C(=O)$OCH_2CH(CH_3)_2$	-
3159	CH_2	CH_3	OCH_3	Cl	H	C(=O)N$(CH_3)_2$	-
3160	CH_2	CH_3	OCH_3	Cl	H	C(=O)N$(C_2H_5)_2$	-
3161	CH_2	CH_3	OCH_3	Cl	H	C(=O)N[CH$(CH_3)_2]_2$	-
3162	CH_2	CH_3	OCH_3	Cl	H	C(=O)(1-morpholinyl)	-
3163	CH_2	CH_3	OCH_3	Cl	H	$SO_2C_6H_5$	-
3164	CH_2	CH_3	OCH_3	Cl	H	SO_2(4-CH_3-C_6H_4)	-
3165	CH_2	CH_3	OCH_3	Cl	H	SO_2(4-OCH_3-C_6H_4)	-
3166	CH_2	CH_3	OCH_3	Cl	H	SO_2-(2-thienyl)	-
3167	CH_2	CH_3	OCH_3	Cl	H	$SO_2CH_2C_6H_5$	-
3168	CH_2	CH_3	OCH_3	Cl	H	$SO_2C_3H_7$	-
3169	CH_2	CH_3	OCH_3	Cl	H	$SO_2C_4H_9$	-
3170	CH_2	CH_3	OCH_3	Cl	H	C(=O)-(2-Cl-C_6H_4)	-
3171	CH_2	CH_3	OCH_3	F	H	C(=O)OC_2H_5	-

3172	CH_2	CH_3	OCH_3	F	H	$C(=O)OC_3H_7$	-
3173	CH_2	CH_3	OCH_3	F	H	$C(=O)OC_4H_9$	-
3174	CH_2	CH_3	OCH_3	F	H	$C(=O)OCH(CH_3)_2$	-
3175	CH_2	CH_3	OCH_3	F	H	$C(=O)OCH_2CH(CH_3)_2$	-
3176	CH_2	CH_3	OCH_3	F	H	$C(=O)N(CH_3)_2$	-
3177	CH_2	CH_3	OCH_3	F	H	$C(=O)N(C_2H_5)_2$	-
3178	CH_2	CH_3	OCH_3	F	H	$C(=O)N[CH(CH_3)_2]_2$	-
3179	CH_2	CH_3	OCH_3	F	H	C(=O)(1-morpholinyl)	-
3180	CH_2	CH_3	OCH_3	F	H	$SO_2C_6H_5$	-
3181	CH_2	CH_3	OCH_3	F	H	$SO_2(4\text{-}CH_3\text{-}C_6H_4)$	-
3182	CH_2	CH_3	OCH_3	F	H	$SO_2(4\text{-}OCH_3\text{-}C_6H_4)$	-
3183	CH_2	CH_3	OCH_3	F	H	SO_2-(2-thienyl)	-
3184	CH_2	CH_3	OCH_3	F	H	$SO_2CH_2C_6H_5$	-
3185	CH_2	CH_3	OCH_3	F	H	$SO_2C_3H_7$	-
3186	CH_2	CH_3	OCH_3	F	H	$SO_2C_4H_9$	-
3187	CH_2	CH_3	OCH_3	F	H	$C(=O)\text{-}(2\text{-}Cl\text{-}C_6H_4)$	-
3188	CH_2	CH_3	CH_3	H	CH_3	$C(=O)OC_2H_5$	-
3189	CH_2	CH_3	CH_3	H	CH_3	$C(=O)OC_3H_7$	-
3190	CH_2	CH_3	CH_3	H	CH_3	$C(=O)OC_4H_9$	-
3191	CH_2	CH_3	CH_3	H	CH_3	$C(=O)OCH(CH_3)_2$	-
3192	CH_2	CH_3	CH_3	H	CH_3	$C(=O)OCH_2CH(CH_3)_2$	-
3193	CH_2	CH_3	CH_3	H	CH_3	$C(=O)N(CH_3)_2$	-
3194	CH_2	CH_3	CH_3	H	CH_3	$C(=O)N(C_2H_5)_2$	-
3195	CH_2	CH_3	CH_3	H	CH_3	$C(=O)N[CH(CH_3)_2]_2$	-
3196	CH_2	CH_3	CH_3	H	CH_3	C(=O)(1-morpholinyl)	-
3197	CH_2	CH_3	CH_3	H	CH_3	$SO_2C_6H_5$	-
3198	CH_2	CH_3	CH_3	H	CH_3	$SO_2(4\text{-}CH_3\text{-}C_6H_4)$	-
3199	CH_2	CH_3	CH_3	H	CH_3	$SO_2(4\text{-}OCH_3\text{-}C_6H_4)$	-
3200	CH_2	CH_3	CH_3	H	CH_3	SO_2-(2-thienyl)	-
3201	CH_2	CH_3	CH_3	H	CH_3	$SO_2CH_2C_6H_5$	-
3202	CH_2	CH_3	CH_3	H	CH_3	$SO_2C_3H_7$	-
3203	CH_2	CH_3	CH_3	H	CH_3	$SO_2C_4H_9$	-
3204	CH_2	CH_3	CH_3	H	CH_3	$C(=O)\text{-}(2\text{-}Cl\text{-}C_6H_4)$	-
3205	CH_2	Cl	Cl	H	CH_3	$C(=O)OC_2H_5$	-
3206	CH_2	Cl	Cl	H	CH_3	$C(=O)OC_3H_7$	-
3207	CH_2	Cl	Cl	H	CH_3	$C(=O)OC_4H_9$	-
3208	CH_2	Cl	Cl	H	CH_3	$C(=O)OCH(CH_3)_2$	-
3209	CH_2	Cl	Cl	H	CH_3	$C(=O)OCH_2CH(CH_3)_2$	-

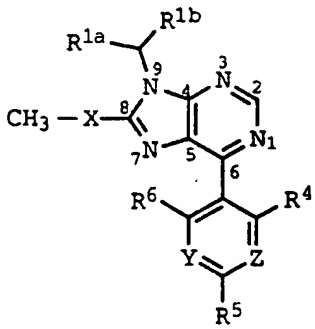
3210	CH_2	Cl	Cl	H	CH_3	$C(=O)N(CH_3)_2$	-
3211	CH_2	Cl	Cl	H	CH_3	$C(=O)N(C_2H_5)_2$	-
3212	CH_2	Cl	Cl	H	CH_3	$C(=O)N[CH(CH_3)_2]_2$	-
3213	CH_2	Cl	Cl	H	CH_3	C(=O)(1-morpholinyl)	-
3214	CH_2	Cl	Cl	H	CH_3	$SO_2C_6H_5$	-
3215	CH_2	Cl	Cl	H	CH_3	$SO_2(4\text{-}CH_3\text{-}C_6H_4)$	-
3216	CH_2	Cl	Cl	H	CH_3	$SO_2(4\text{-}OCH_3\text{-}C_6H_4)$	-
3217	CH_2	Cl	Cl	H	CH_3	SO_2-(2-thienyl)	-
3218	CH_2	Cl	Cl	H	CH_3	$SO_2CH_2C_6H_5$	-
3219	CH_2	Cl	Cl	H	CH_3	$SO_2C_3H_7$	-
3220	CH_2	Cl	Cl	H	CH_3	$SO_2C_4H_9$	-
3221	CH_2	Cl	Cl	H	CH_3	$C(=O)\text{-}(2\text{-}Cl\text{-}C_6H_4)$	-
3222	CH_2	CH_3	OCH_3	OCH_3	H	$C(=O)OC_2H_5$	-
3223	CH_2	CH_3	OCH_3	OCH_3	H	$C(=O)OC_3H_7$	-
3224	CH_2	CH_3	OCH_3	OCH_3	H	$C(=O)OC_4H_9$	-
3225	CH_2	CH_3	OCH_3	OCH_3	H	$C(=O)OCH(CH_3)_2$	-
3226	CH_2	CH_3	OCH_3	OCH_3	H	$C(=O)OCH_2CH(CH_3)_2$	-
3227	CH_2	CH_3	OCH_3	OCH_3	H	$C(=O)N(CH_3)_2$	-
3228	CH_2	CH_3	OCH_3	OCH_3	H	$C(=O)N(C_2H_5)_2$	-
3229	CH_2	CH_3	OCH_3	OCH_3	H	$C(=O)N[CH(CH_3)_2]_2$	-
3230	CH_2	CH_3	OCH_3	OCH_3	H	C(=O)(1-morpholinyl)	-
3231	CH_2	CH_3	OCH_3	OCH_3	H	$SO_2C_6H_5$	-
3232	CH_2	CH_3	OCH_3	OCH_3	H	$SO_2(4\text{-}CH_3\text{-}C_6H_4)$	-
3233	CH_2	CH_3	OCH_3	OCH_3	H	$SO_2(4\text{-}OCH_3\text{-}C_6H_4)$	-
3234	CH_2	CH_3	OCH_3	OCH_3	H	SO_2-(2-thienyl)	-
3235	CH_2	CH_3	OCH_3	OCH_3	H	$SO_2CH_2C_6H_5$	-
3236	CH_2	CH_3	OCH_3	OCH_3	H	$SO_2C_3H_7$	-
3237	CH_2	CH_3	OCH_3	OCH_3	H	$SO_2C_4H_9$	-
3238	CH_2	CH_3	OCH_3	OCH_3	H	$C(=O)\text{-}(2\text{-}Cl\text{-}C_6H_4)$	-
3239	O	Cl	Cl	H	H	$SO_2C_3H_7$	-
3240	O	Cl	CF_3	H	H	$SO_2C_3H_7$	-
3241	O	Cl	OCH_3	H	H	$SO_2C_3H_7$	-
3242	O	Cl	OCF_3	H	H	$SO_2C_3H_7$	-
3243	O	Cl	CH_3	H	H	$SO_2C_3H_7$	-
3244	O	CF_3	Cl	H	H	$SO_2C_3H_7$	-
3245	O	CF_3	OCH_3	H	H	$SO_2C_3H_7$	-
3246	O	CH_3	OCH_3	CH_3	H	$SO_2C_3H_7$	-
3247	O	CH_3	OCH_3	Cl	H	$SO_2C_3H_7$	-

3248	O	CH_3	OCH_3	F	H	$SO_2C_3H_7$	-
3249	O	CH_3	CH_3	H	CH_3	$SO_2C_3H_7$	-
3250	O	Cl	Cl	H	CH_3	$SO_2C_3H_7$	-
3251	CH_2	Cl	Cl	H	H	$C(=O)-(3-Cl-C_6H_4)$	115-118

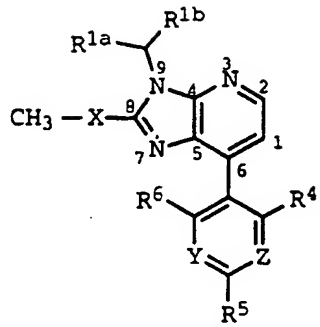
The methods used in the preparation of the compounds of Structure A of Table 1 may be used for the compounds of Structure A of Table 4. For example, replacing variously-substituted pyridine- and pyrimidineboronic acids for benzeneboronic acids in the palladium-catalyzed aryl cross-coupling method (see Examples 35 or 831) will afford the desired 6-pyridyl- or 6-pyrimidylpurine compounds.

The methods of Schemes 13 and 14 may be used to prepare many of the examples of Structure B and Structure C contained in Table 4, with minor procedural modifications where necessary and use of reagents of the appropriate structure.

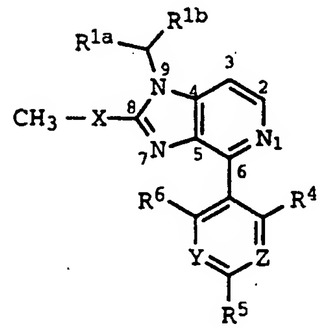
TABLE 4



(A)



(B)



(C)

Ex. No.	X	R^4	Z	R^5	Y	R^6	R^{1a}	R^{1b}	m.p., °C [a]
4001	CH_2	CH_3	CH	$N(CH_3)_2$	N	H	c-C_3H_5	c-C_3H_5	-
4002	CH_2	CH_3	CH	$N(CH_3)_2$	N	H	CH_3	c-C_3H_5	-
4003	CH_2	CH_3	CH	$N(CH_3)_2$	N	H	C_2H_5	c-C_3H_5	-
4004	CH_2	CH_3	CH	$N(CH_3)_2$	N	H	C_3H_7	c-C_3H_5	-
4005	CH_2	CH_3	CH	$N(CH_3)_2$	N	H	C_4H_9	c-C_3H_5	-
4006	CH_2	CH_3	CH	$N(CH_3)_2$	N	H	CH_3	C_3H_7	-
4007	CH_2	CH_3	CH	$N(CH_3)_2$	N	H	C_2H_5	C_3H_7	-
4008	CH_2	CH_3	CH	$N(CH_3)_2$	N	H	C_3H_7	C_3H_7	-
4009	CH_2	CH_3	CH	$N(CH_3)_2$	N	H	C_2H_5	C_4H_9	-
4010	CH_2	CH_3	CH	$N(CH_3)_2$	N	H	H	4-CH_3O-C_6H_4	-
4011	O	CH_3	CH	$N(CH_3)_2$	N	H	c-C_3H_5	c-C_3H_5	-
4012	O	CH_3	CH	$N(CH_3)_2$	N	H	CH_3	c-C_3H_5	-
4013	O	CH_3	CH	$N(CH_3)_2$	N	H	C_2H_5	c-C_3H_5	-
4014	O	CH_3	CH	$N(CH_3)_2$	N	H	C_3H_7	c-C_3H_5	-
4015	O	CH_3	CH	$N(CH_3)_2$	N	H	C_4H_9	c-C_3H_5	-
4016	O	CH_3	CH	$N(CH_3)_2$	N	H	CH_3	C_3H_7	-
4017	O	CH_3	CH	$N(CH_3)_2$	N	H	C_2H_5	C_3H_7	-
4018	O	CH_3	CH	$N(CH_3)_2$	N	H	C_3H_7	C_3H_7	-
4019	O	CH_3	CH	$N(CH_3)_2$	N	H	C_2H_5	C_4H_9	-
4020	O	CH_3	CH	$N(CH_3)_2$	N	H	H	4-CH_3O-C_6H_4	-
4021	CH_2	CH_3	CH	CH_3	N	CH_3	c-C_3H_5	c-C_3H_5	-
4022	CH_2	CH_3	CH	CH_3	N	CH_3	CH_3	c-C_3H_5	-

4023	CH_2	CH_3	CH	CH_3	N	CH_3	C_2H_5	c-C_3H_5	-
4024	CH_2	CH_3	CH	CH_3	N	CH_3	C_3H_7	c-C_3H_5	-
4025	CH_2	CH_3	CH	CH_3	N	CH_3	C_4H_9	c-C_3H_5	-
4026	CH_2	CH_3	CH	CH_3	N	CH_3	CH_3	C_3H_7	-
4027	CH_2	CH_3	CH	CH_3	N	CH_3	C_2H_5	C_3H_7	-
4028	CH_2	CH_3	CH	CH_3	N	CH_3	C_3H_7	C_3H_7	-
4029	CH_2	CH_3	CH	CH_3	N	CH_3	C_2H_5	C_4H_9	-
4030	CH_2	CH_3	CH	CH_3	N	CH_3	H	4-CH_3O-C_6H_4	-
4031	O	CH_3	CH	CH_3	N	CH_3	c-C_3H_5	c-C_3H_5	-
4032	O	CH_3	CH	CH_3	N	CH_3	CH_3	c-C_3H_5	-
4033	O	CH_3	CH	CH_3	N	CH_3	C_2H_5	c-C_3H_5	-
4034	O	CH_3	CH	CH_3	N	CH_3	C_3H_7	c-C_3H_5	-
4035	O	CH_3	CH	CH_3	N	CH_3	C_4H_9	c-C_3H_5	-
4036	O	CH_3	CH	CH_3	N	CH_3	CH_3	C_3H_7	-
4037	O	CH_3	CH	CH_3	N	CH_3	C_2H_5	C_3H_7	-
4038	O	CH_3	CH	CH_3	N	CH_3	C_3H_7	C_3H_7	-
4039	O	CH_3	CH	CH_3	N	CH_3	C_2H_5	C_4H_9	-
4040	O	CH_3	CH	CH_3	N	CH_3	H	4-CH_3O-C_6H_4	-
4041	CH_2	CH_3	CH	SCH_3	N	H	c-C_3H_5	c-C_3H_5	-
4042	CH_2	CH_3	CH	SCH_3	N	H	CH_3	c-C_3H_5	-
4043	CH_2	CH_3	CH	SCH_3	N	H	C_2H_5	c-C_3H_5	-
4044	CH_2	CH_3	CH	SCH_3	N	H	C_3H_7	c-C_3H_5	-
4045	CH_2	CH_3	CH	SCH_3	N	H	C_4H_9	c-C_3H_5	-
4046	CH_2	CH_3	CH	SCH_3	N	H	CH_3	C_3H_7	-
4047	CH_2	CH_3	CH	SCH_3	N	H	C_2H_5	C_3H_7	-
4048	CH_2	CH_3	CH	SCH_3	N	H	C_3H_7	C_3H_7	-
4049	CH_2	CH_3	CH	SCH_3	N	H	C_2H_5	C_4H_9	-
4050	CH_2	CH_3	CH	SCH_3	N	H	H	4-CH_3O-C_6H_4	-
4051	O	CH_3	CH	SCH_3	N	H	c-C_3H_5	c-C_3H_5	-
4052	O	CH_3	CH	SCH_3	N	H	CH_3	c-C_3H_5	-
4053	O	CH_3	CH	SCH_3	N	H	C_2H_5	c-C_3H_5	-
4054	O	CH_3	CH	SCH_3	N	H	C_3H_7	c-C_3H_5	-
4055	O	CH_3	CH	SCH_3	N	H	C_4H_9	c-C_3H_5	-
4056	O	CH_3	CH	SCH_3	N	H	CH_3	C_3H_7	-
4057	O	CH_3	CH	SCH_3	N	H	C_2H_5	C_3H_7	-
4058	O	CH_3	CH	SCH_3	N	H	C_3H_7	C_3H_7	-
4059	O	CH_3	CH	SCH_3	N	H	C_2H_5	C_4H_9	-
4060	O	CH_3	CH	SCH_3	N	H	H	4-CH_3O-C_6H_4	-

4061	CH_2	SCH_3	N	CH_3	N	SCH_3	c-C_3H_5	c-C_3H_5	-
4062	CH_2	SCH_3	N	CH_3	N	SCH_3	CH_3	c-C_3H_5	-
4063	CH_2	SCH_3	N	CH_3	N	SCH_3	C_2H_5	c-C_3H_5	-
4064	CH_2	SCH_3	N	CH_3	N	SCH_3	C_3H_7	c-C_3H_5	-
4065	CH_2	SCH_3	N	CH_3	N	SCH_3	C_4H_9	c-C_3H_5	-
4066	CH_2	SCH_3	N	CH_3	N	SCH_3	CH_3	C_3H_7	-
4067	CH_2	SCH_3	N	CH_3	N	SCH_3	C_2H_5	C_3H_7	-
4068	CH_2	SCH_3	N	CH_3	N	SCH_3	C_3H_7	C_3H_7	-
4069	CH_2	SCH_3	N	CH_3	N	SCH_3	C_2H_5	C_4H_9	-
4070	CH_2	SCH_3	N	CH_3	N	SCH_3	H	4-CH_3O-C_6H_4	-
4071	O	SCH_3	N	CH_3	N	SCH_3	c-C_3H_5	c-C_3H_5	-
4072	O	SCH_3	N	CH_3	N	SCH_3	CH_3	c-C_3H_5	-
4073	O	SCH_3	N	CH_3	N	SCH_3	C_2H_5	c-C_3H_5	-
4074	O	SCH_3	N	CH_3	N	SCH_3	C_3H_7	c-C_3H_5	-
4075	O	SCH_3	N	CH_3	N	SCH_3	C_4H_9	c-C_3H_5	-
4076	O	SCH_3	N	CH_3	N	SCH_3	CH_3	C_3H_7	-
4077	O	SCH_3	N	CH_3	N	SCH_3	C_2H_5	C_3H_7	-
4078	O	SCH_3	N	CH_3	N	SCH_3	C_3H_7	C_3H_7	-
4079	O	SCH_3	N	CH_3	N	SCH_3	C_2H_5	C_4H_9	-
4080	O	SCH_3	N	CH_3	N	SCH_3	H	4-CH_3O-C_6H_4	-
4081	CH_2	CH_3	N	CH_3	N	CH_3	c-C_3H_5	c-C_3H_5	-
4082	CH_2	CH_3	N	CH_3	N	CH_3	CH_3	c-C_3H_5	-
4083	CH_2	CH_3	N	CH_3	N	CH_3	C_2H_5	c-C_3H_5	-
4084	CH_2	CH_3	N	CH_3	N	CH_3	C_3H_7	c-C_3H_5	-
4085	CH_2	CH_3	N	CH_3	N	CH_3	C_4H_9	c-C_3H_5	-
4086	CH_2	CH_3	N	CH_3	N	CH_3	CH_3	C_3H_7	-
4087	CH_2	CH_3	N	CH_3	N	CH_3	C_2H_5	C_3H_7	-
4088	CH_2	CH_3	N	CH_3	N	CH_3	C_3H_7	C_3H_7	-
4089	CH_2	CH_3	N	CH_3	N	CH_3	C_2H_5	C_4H_9	-
4090	CH_2	CH_3	N	CH_3	N	CH_3	H	4-CH_3O-C_6H_4	-
4091	O	CH_3	N	CH_3	N	CH_3	c-C_3H_5	c-C_3H_5	-
4092	O	CH_3	N	CH_3	N	CH_3	CH_3	c-C_3H_5	-
4093	O	CH_3	N	CH_3	N	CH_3	C_2H_5	c-C_3H_5	-
4094	O	CH_3	N	CH_3	N	CH_3	C_3H_7	c-C_3H_5	-
4095	O	CH_3	N	CH_3	N	CH_3	C_4H_9	c-C_3H_5	-
4096	O	CH_3	N	CH_3	N	CH_3	CH_3	C_3H_7	-
4097	O	CH_3	N	CH_3	N	CH_3	C_2H_5	C_3H_7	-
4098	O	CH_3	N	CH_3	N	CH_3	C_3H_7	C_3H_7	-

4099	O	CH_3	N	CH_3	N	CH_3	C_2H_5	C_4H_9	-
4100	O	CH_3	N	CH_3	N	CH_3	H	4-CH_3O-C_6H_4	-
4101	CH_2	CH_3	CH	CH_3	N	H	c-C_3H_5	c-C_3H_5	-
4102	CH_2	CH_3	CH	CH_3	N	H	CH_3	c-C_3H_5	-
4103	CH_2	CH_3	CH	CH_3	N	H	C_2H_5	c-C_3H_5	-
4104	CH_2	CH_3	CH	CH_3	N	H	C_3H_7	c-C_3H_5	-
4105	CH_2	CH_3	CH	CH_3	N	H	C_4H_9	c-C_3H_5	-
4106	CH_2	CH_3	CH	CH_3	N	H	CH_3	C_3H_7	-
4107	CH_2	CH_3	CH	CH_3	N	H	C_2H_5	C_3H_7	-
4108	CH_2	CH_3	CH	CH_3	N	H	C_3H_7	C_3H_7	-
4109	CH_2	CH_3	CH	CH_3	N	H	C_2H_5	C_4H_9	-
4110	CH_2	CH_3	CH	CH_3	N	H	H	4-CH_3O-C_6H_4	-
4111	O	CH_3	CH	CH_3	N	H	c-C_3H_5	c-C_3H_5	-
4112	O	CH_3	CH	CH_3	N	H	CH_3	c-C_3H_5	-
4113	O	CH_3	CH	CH_3	N	H	C_2H_5	c-C_3H_5	-
4114	O	CH_3	CH	CH_3	N	H	C_3H_7	c-C_3H_5	-
4115	O	CH_3	CH	CH_3	N	H	C_4H_9	c-C_3H_5	-
4116	O	CH_3	CH	CH_3	N	H	CH_3	C_3H_7	-
4117	O	CH_3	CH	CH_3	N	H	C_2H_5	C_3H_7	-
4118	O	CH_3	CH	CH_3	N	H	C_3H_7	C_3H_7	-
4119	O	CH_3	CH	CH_3	N	H	C_2H_5	C_4H_9	-
4120	O	CH_3	CH	CH_3	N	H	H	4-CH_3O-C_6H_4	-
4121	CH_2	CH_3	N	$N(CH_3)_2$	CH	H	c-C_3H_5	c-C_3H_5	-
4122	CH_2	CH_3	N	$N(CH_3)_2$	CH	H	CH_3	c-C_3H_5	-
4123	CH_2	CH_3	N	$N(CH_3)_2$	CH	H	C_2H_5	c-C_3H_5	-
4124	CH_2	CH_3	N	$N(CH_3)_2$	CH	H	C_3H_7	c-C_3H_5	-
4125	CH_2	CH_3	N	$N(CH_3)_2$	CH	H	C_4H_9	c-C_3H_5	-
4126	CH_2	CH_3	N	$N(CH_3)_2$	CH	H	CH_3	C_3H_7	-
4127	CH_2	CH_3	N	$N(CH_3)_2$	CH	H	C_2H_5	C_3H_7	-
4128	CH_2	CH_3	N	$N(CH_3)_2$	CH	H	C_3H_7	C_3H_7	-
4129	CH_2	CH_3	N	$N(CH_3)_2$	CH	H	C_2H_5	C_4H_9	-
4130	CH_2	CH_3	N	$N(CH_3)_2$	CH	H	H	4-CH_3O-C_6H_4	-
4131	O	CH_3	N	$N(CH_3)_2$	CH	H	c-C_3H_5	c-C_3H_5	-
4132	O	CH_3	N	$N(CH_3)_2$	CH	H	CH_3	c-C_3H_5	-
4133	O	CH_3	N	$N(CH_3)_2$	CH	H	C_2H_5	c-C_3H_5	-
4134	O	CH_3	N	$N(CH_3)_2$	CH	H	C_3H_7	c-C_3H_5	-
4135	O	CH_3	N	$N(CH_3)_2$	CH	H	C_4H_9	c-C_3H_5	-
4136	O	CH_3	N	$N(CH_3)_2$	CH	H	CH_3	C_3H_7	-

4137	O	CH_3	N	$N(CH_3)_2$	CH	H	C_2H_5	C_3H_7	-
4138	O	CH_3	N	$N(CH_3)_2$	CH	H	C_3H_7	C_3H_7	-
4139	O	CH_3	N	$N(CH_3)_2$	CH	H	C_2H_5	C_4H_9	-
4140	O	CH_3	N	$N(CH_3)_2$	CH	H	H	$4-CH_3O-C_6H_4$	-
4141	CH_2	CH_3	N	CH_3	CH	H	$c-C_3H_5$	$c-C_3H_5$	-
4142	CH_2	CH_3	N	CH_3	CH	H	CH_3	$c-C_3H_5$	-
4143	CH_2	CH_3	N	CH_3	CH	H	C_2H_5	$c-C_3H_5$	-
4144	CH_2	CH_3	N	CH_3	CH	H	C_3H_7	$c-C_3H_5$	-
4145	CH_2	CH_3	N	CH_3	CH	H	C_4H_9	$c-C_3H_5$	-
4146	CH_2	CH_3	N	CH_3	CH	H	CH_3	C_3H_7	-
4147	CH_2	CH_3	N	CH_3	CH	H	C_2H_5	C_3H_7	-
4148	CH_2	CH_3	N	CH_3	CH	H	C_3H_7	C_3H_7	-
4149	CH_2	CH_3	N	CH_3	CH	H	C_2H_5	C_4H_9	-
4150	CH_2	CH_3	N	CH_3	CH	H	H	$4-CH_3O-C_6H_4$	-
4151	O	CH_3	N	CH_3	CH	H	$c-C_3H_5$	$c-C_3H_5$	-
4152	O	CH_3	N	CH_3	CH	H	CH_3	$c-C_3H_5$	-
4153	O	CH_3	N	CH_3	CH	H	C_2H_5	$c-C_3H_5$	-
4154	O	CH_3	N	CH_3	CH	H	C_3H_7	$c-C_3H_5$	-
4155	O	CH_3	N	CH_3	CH	H	C_4H_9	$c-C_3H_5$	-
4156	O	CH_3	N	CH_3	CH	H	CH_3	C_3H_7	-
4157	O	CH_3	N	CH_3	CH	H	C_2H_5	C_3H_7	-
4158	O	CH_3	N	CH_3	CH	H	C_3H_7	C_3H_7	-
4159	O	CH_3	N	CH_3	CH	H	C_2H_5	C_4H_9	-
4160	O	CH_3	N	CH_3	CH	H	H	$4-CH_3O-C_6H_4$	-
4161	CH_2	OCH_3	N	OCH_3	CH	H	$c-C_3H_5$	$c-C_3H_5$	120-121
4162	CH_2	OCH_3	N	OCH_3	CH	H	CH_3	$c-C_3H_5$	-
4163	CH_2	OCH_3	N	OCH_3	CH	H	C_2H_5	$c-C_3H_5$	-
4164	CH_2	OCH_3	N	OCH_3	CH	H	C_3H_7	$c-C_3H_5$	-
4165	CH_2	OCH_3	N	OCH_3	CH	H	C_4H_9	$c-C_3H_5$	-
4166	CH_2	OCH_3	N	OCH_3	CH	H	CH_3	C_3H_7	oil
4167	CH_2	OCH_3	N	OCH_3	CH	H	C_2H_5	C_3H_7	-
4168	CH_2	OCH_3	N	OCH_3	CH	H	C_3H_7	C_3H_7	-
4169	CH_2	OCH_3	N	OCH_3	CH	H	C_2H_5	C_4H_9	-
4170	CH_2	OCH_3	N	OCH_3	CH	H	H	$4-CH_3O-C_6H_4$	-
4171	O	OCH_3	N	OCH_3	CH	H	$c-C_3H_5$	$c-C_3H_5$	oil
4172	O	OCH_3	N	OCH_3	CH	H	CH_3	$c-C_3H_5$	-
4173	O	OCH_3	N	OCH_3	CH	H	C_2H_5	$c-C_3H_5$	-
4174	O	OCH_3	N	OCH_3	CH	H	C_3H_7	$c-C_3H_5$	-

4175	O	OCH_3	N	OCH_3	CH	H	C_4H_9	c-C_3H_5	-
4176	O	OCH_3	N	OCH_3	CH	H	CH_3	C_3H_7	-
4177	O	OCH_3	N	OCH_3	CH	H	C_2H_5	C_3H_7	-
4178	O	OCH_3	N	OCH_3	CH	H	C_3H_7	C_3H_7	-
4179	O	OCH_3	N	OCH_3	CH	H	C_2H_5	C_4H_9	-
4180	O	OCH_3	N	OCH_3	CH	H	H	4-CH_3O-C_6H_4	-
4181	CH_2	OCH_3	N	$N(CH_3)_2$	CH	H	c-C_3H_5	c-C_3H_5	-
4182	CH_2	OCH_3	N	$N(CH_3)_2$	CH	H	CH_3	c-C_3H_5	-
4183	CH_2	OCH_3	N	$N(CH_3)_2$	CH	H	C_2H_5	c-C_3H_5	-
4184	CH_2	OCH_3	N	$N(CH_3)_2$	CH	H	C_3H_7	c-C_3H_5	-
4185	CH_2	OCH_3	N	$N(CH_3)_2$	CH	H	C_4H_9	c-C_3H_5	-
4186	CH_2	OCH_3	N	$N(CH_3)_2$	CH	H	CH_3	C_3H_7	-
4187	CH_2	OCH_3	N	$N(CH_3)_2$	CH	H	C_2H_5	C_3H_7	-
4188	CH_2	OCH_3	N	$N(CH_3)_2$	CH	H	C_3H_7	C_3H_7	-
4189	CH_2	OCH_3	N	$N(CH_3)_2$	CH	H	C_2H_5	C_4H_9	-
4190	CH_2	OCH_3	N	$N(CH_3)_2$	CH	H	H	4-CH_3O-C_6H_4	-
4191	O	OCH_3	N	$N(CH_3)_2$	CH	H	c-C_3H_5	c-C_3H_5	-
4192	O	OCH_3	N	$N(CH_3)_2$	CH	H	CH_3	c-C_3H_5	-
4193	O	OCH_3	N	$N(CH_3)_2$	CH	H	C_2H_5	c-C_3H_5	-
4194	O	OCH_3	N	$N(CH_3)_2$	CH	H	C_3H_7	c-C_3H_5	-
4195	O	OCH_3	N	$N(CH_3)_2$	CH	H	C_4H_9	c-C_3H_5	-
4196	O	OCH_3	N	$N(CH_3)_2$	CH	H	CH_3	C_3H_7	-
4197	O	OCH_3	N	$N(CH_3)_2$	CH	H	C_2H_5	C_3H_7	-
4198	O	OCH_3	N	$N(CH_3)_2$	CH	H	C_3H_7	C_3H_7	-
4199	O	OCH_3	N	$N(CH_3)_2$	CH	H	C_2H_5	C_4H_9	-
4200	O	OCH_3	N	$N(CH_3)_2$	CH	H	H	4-CH_3O-C_6H_4	-
4201	CH_2	$N(CH_3)_2$	N	OCH_3	CH	H	c-C_3H_5	c-C_3H_5	-
4202	CH_2	$N(CH_3)_2$	N	OCH_3	CH	H	CH_3	c-C_3H_5	-
4203	CH_2	$N(CH_3)_2$	N	OCH_3	CH	H	C_2H_5	c-C_3H_5	-
4204	CH_2	$N(CH_3)_2$	N	OCH_3	CH	H	C_3H_7	c-C_3H_5	-
4205	CH_2	$N(CH_3)_2$	N	OCH_3	CH	H	C_4H_9	c-C_3H_5	-
4206	CH_2	$N(CH_3)_2$	N	OCH_3	CH	H	CH_3	C_3H_7	-
4207	CH_2	$N(CH_3)_2$	N	OCH_3	CH	H	C_2H_5	C_3H_7	-
4208	CH_2	$N(CH_3)_2$	N	OCH_3	CH	H	C_3H_7	C_3H_7	-
4209	CH_2	$N(CH_3)_2$	N	OCH_3	CH	H	C_2H_5	C_4H_9	-
4210	CH_2	$N(CH_3)_2$	N	OCH_3	CH	H	H	4-CH_3O-C_6H_4	-
4211	O	$N(CH_3)_2$	N	OCH_3	CH	H	c-C_3H_5	c-C_3H_5	-
4212	O	$N(CH_3)_2$	N	OCH_3	CH	H	CH_3	c-C_3H_5	-

4213	O	$N(CH_3)_2$	N	OCH_3	CH	H	C_2H_5	c-C_3H_5	-
4214	O	$N(CH_3)_2$	N	OCH_3	CH	H	C_3H_7	c-C_3H_5	-
4215	O	$N(CH_3)_2$	N	OCH_3	CH	H	C_4H_9	c-C_3H_5	-
4216	O	$N(CH_3)_2$	N	OCH_3	CH	H	CH_3	C_3H_7	-
4217	O	$N(CH_3)_2$	N	OCH_3	CH	H	C_2H_5	C_3H_7	-
4218	O	$N(CH_3)_2$	N	OCH_3	CH	H	C_3H_7	C_3H_7	-
4219	O	$N(CH_3)_2$	N	OCH_3	CH	H	C_2H_5	C_4H_9	-
4220	O	$N(CH_3)_2$	N	OCH_3	CH	H	H	4-CH_3O-C_6H_4	-
4221	CH_2	OCH_3	N	OCH_3	CH	H	C_2H_5	2-furanyl	-
4222	CH_2	OCH_3	N	OCH_3	CH	H	C_3H_7	2-furanyl	-
4223	CH_2	OCH_3	N	OCH_3	CH	H	C_2H_5	b	-
4224	CH_2	OCH_3	N	OCH_3	CH	H	C_3H_7	b	-
4225	CH_2	OCH_3	N	OCH_3	CH	H	C_6H_5	b	-
4226	CH_2	OCH_3	N	OCH_3	CH	H	c-C_3H_5	b	-
4227	CH_2	OCH_3	N	OCH_3	CH	H	CH_3	$CH=CHCH_3$	-
4228	CH_2	OCH_3	N	OCH_3	CH	H	C_3H_7	$CH=CH_2$	-
4229	CH_2	OCH_3	N	OCH_3	CH	H	CH_3	C_6H_5	-
4230	CH_2	OCH_3	N	OCH_3	CH	H	CH_3	c-C_4H_7	-

Key:

a) Where the compound is indicated as an "oil", spectral data is provided below:

Example 4166 elemental analysis: calc. for $C_{19}H_{25}N_5O_2$ C 64.20, H 7.10, N 19.70; observed C 64.13, H 6.67, N 19.30.

Example 4171 elemental analysis: calc. for $C_{20}H_{23}N_5O_3$ C 62.98, H 6.09, N 18.36; observed C 62.80, H 6.10, N 18.19.

b) $C{\equiv}C{-}CH_3$

The methods used in the preparation of the compounds of Table 1 may be employed in the synthesis of those compounds of Structure A in Table 5 and Table 5A. The methods employed to make the analogues bearing a benzofuran group are illustrated in the following examples.

The methods of Schemes 13 and 14 may be used to prepare many of the examples of Structure B and Structure C

contained in Table 5 and Table 5A, with minor procedural modifications where necessary and use of reagents of the appropriate structure.

Example 5001

Preparation of 9-Dicyclopropylmethyl-8-ethyl-6-(6-methyl-2,3-dihydrobenzofuran-5-yl)purine

Part A. Sodium hydride dispersion in mineral oil (5.05 g, 50% w/w, 105 mmol) was washed with hexane and dried under vacuum. DMF (100 mL) was added, the slurry was cooled to 0 °C, and treated with a solution of *m*-cresol (10 mL, 95.6 mmol) in DMF (20 mL). The resulting mixture was allowed to stir for 1 h, then was treated with chloromethyl methyl ether (8.00 mL, 105 mmol) by syringe. The mixture was stirred overnight, then poured into ethyl acetate (200 mL). This was washed with water (3 x 200 mL) and brine (100 mL), and the aqueous phases were back-extracted in sequence with ethyl acetate. The extracts were combined, dried over magnesium sulfate, filtered and evaporated. The oily product was purified by elution through a plug of silica gel with 10:90 ethyl acetate-hexane. Evaporation then afforded the pure product, 3-(methoxymethoxy)toluene, as an oil (13.93 g, 91.5 mmol, 96%). TLC R_F 0.46 (10:90 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): d 7.17 (1H, t, J = 7.7 Hz), 6.86-6.81 (3H, m), 5.17 (2H, s), 3.48 (3H, s), 2.33 (3H, s). MS (H_2O-GC/MS): *m/e* 153 (60), 121 (100).

Part B. A solution of 3-(methoxymethoxy)toluene (5.00 g, 32.9 mmol) and TMEDA (5.30 mL, 35.1 mmol) in THF (50 mL) was cooled to 0 °C, and treated with a hexane solution of n-butyllithium (22.0 mL, 1.6 M, 35.2 mmol). After 4 hours, the solution was cooled to -78 °C, and treated dropwise with ethylene oxide (2.00 mL, 40 mmol, condensed from a lecture bottle through a cold-finger into a graduated dropping funnel). The mixture was allowed to stir and warm to ambient temperature overnight,

then was poured into satd. aq. ammonium chloride solution (120 mL). This was extracted with ethyl acetate (2 x 120 mL), and the extracts were washed in sequence with brine, combined, dried over magnesium sulfate, filtered and evaporated. The residual oil was separated by column chromatography (10:90 ethyl acetate-hexane) to afford the desired product, 2-[2-(methoxymethoxy)-4-methylphenyl]ethanol, as a viscous liquid (2.25 g, 11.5 mmol, 35%), along with 2.50 g recovered starting material. The ^{1}H NMR spectrum showed regioselectivity in excess of 10:1. TLC R_F 0.09 (10:90 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): d 7.06 (1H, d, J = 7.7 Hz), 6.92 (1H, br s), 6.78 (1H, br d, J = 7.7 Hz), 5.20 (2H, s), 3.83 (2H, q, J = 6.4 Hz), 3.49 (3H, s), 2.89 (2H, t, J = 6.6 Hz), 2.32 (3H, s), 1.61 (1H, t, J = 5.9 Hz). MS (NH_3-DCI): *m/e* 214 (76), 212 (100), 197 (9), 182 (30), 165 (38).

Part C. A solution of the MOM compound from Part B (1.84 g, 9.38 mmol) was dissolved in 1:1 THF-isopropanol (20 mL), and treated with HCl in dioxane (2.5 mL, 4 N, 10.0 mmol). The reaction was stirred at ambient temperature overnight. Aqueous workup gave sufficiently pure product, 2-(2-hydroxy-4-methylphenyl)ethanol.

Part D. A solution of the diol from Part C (ca. 9 mmol) and triphenylphosphine (2.83 g, 10.8 mmol) in THF (20 mL) was cooled to 0 °C, and treated with diethyl azodicarboxylate (1.70 mL, 10.8 mmol) by syringe. The solution was stirred overnight, then evaporated, and the residue separated by a flash column to afford the product, 6-methyl-2,3-dihydrobenzofuran (780 mg, 5.81 mmol, 65%). TLC R_F 0.29 (2:98 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): d 7.07 (1H, d, J = 7.4 Hz), 6.66 (1H, d, J = 7.4 Hz), 6.62 (1H, s), 4.54 (2H, t, J = 8.6 Hz), 3.16 (2H, t, J = 8.6 Hz), 2.30 (3H, s). MS (D_2O-GC/MS): *m/e* 135 (100).

Part E. A solution of the above compound (780 mg) and N-bromosuccinimide (1.24 g, 6.97 mmol) in dichloroethane (10 mL) was heated to reflux overnight, then cooled, filtered and

evaporated. Column chromatography (hexane, then 2:98 ethyl acetate-hexane) gave first 5-bromo-6-methylbenzofuran (270 mg, 1.27 mmol, 22%), then 5-bromo-6-methyl-2,3-dihydrobenzofuran (923 mg, 4.33 mol, 75%), both as solids. For the dihydro product: TLC R_F 0.35 (2:98 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): d 7.31 (1H, s), 6.68 (1H, s), 4.56 (2H, t, J = 8.8 Hz), 3.17 (2H, t, J = 8.8 Hz), 2.33 (3H, s). MS (H_2O-GC/MS): *m/e* 215 (76), 213 (100).

Part F. A solution of the bromide from Part E (923 mg, 4.33 mmol) in tetrahydrofuran (20 mL) was cooled to -78 °C, and treated with a hexane solution of n-butyllithium (3.0 mL, 1.6 M, 4.8 mmol). After 1 hour, the reaction mixture was treated with triisopropylborate (1.00 mL, 4.33 mmol) and allowed to come to ambient temperature over 6 hrs. Then, 1 mL of 6 N aq. HCl and 3 mL water were added, and the resulting mixture was allowed to stir for 1 hr. It was poured into water (100 mL), and extracted with ethyl acetate (2 x 100 mL). The extracts were washed with brine (60 mL), combined, dried over sodium sulfate, filtered and evaporated to afford a solid, which was purified by trituration with hexane to give 6-methyl-2,3-dihydrobenzofuran-5-boronic acid (718 mg, 4.03 mmol, 93%).

Part G. A mixture of the boronic acid from Part F (298 mg, 1.67 mmol), 6-chloro-9-dicyclopropylmethyl-8-ethylpurine (309 mg, 1.12 mmol), 2 N aqueous sodium carbonate solution (1.7 mL, 3.4 mmol) and triphenylphosphine (61 mg, 0.233 mmol) in DME (20 mL) was degassed by repeated cycles of brief vacuum pumping followed by nitrogen purging. To this was added palladium (II) acetate (13 mg, 0.058 mmol), and the mixture was degassed again and then heated to reflux for 14 hours. It was cooled, and poured into water (100 mL). This mixture was extracted with ethyl acetate (2 x 100 mL), and the extracts were washed in sequence with brine (60 mL), combined, dried over sodium sulfate, filtered and evaporated. The residual material was separated by column chromatography (silica gel, 20:80 ethyl acetate-hexane) to afford the title product as a solid. This was recrystallized to purity from ether (253 mg,

0.77 mmol, 69%). m.p. 147-148 °C. TLC R_F 0.18 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): d 8.88 (1H, s), 7.60 (1H, s), 6.77 (1H, s), 4.61 (2H, t, J = 8.6 Hz), 3.44 (1H, v br), 3.24 (2H, t, J = 8.6 Hz), 2.94 (2H, br), 2.44 (3H, s), 2.03 (2H, v br), 1.45 (3H, br t, J = 6 Hz), 0.89-0.79 (2H, m), 0.58 (2H, br), 0.50-0.40 (2H, m), 0.27-0.17 (2H, m). MS (NH_3-CI): *m/e* 377 (4), 376 (27), 375 (100). Analysis calc'd for $C_{23}H_{26}N_4O$: C, 73.77; H, 7.01; N, 14.96; found: C, 73.69; H, 7.08; N, 14.40.

<u>Examples 5201, 5231 and 5232</u>

Preparation of 9-dicyclopropylmethyl-8-ethyl-6-(6-methylbenzofuran-5-yl)purine, 6-(2-bromo-6-methylbenzofuran-5-yl)-9-dicyclopropylmethyl-8-ethylpurine and 6-(7-bromo-6-methyl-2,3-dihydrobenzofuran-5-yl)-9-dicyclopropylmethyl-8-ethylpurine

A solution of the compound of Example 5001 (250 mg, 0.668 mmol) and N-bromosuccinimide (119 mg, 0.669 mmol) in 1,2-dichloroethane (10 mL) was heated to reflux for 12 hours, then cooled and evaporated. The resulting mixture was taken up in ether, filtered and evaporated, and the residual material was separated by flash chromatography (silica gel, 20:80 ethyl acetate-hexane) to afford, in order, the following three products:

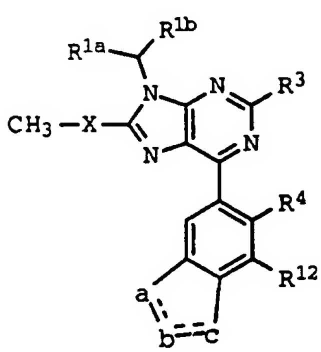
6-(2-Bromo-6-methylbenzofuran-5-yl)-9-dicyclopropylmethyl-8-ethylpurine: m.p. 177-178 °C. TLC R_F 0.23 (20:80 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): d 8.92 (1H, s), 7.85 (1H, s), 7.42 (1H, s), 6.74 (1H, s), 4.15 (1H, v br), 2.97 (2H, v br), 2.54 (3H, s), 2.00 (2H, v br), 1.44 (3H, br t, J = 7 Hz), 0.90-0.80 (2H, m), 0.63-0.53 (2H, m), 0.50-0.40 (2H, m), 0.26-0.16 (2H, m). MS (NH_3-CI): *m/e* calc'd for $C_{23}H_{24}BrN_4O$: 451.1133, found 451.1132; 455 (3), 454 (25), 453 (99), 452 (31), 451 (100).

9-Dicyclopropylmethyl-8-ethyl-6-(6-methylbenzofuran-5-yl)purine: m.p. 139-141 °C. TLC R_F 0.16 (20:80 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): d 8.92 (1H, s), 7.95 (1H, s), 7.60 (1H, d, J = 2.2 Hz), 7.48 (1H, d, J = 0.7 Hz), 6.78 (1H,

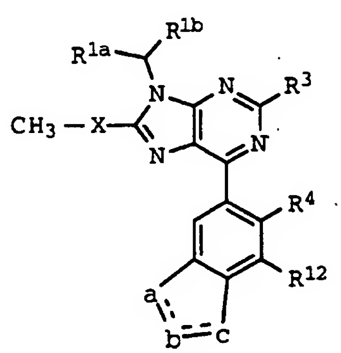
dd, J = 2.2, 0.7 Hz), 4.40 (1H, v br), 2.97 (2H, v br), 2.56 (3H, s), 2.04 (2H, v br), 1.44 (3H, br t, J = 7 Hz), 0.90-0.80 (2H, m), 0.62-0.52 (2H, m), 0.51-0.41 (2H, m), 0.29-0.18 (2H, m). MS (NH_3-CI): *m/e* calc'd for $C_{23}H_{25}N_4O$: 373.2028, found 373.2033; 375 (3), 374 (26), 373 (100).

6-(7-Bromo-6-methyl-2,3-dihydrobenzofuran-5-yl)-9-dicyclopropylmethyl-8-ethylpurine: m.p. 179-180 °C. TLC R_F 0.04 (20:80 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): d 8.89 (1H, s), 7.47 (1H, s), 4.73 (2H, t, J = 8.6 Hz), 3.80 (1H, v br), 3.37 (2H, t, J = 8.6 Hz), 2.95 (2H, v br), 2.44 (3H, s), 1.44 (3H, br t, J = 7 Hz), 0.89-0.79 (2H, m), 0.61-0.52 (2H, m), 0.51-0.41 (2H, m), 0.28-0.18 (2H, m). MS (NH_3-CI): *m/e* calc'd for $C_{23}H_{26}BrN_4O$: 453.1290, found 453.1285; 455 (98), 453 (100).

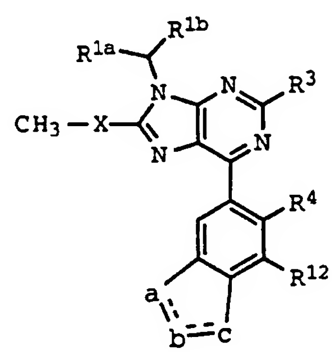
TABLE 5



(A)



(B)



(C)

Ex. No.	X	R^3	R^4	a	b	c	R^{1a}	R^{1b}	m.p., °C
5001	CH_2	H	CH_3	CH_2	CH_2	O	c-C_3H_5	c-C_3H_5	147-148
5002	CH_2	H	CH_3	CH_2	CH_2	O	H	4-(CH_3O)-C_6H_4	-
5003	CH_2	H	CH_3	CH_2	CH_2	O	CH_3	c-C_3H_5	-
5004	CH_2	H	CH_3	CH_2	CH_2	O	C_2H_5	c-C_3H_5	-
5005	CH_2	H	CH_3	CH_2	CH_2	O	C_3H_7	c-C_3H_5	-

5006	CH_2	H	CH_3	CH_2	CH_2	O	C_4H_9	c-C_3H_5	-
5007	CH_2	H	CH_3	CH_2	CH_2	O	C_2H_5	C_3H_7	-
5008	CH_2	H	CH_3	CH_2	CH_2	O	C_2H_5	C_4H_9	-
5009	CH_2	H	CH_3	CH_2	CH_2	O	C_3H_7	C_3H_7	-
5010	CH_2	H	CH_3	CH_2	CH_2	O	CH_3	C_3H_7	-
5011	CH_2	H	CH_3	O	CH_2	O	c-C_3H_5	c-C_3H_5	168-169
5012	CH_2	H	CH_3	O	CH_2	O	H	4-(CH_3O)-C_6H_4	-
5013	CH_2	H	CH_3	O	CH_2	O	CH_3	c-C_3H_5	-
5014	CH_2	H	CH_3	O	CH_2	O	C_2H_5	c-C_3H_5	-
5015	CH_2	H	CH_3	O	CH_2	O	C_3H_7	c-C_3H_5	-
5016	CH_2	H	CH_3	O	CH_2	O	C_4H_9	c-C_3H_5	-
5017	CH_2	H	CH_3	O	CH_2	O	C_2H_5	C_3H_7	-
5018	CH_2	H	CH_3	O	CH_2	O	C_2H_5	C_4H_9	-
5019	CH_2	H	CH_3	O	CH_2	O	C_3H_7	C_3H_7	-
5020	CH_2	H	CH_3	O	CH_2	O	CH_3	C_3H_7	-
5021	CH_2	H	CH_3	O	CH_2	CH_2	c-C_3H_5	c-C_3H_5	-
5022	CH_2	H	CH_3	O	CH_2	CH_2	H	4-(CH_3O)-C_6H_4	-
5023	CH_2	H	CH_3	O	CH_2	CH_2	CH_3	c-C_3H_5	-
5024	CH_2	H	CH_3	O	CH_2	CH_2	C_2H_5	c-C_3H_5	-
5025	CH_2	H	CH_3	O	CH_2	CH_2	C_3H_7	c-C_3H_5	-
5026	CH_2	H	CH_3	O	CH_2	CH_2	C_4H_9	c-C_3H_5	-
5027	CH_2	H	CH_3	O	CH_2	CH_2	C_2H_5	C_3H_7	-
5028	CH_2	H	CH_3	O	CH_2	CH_2	C_2H_5	C_4H_9	-
5029	CH_2	H	CH_3	O	CH_2	CH_2	C_3H_7	C_3H_7	-
5030	CH_2	H	CH_3	O	CH_2	CH_2	CH_3	C_3H_7	-
5031	CH_2	H	CH_3	CH_2	O	CH_2	c-C_3H_5	c-C_3H_5	-
5032	CH_2	H	CH_3	CH_2	O	CH_2	H	4-(CH_3O)-C_6H_4	-
5033	CH_2	H	CH_3	CH_2	O	CH_2	CH_3	c-C_3H_5	-
5034	CH_2	H	CH_3	CH_2	O	CH_2	C_2H_5	c-C_3H_5	-
5035	CH_2	H	CH_3	CH_2	O	CH_2	C_3H_7	c-C_3H_5	-
5036	CH_2	H	CH_3	CH_2	O	CH_2	C_4H_9	c-C_3H_5	-
5037	CH_2	H	CH_3	CH_2	O	CH_2	C_2H_5	C_3H_7	-
5038	CH_2	H	CH_3	CH_2	O	CH_2	C_2H_5	C_4H_9	-
5039	CH_2	H	CH_3	CH_2	O	CH_2	C_3H_7	C_3H_7	-
5040	CH_2	H	CH_3	CH_2	O	CH_2	CH_3	C_3H_7	-
5041	CH_2	H	Cl	CH_2	CH_2	O	c-C_3H_5	c-C_3H_5	-
5042	CH_2	H	Cl	CH_2	CH_2	O	H	4-(CH_3O)-C_6H_4	-
5043	CH_2	H	Cl	CH_2	CH_2	O	CH_3	c-C_3H_5	-

5044	CH_2	H	Cl	CH_2	CH_2	O	C_2H_5	c-C_3H_5	-
5045	CH_2	H	Cl	CH_2	CH_2	O	C_3H_7	c-C_3H_5	-
5046	CH_2	H	Cl	CH_2	CH_2	O	C_4H_9	c-C_3H_5	-
5047	CH_2	H	Cl	CH_2	CH_2	O	C_2H_5	C_3H_7	-
5048	CH_2	H	Cl	CH_2	CH_2	O	C_2H_5	C_4H_9	-
5049	CH_2	H	Cl	CH_2	CH_2	O	C_3H_7	C_3H_7	-
5050	CH_2	H	Cl	CH_2	CH_2	O	CH_3	C_3H_7	-
5051	CH_2	H	Cl	O	CH_2	O	c-C_3H_5	c-C_3H_5	-
5052	CH_2	H	Cl	O	CH_2	O	H	4-(CH_3O)-C_6H_4	-
5053	CH_2	H	Cl	O	CH_2	O	CH_3	c-C_3H_5	-
5054	CH_2	H	Cl	O	CH_2	O	C_2H_5	c-C_3H_5	-
5055	CH_2	H	Cl	O	CH_2	O	C_3H_7	c-C_3H_5	-
5056	CH_2	H	Cl	O	CH_2	O	C_4H_9	c-C_3H_5	-
5057	CH_2	H	Cl	O	CH_2	O	C_2H_5	C_3H_7	-
5058	CH_2	H	Cl	O	CH_2	O	C_2H_5	C_4H_9	-
5059	CH_2	H	Cl	O	CH_2	O	C_3H_7	C_3H_7	-
5060	CH_2	H	Cl	O	CH_2	O	CH_3	C_3H_7	-
5061	O	H	CH_3	CH_2	CH_2	O	c-C_3H_5	c-C_3H_5	-
5062	O	H	CH_3	CH_2	CH_2	O	H	4-(CH_3O)-C_6H_4	-
5063	O	H	CH_3	CH_2	CH_2	O	CH_3	c-C_3H_5	-
5064	O	H	CH_3	CH_2	CH_2	O	C_2H_5	c-C_3H_5	-
5065	O	H	CH_3	CH_2	CH_2	O	C_3H_7	c-C_3H_5	-
5066	O	H	CH_3	CH_2	CH_2	O	C_4H_9	c-C_3H_5	-
5067	O	H	CH_3	CH_2	CH_2	O	C_2H_5	C_3H_7	-
5068	O	H	CH_3	CH_2	CH_2	O	C_2H_5	C_4H_9	-
5069	O	H	CH_3	CH_2	CH_2	O	C_3H_7	C_3H_7	-
5070	O	H	CH_3	CH_2	CH_2	O	CH_3	C_3H_7	-
5071	O	H	CH_3	O	CH_2	O	c-C_3H_5	c-C_3H_5	-
5072	O	H	CH_3	O	CH_2	O	H	4-(CH_3O)-C_6H_4	-
5073	O	H	CH_3	O	CH_2	O	CH_3	c-C_3H_5	-
5074	O	H	CH_3	O	CH_2	O	C_2H_5	c-C_3H_5	-
5075	O	H	CH_3	O	CH_2	O	C_3H_7	c-C_3H_5	-
5076	O	H	CH_3	O	CH_2	O	C_4H_9	c-C_3H_5	-
5077	O	H	CH_3	O	CH_2	O	C_2H_5	C_3H_7	-
5078	O	H	CH_3	O	CH_2	O	C_2H_5	C_4H_9	-
5079	O	H	CH_3	O	CH_2	O	C_3H_7	C_3H_7	-
5080	O	H	CH_3	O	CH_2	O	CH_3	C_3H_7	-
5081	O	H	Cl	CH_2	CH_2	O	c-C_3H_5	c-C_3H_5	-

5082	O	H	Cl	CH_2	CH_2	O	H	4-(CH_3O)-C_6H_4	-
5083	O	H	Cl	CH_2	CH_2	O	CH_3	c-C_3H_5	-
5084	O	H	Cl	CH_2	CH_2	O	C_2H_5	c-C_3H_5	-
5085	O	H	Cl	CH_2	CH_2	O	C_3H_7	c-C_3H_5	-
5086	O	H	Cl	CH_2	CH_2	O	C_4H_9	c-C_3H_5	-
5087	O	H	Cl	CH_2	CH_2	O	C_2H_5	C_3H_7	-
5088	O	H	Cl	CH_2	CH_2	O	C_2H_5	C_4H_9	-
5089	O	H	Cl	CH_2	CH_2	O	C_3H_7	C_3H_7	-
5090	O	H	Cl	CH_2	CH_2	O	CH_3	C_3H_7	-
5091	O	H	Cl	O	CH_2	O	c-C_3H_5	c-C_3H_5	-
5092	O	H	Cl	O	CH_2	O	H	4-(CH_3O)-C_6H_4	-
5093	O	H	Cl	O	CH_2	O	CH_3	c-C_3H_5	-
5094	O	H	Cl	O	CH_2	O	C_2H_5	c-C_3H_5	-
5095	O	H	Cl	O	CH_2	O	C_3H_7	c-C_3H_5	-
5096	O	H	Cl	O	CH_2	O	C_4H_9	c-C_3H_5	-
5097	O	H	Cl	O	CH_2	O	C_2H_5	C_3H_7	-
5098	O	H	Cl	O	CH_2	O	C_2H_5	C_4H_9	-
5099	O	H	Cl	O	CH_2	O	C_3H_7	C_3H_7	-
5100	O	H	Cl	O	CH_2	O	CH_3	C_3H_7	-
5101	CH_2	CH_3	CH_3	CH_2	CH_2	O	c-C_3H_5	c-C_3H_5	-
5102	CH_2	CH_3	CH_3	CH_2	CH_2	O	H	4-(CH_3O)-C_6H_4	-
5103	CH_2	CH_3	CH_3	CH_2	CH_2	O	CH_3	c-C_3H_5	-
5104	CH_2	CH_3	CH_3	CH_2	CH_2	O	C_2H_5	c-C_3H_5	-
5105	CH_2	CH_3	CH_3	CH_2	CH_2	O	C_3H_7	c-C_3H_5	-
5106	CH_2	CH_3	CH_3	CH_2	CH_2	O	C_4H_9	c-C_3H_5	-
5107	CH_2	CH_3	CH_3	CH_2	CH_2	O	C_2H_5	C_3H_7	-
5108	CH_2	CH_3	CH_3	CH_2	CH_2	O	C_2H_5	C_4H_9	-
5109	CH_2	CH_3	CH_3	CH_2	CH_2	O	C_3H_7	C_3H_7	-
5110	CH_2	CH_3	CH_3	CH_2	CH_2	O	CH_3	C_3H_7	-
5111	CH_2	H	Cl	O	C=O	NH	c-C_3H_5	c-C_3H_5	-
5112	CH_2	H	Cl	O	C=O	NH	H	4-(CH_3O)-C_6H_4	-
5113	CH_2	H	Cl	O	C=O	NH	CH_3	c-C_3H_5	-
5114	CH_2	H	Cl	O	C=O	NH	C_2H_5	c-C_3H_5	-
5115	CH_2	H	Cl	O	C=O	NH	C_3H_7	c-C_3H_5	-
5116	CH_2	H	Cl	O	C=O	NH	C_4H_9	c-C_3H_5	-
5117	CH_2	H	Cl	O	C=O	NH	C_2H_5	C_3H_7	-
5118	CH_2	H	Cl	O	C=O	NH	C_2H_5	C_4H_9	-
5119	CH_2	H	Cl	O	C=O	NH	C_3H_7	C_3H_7	-

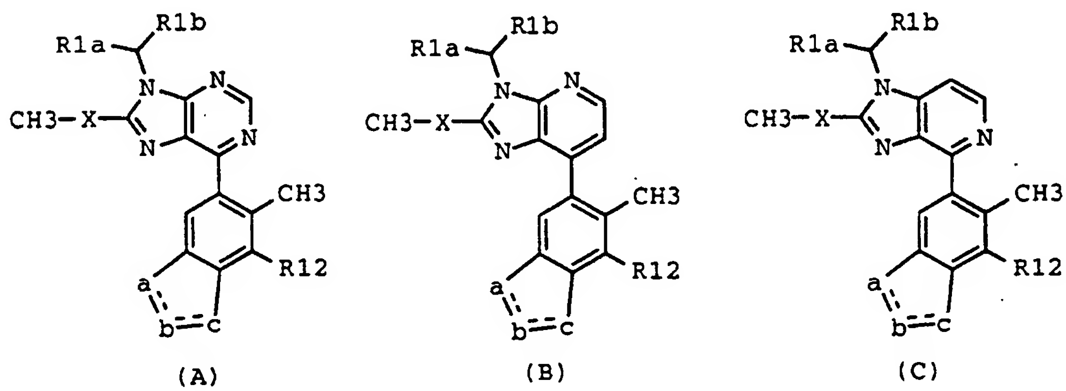
5120	CH_2	H	Cl	O	C=O	NH	CH_3	C_3H_7	-
5121	CH_2	H	Cl	O	C=O	NCH_3	c-C_3H_5	c-C_3H_5	-
5122	CH_2	H	Cl	O	C=O	NCH_3	H	4-(CH_3O)-C_6H_4	-
5123	CH_2	H	Cl	O	C=O	NCH_3	CH_3	c-C_3H_5	-
5124	CH_2	H	Cl	O	C=O	NCH_3	C_2H_5	c-C_3H_5	-
5125	CH_2	H	Cl	O	C=O	NCH_3	C_3H_7	c-C_3H_5	-
5126	CH_2	H	Cl	O	C=O	NCH_3	C_4H_9	c-C_3H_5	-
5127	CH_2	H	Cl	O	C=O	NCH_3	C_2H_5	C_3H_7	-
5128	CH_2	H	Cl	O	C=O	NCH_3	C_2H_5	C_4H_9	-
5129	CH_2	H	Cl	O	C=O	NCH_3	C_3H_7	C_3H_7	-
5130	CH_2	H	Cl	O	C=O	NCH_3	CH_3	C_3H_7	-
5131	CH_2	H	Cl	O	CCH_3	N	c-C_3H_5	c-C_3H_5	-
5132	CH_2	H	Cl	O	CCH_3	N	H	4-(CH_3O)-C_6H_4	-
5133	CH_2	H	Cl	O	CCH_3	N	CH_3	c-C_3H_5	-
5134	CH_2	H	Cl	O	CCH_3	N	C_2H_5	c-C_3H_5	-
5135	CH_2	H	Cl	O	CCH_3	N	C_3H_7	c-C_3H_5	-
5136	CH_2	H	Cl	O	CCH_3	N	C_4H_9	c-C_3H_5	-
5137	CH_2	H	Cl	O	CCH_3	N	C_2H_5	C_3H_7	-
5138	CH_2	H	Cl	O	CCH_3	N	C_2H_5	C_4H_9	-
5139	CH_2	H	Cl	O	CCH_3	N	C_3H_7	C_3H_7	-
5140	CH_2	H	Cl	O	CCH_3	N	CH_3	C_3H_7	-
5141	CH_2	H	Cl	O	C=O	NC_2H_5	c-C_3H_5	c-C_3H_5	-
5142	CH_2	H	Cl	O	C=O	NC_2H_5	H	4-(CH_3O)-C_6H_4	-
5143	CH_2	H	Cl	O	C=O	NC_2H_5	CH_3	c-C_3H_5	-
5144	CH_2	H	Cl	O	C=O	NC_2H_5	C_2H_5	c-C_3H_5	-
5145	CH_2	H	Cl	O	C=O	NC_2H_5	C_3H_7	c-C_3H_5	-
5146	CH_2	H	Cl	O	C=O	NC_2H_5	C_4H_9	c-C_3H_5	-
5147	CH_2	H	Cl	O	C=O	NC_2H_5	C_2H_5	C_3H_7	-
5148	CH_2	H	Cl	O	C=O	NC_2H_5	C_2H_5	C_4H_9	-
5149	CH_2	H	Cl	O	C=O	NC_2H_5	C_3H_7	C_3H_7	-
5150	CH_2	H	Cl	O	C=O	NC_2H_5	CH_3	C_3H_7	-
5151	CH_2	H	Cl	O	C=O	O	c-C_3H_5	c-C_3H_5	-
5152	CH_2	H	Cl	O	C=O	O	H	4-(CH_3O)-C_6H_4	-
5153	CH_2	H	Cl	O	C=O	O	CH_3	c-C_3H_5	-
5154	CH_2	H	Cl	O	C=O	O	C_2H_5	c-C_3H_5	-
5155	CH_2	H	Cl	O	C=O	O	C_3H_7	c-C_3H_5	-
5156	CH_2	H	Cl	O	C=O	O	C_4H_9	c-C_3H_5	-
5157	CH_2	H	Cl	O	C=O	O	C_2H_5	C_3H_7	-

5158	CH_2	H	Cl	O	C=O	O	C_2H_5	C_4H_9	-
5159	CH_2	H	Cl	O	C=O	O	C_3H_7	C_3H_7	-
5160	CH_2	H	Cl	O	C=O	O	CH_3	C_3H_7	-
5161	CH_2	H	Cl	O	CH_2CH_2	O	c-C_3H_5	c-C_3H_5	-
5162	CH_2	H	Cl	O	CH_2CH_2	O	H	4-(CH_3O)-C_6H_4	-
5163	CH_2	H	Cl	O	CH_2CH_2	O	CH_3	c-C_3H_5	-
5164	CH_2	H	Cl	O	CH_2CH_2	O	C_2H_5	c-C_3H_5	-
5165	CH_2	H	Cl	O	CH_2CH_2	O	C_3H_7	c-C_3H_5	-
5166	CH_2	H	Cl	O	CH_2CH_2	O	C_4H_9	c-C_3H_5	-
5167	CH_2	H	Cl	O	CH_2CH_2	O	C_2H_5	C_3H_7	-
5168	CH_2	H	Cl	O	CH_2CH_2	O	C_2H_5	C_4H_9	-
5169	CH_2	H	Cl	O	CH_2CH_2	O	C_3H_7	C_3H_7	-
5170	CH_2	H	Cl	O	CH_2CH_2	O	CH_3	C_3H_7	-
5171	CH_2	H	CH_3	O	C=O	O	c-C_3H_5	c-C_3H_5	-
5172	CH_2	H	CH_3	O	C=O	O	H	4-(CH_3O)-C_6H_4	-
5173	CH_2	H	CH_3	O	C=O	O	CH_3	c-C_3H_5	-
5174	CH_2	H	CH_3	O	C=O	O	C_2H_5	c-C_3H_5	-
5175	CH_2	H	CH_3	O	C=O	O	C_3H_7	c-C_3H_5	-
5176	CH_2	H	CH_3	O	C=O	O	C_4H_9	c-C_3H_5	-
5177	CH_2	H	CH_3	O	C=O	O	C_2H_5	C_3H_7	-
5178	CH_2	H	CH_3	O	C=O	O	C_2H_5	C_4H_9	-
5179	CH_2	H	CH_3	O	C=O	O	C_3H_7	C_3H_7	-
5180	CH_2	H	CH_3	O	C=O	O	CH_3	C_3H_7	-
5181	CH_2	H	CH_3	O	CH_2CH_2	O	c-C_3H_5	c-C_3H_5	-
5182	CH_2	H	CH_3	O	CH_2CH_2	O	H	4-(CH_3O)-C_6H_4	-
5183	CH_2	H	CH_3	O	CH_2CH_2	O	CH_3	c-C_3H_5	-
5184	CH_2	H	CH_3	O	CH_2CH_2	O	C_2H_5	c-C_3H_5	-
5185	CH_2	H	CH_3	O	CH_2CH_2	O	C_3H_7	c-C_3H_5	-
5186	CH_2	H	CH_3	O	CH_2CH_2	O	C_4H_9	c-C_3H_5	-
5187	CH_2	H	CH_3	O	CH_2CH_2	O	C_2H_5	C_3H_7	-
5188	CH_2	H	CH_3	O	CH_2CH_2	O	C_2H_5	C_4H_9	-
5189	CH_2	H	CH_3	O	CH_2CH_2	O	C_3H_7	C_3H_7	-
5190	CH_2	H	CH_3	O	CH_2CH_2	O	CH_3	C_3H_7	-
5191	CH_2	H	Cl	O	CH_2CH_2	NCH_3	c-C_3H_5	c-C_3H_5	-
5192	CH_2	H	Cl	O	CH_2CH_2	NCH_3	H	4-(CH_3O)-C_6H_4	-
5193	CH_2	H	Cl	O	CH_2CH_2	NCH_3	CH_3	c-C_3H_5	-
5194	CH_2	H	Cl	O	CH_2CH_2	NCH_3	C_2H_5	c-C_3H_5	-
5195	CH_2	H	Cl	O	CH_2CH_2	NCH_3	C_3H_7	c-C_3H_5	-

5196	CH_2	H	Cl	O	CH_2CH_2	NCH_3	C_4H_9	c-C_3H_5	-
5197	CH_2	H	Cl	O	CH_2CH_2	NCH_3	C_2H_5	C_3H_7	-
5198	CH_2	H	Cl	O	CH_2CH_2	NCH_3	C_2H_5	C_4H_9	-
5199	CH_2	H	Cl	O	CH_2CH_2	NCH_3	C_3H_7	C_3H_7	-
5200	CH_2	H	Cl	O	CH_2CH_2	NCH_3	CH_3	C_3H_7	-
5201	CH_2	H	CH_3	CH	CH	O	c-C_3H_5	c-C_3H_5	139-141
5202	CH_2	H	CH_3	CH	CH	O	H	4-(CH_3O)-C_6H_4	-
5203	CH_2	H	CH_3	CH	CH	O	CH_3	c-C_3H_5	-
5204	CH_2	H	CH_3	CH	CH	O	C_2H_5	c-C_3H_5	-
5205	CH_2	H	CH_3	CH	CH	O	C_3H_7	c-C_3H_5	-
5206	CH_2	H	CH_3	CH	CH	O	C_4H_9	c-C_3H_5	-
5207	CH_2	H	CH_3	CH	CH	O	C_2H_5	C_3H_7	-
5208	CH_2	H	CH_3	CH	CH	O	C_2H_5	C_4H_9	-
5209	CH_2	H	CH_3	CH	CH	O	C_3H_7	C_3H_7	-
5210	CH_2	H	CH_3	CH	CH	O	CH_3	C_3H_7	-
5211	CH_2	H	Cl	CH	CH	O	c-C_3H_5	c-C_3H_5	-
5212	CH_2	H	Cl	CH	CH	O	H	4-(CH_3O)-C_6H_4	-
5213	CH_2	H	Cl	CH	CH	O	CH_3	c-C_3H_5	-
5214	CH_2	H	Cl	CH	CH	O	C_2H_5	c-C_3H_5	-
5215	CH_2	H	Cl	CH	CH	O	C_3H_7	c-C_3H_5	-
5216	CH_2	H	Cl	CH	CH	O	C_4H_9	c-C_3H_5	-
5217	CH_2	H	Cl	CH	CH	O	C_2H_5	C_3H_7	-
5218	CH_2	H	Cl	CH	CH	O	C_2H_5	C_4H_9	-
5219	CH_2	H	Cl	CH	CH	O	C_3H_7	C_3H_7	-
5220	CH_2	H	Cl	CH	CH	O	CH_3	C_3H_7	-
5221	CH_2	H	CH_3	CH	CHCH	CH	c-C_3H_5	c-C_3H_5	-
5222	CH_2	H	CH_3	CH	CHCH	CH	H	4-(CH_3O)-C_6H_4	-
5223	CH_2	H	CH_3	CH	CHCH	CH	CH_3	c-C_3H_5	-
5224	CH_2	H	CH_3	CH	CHCH	CH	C_2H_5	c-C_3H_5	-
5225	CH_2	H	CH_3	CH	CHCH	CH	C_3H_7	c-C_3H_5	-
5226	CH_2	H	CH_3	CH	CHCH	CH	C_4H_9	c-C_3H_5	-
5227	CH_2	H	CH_3	CH	CHCH	CH	C_2H_5	C_3H_7	-
5228	CH_2	H	CH_3	CH	CHCH	CH	C_2H_5	C_4H_9	-
5229	CH_2	H	CH_3	CH	CHCH	CH	C_3H_7	C_3H_7	-
5230	CH_2	H	CH_3	CH	CHCH	CH	CH_3	C_3H_7	-
5231	CH_2	H	CH_3	CH	CBr	O	c-C_3H_5	c-C_3H_5	177-178
5232	CH_2	H	CH_3	CH_2	CH_2	O	c-C_3H_5	c-C_3H_5	179-180
5233	CH_2	H	CH_3	CH	CCH_3	O	c-C_3H_5	c-C_3H_5	-

5234	CH_2	H	CH_3	CH_2	CH_2	O	c-C_3H_5	c-C_3H_5	-
5235	CH_2	H	CH_3	CH	$CSCH_3$	O	c-C_3H_5	c-C_3H_5	-
5236	CH_2	H	CH_3	CH_2	CH_2	O	c-C_3H_5	c-C_3H_5	-

TABLE 5A

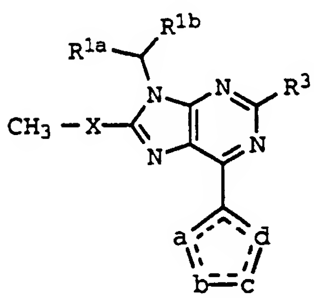


Ex. No.	X	R^{12}	a	b	c	R^{1a}	R^{1b}	m.p., °C
5232	CH_2	Br	CH_2	CH_2	O	c-C_3H_5	c-C_3H_5	179-180
5234	CH_2	CN	CH_2	CH_2	O	c-C_3H_5	c-C_3H_5	-
5236	CH_2	SCH_3	CH_2	CH_2	O	c-C_3H_5	c-C_3H_5	-

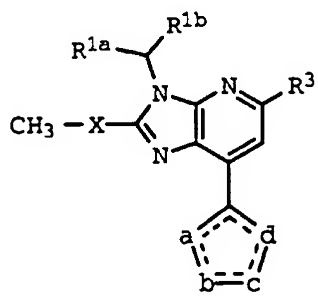
The methods used in the preparation of the compounds of Table 1 may be used for the compounds of Structure A of Table 6. For example, replacing variously-substituted pentaatomic heteroaryl boronic acids for benzeneboronic acids in the palladium-catalyzed aryl cross-coupling method (see Examples 35 or 831) will afford the desired 6-heteroarylpurine compounds.

The methods of Schemes 13 and 14 may be used to prepare many of the examples of Structure B and Structure C contained in Table 6, with minor procedural modifications where necessary and use of reagents of the appropriate structure.

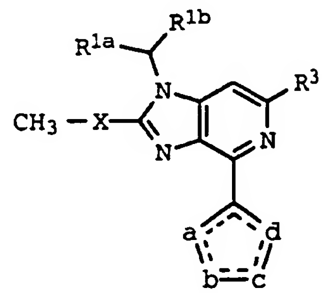
TABLE 6



(A)



(B)



(C)

Ex. No.	X	R^3	a	b	c	d	R^{1a}	R^{1b}	m.p. °C [a]
6001	CH_2	H	CCH_3	N	O	CCH_3	c-C_3H_5	c-C_3H_5	oil
6002	CH_2	H	CCH_3	N	O	CCH_3	CH_3	c-C_3H_5	-
6003	CH_2	H	CCH_3	N	O	CCH_3	C_2H_5	c-C_3H_5	-
6004	CH_2	H	CCH_3	N	O	CCH_3	C_3H_7	c-C_3H_5	-
6005	CH_2	H	CCH_3	N	O	CCH_3	C_4H_9	c-C_3H_5	-
6006	CH_2	H	CCH_3	N	O	CCH_3	CH_3	C_3H_7	-
6007	CH_2	H	CCH_3	N	O	CCH_3	C_2H_5	C_3H_7	-
6008	CH_2	H	CCH_3	N	O	CCH_3	C_3H_7	C_3H_7	-
6009	CH_2	H	CCH_3	N	O	CCH_3	C_2H_5	C_4H_9	-
6010	CH_2	H	CCH_3	N	O	CCH_3	H	4-CH_3O-C_6H_4	-
6011	O	H	CCH_3	N	O	CCH_3	c-C_3H_5	c-C_3H_5	-
6012	O	H	CCH_3	N	O	CCH_3	CH_3	c-C_3H_5	-
6013	O	H	CCH_3	N	O	CCH_3	C_2H_5	c-C_3H_5	-

6014	O	H	CCH_3	N	O	CCH_3	C_3H_7	$c-C_3H_5$	-
6015	O	H	CCH_3	N	O	CCH_3	C_4H_9	$c-C_3H_5$	-
6016	O	H	CCH_3	N	O	CCH_3	CH_3	C_3H_7	-
6017	O	H	CCH_3	N	O	CCH_3	C_2H_5	C_3H_7	-
6018	O	H	CCH_3	N	O	CCH_3	C_3H_7	C_3H_7	-
6019	O	H	CCH_3	N	O	CCH_3	C_2H_5	C_4H_9	-
6020	O	H	CCH_3	N	O	CCH_3	H	$4-CH_3O-C_6H_4$	-
6021	CH_2	CH_3	CCH_3	N	O	CCH_3	$c-C_3H_5$	$c-C_3H_5$	-
6022	CH_2	CH_3	CCH_3	N	O	CCH_3	CH_3	$c-C_3H_5$	-
6023	CH_2	CH_3	CCH_3	N	O	CCH_3	C_2H_5	$c-C_3H_5$	-
6024	CH_2	CH_3	CCH_3	N	O	CCH_3	C_3H_7	$c-C_3H_5$	-
6025	CH_2	CH_3	CCH_3	N	O	CCH_3	C_4H_9	$c-C_3H_5$	-
6026	CH_2	CH_3	CCH_3	N	O	CCH_3	CH_3	C_3H_7	-
6027	CH_2	CH_3	CCH_3	N	O	CCH_3	C_2H_5	C_3H_7	-
6028	CH_2	CH_3	CCH_3	N	O	CCH_3	C_3H_7	C_3H_7	-
6029	CH_2	CH_3	CCH_3	N	O	CCH_3	C_2H_5	C_4H_9	-
6030	CH_2	CH_3	CCH_3	N	O	CCH_3	H	$4-CH_3O-C_6H_4$	-
6031	CH_2	H	CCH_3	N	NCH_3	CCH_3	$c-C_3H_5$	$c-C_3H_5$	-
6032	CH_2	H	CCH_3	N	NCH_3	CCH_3	CH_3	$c-C_3H_5$	-
6033	CH_2	H	CCH_3	N	NCH_3	CCH_3	C_2H_5	$c-C_3H_5$	-
6034	CH_2	H	CCH_3	N	NCH_3	CCH_3	C_3H_7	$c-C_3H_5$	-
6035	CH_2	H	CCH_3	N	NCH_3	CCH_3	C_4H_9	$c-C_3H_5$	-
6036	CH_2	H	CCH_3	N	NCH_3	CCH_3	CH_3	C_3H_7	-
6037	CH_2	H	CCH_3	N	NCH_3	CCH_3	C_2H_5	C_3H_7	-
6038	CH_2	H	CCH_3	N	NCH_3	CCH_3	C_3H_7	C_3H_7	-
6039	CH_2	H	CCH_3	N	NCH_3	CCH_3	C_2H_5	C_4H_9	-
6040	CH_2	H	CCH_3	N	NCH_3	CCH_3	H	$4-CH_3O-C_6H_4$	-
6041	O	H	CCH_3	N	NCH_3	CCH_3	$c-C_3H_5$	$c-C_3H_5$	-
6042	O	H	CCH_3	N	NCH_3	CCH_3	CH_3	$c-C_3H_5$	-
6043	O	H	CCH_3	N	NCH_3	CCH_3	C_2H_5	$c-C_3H_5$	-
6044	O	H	CCH_3	N	NCH_3	CCH_3	C_3H_7	$c-C_3H_5$	-
6045	O	H	CCH_3	N	NCH_3	CCH_3	C_4H_9	$c-C_3H_5$	-
6046	O	H	CCH_3	N	NCH_3	CCH_3	CH_3	C_3H_7	-
6047	O	H	CCH_3	N	NCH_3	CCH_3	C_2H_5	C_3H_7	-
6048	O	H	CCH_3	N	NCH_3	CCH_3	C_3H_7	C_3H_7	-
6049	O	H	CCH_3	N	NCH_3	CCH_3	C_2H_5	C_4H_9	-
6050	O	H	CCH_3	N	NCH_3	CCH_3	H	$4-CH_3O-C_6H_4$	-
6051	CH_2	CH_3	CCH_3	N	NCH_3	CCH_3	$c-C_3H_5$	$c-C_3H_5$	-

6052	CH_2	CH_3	CCH_3	N	NCH_3	CCH_3	CH_3	c-C_3H_5	-
6053	CH_2	CH_3	CCH_3	N	NCH_3	CCH_3	C_2H_5	c-C_3H_5	-
6054	CH_2	CH_3	CCH_3	N	NCH_3	CCH_3	C_3H_7	c-C_3H_5	-
6055	CH_2	CH_3	CCH_3	N	NCH_3	CCH_3	C_4H_9	c-C_3H_5	-
6056	CH_2	CH_3	CCH_3	N	NCH_3	CCH_3	CH_3	C_3H_7	-
6057	CH_2	CH_3	CCH_3	N	NCH_3	CCH_3	C_2H_5	C_3H_7	-
6058	CH_2	CH_3	CCH_3	N	NCH_3	CCH_3	C_3H_7	C_3H_7	-
6059	CH_2	CH_3	CCH_3	N	NCH_3	CCH_3	C_2H_5	C_4H_9	-
6060	CH_2	CH_3	CCH_3	N	NCH_3	CCH_3	H	4-CH_3O-C_6H_4	-
6061	CH_2	H	CCH_3	N	NC_2H_5	CCH_3	c-C_3H_5	c-C_3H_5	-
6062	CH_2	H	CCH_3	N	NC_2H_5	CCH_3	CH_3	c-C_3H_5	-
6063	CH_2	H	CCH_3	N	NC_2H_5	CCH_3	C_2H_5	c-C_3H_5	-
6064	CH_2	H	CCH_3	N	NC_2H_5	CCH_3	C_3H_7	c-C_3H_5	-
6065	CH_2	H	CCH_3	N	NC_2H_5	CCH_3	C_4H_9	c-C_3H_5	-
6066	CH_2	H	CCH_3	N	NC_2H_5	CCH_3	CH_3	C_3H_7	-
6067	CH_2	H	CCH_3	N	NC_2H_5	CCH_3	C_2H_5	C_3H_7	-
6068	CH_2	H	CCH_3	N	NC_2H_5	CCH_3	C_3H_7	C_3H_7	-
6069	CH_2	H	CCH_3	N	NC_2H_5	CCH_3	C_2H_5	C_4H_9	-
6070	CH_2	H	CCH_3	N	NC_2H_5	CCH_3	H	4-CH_3O-C_6H_4	-
6071	O	H	CCH_3	N	NC_2H_5	CCH_3	c-C_3H_5	c-C_3H_5	-
6072	O	H	CCH_3	N	NC_2H_5	CCH_3	CH_3	c-C_3H_5	-
6073	O	H	CCH_3	N	NC_2H_5	CCH_3	C_2H_5	c-C_3H_5	-
6074	O	H	CCH_3	N	NC_2H_5	CCH_3	C_3H_7	c-C_3H_5	-
6075	O	H	CCH_3	N	NC_2H_5	CCH_3	C_4H_9	c-C_3H_5	-
6076	O	H	CCH_3	N	NC_2H_5	CCH_3	CH_3	C_3H_7	-
6077	O	H	CCH_3	N	NC_2H_5	CCH_3	C_2H_5	C_3H_7	-
6078	O	H	CCH_3	N	NC_2H_5	CCH_3	C_3H_7	C_3H_7	-
6079	O	H	CCH_3	N	NC_2H_5	CCH_3	C_2H_5	C_4H_9	-
6080	O	H	CCH_3	N	NC_2H_5	CCH_3	H	4-CH_3O-C_6H_4	-
6081	CH_2	CH_3	CCH_3	N	NC_2H_5	CCH_3	c-C_3H_5	c-C_3H_5	-
6082	CH_2	CH_3	CCH_3	N	NC_2H_5	CCH_3	CH_3	c-C_3H_5	-
6083	CH_2	CH_3	CCH_3	N	NC_2H_5	CCH_3	C_2H_5	c-C_3H_5	-
6084	CH_2	CH_3	CCH_3	N	NC_2H_5	CCH_3	C_3H_7	c-C_3H_5	-
6085	CH_2	CH_3	CCH_3	N	NC_2H_5	CCH_3	C_4H_9	c-C_3H_5	-
6086	CH_2	CH_3	CCH_3	N	NC_2H_5	CCH_3	CH_3	C_3H_7	-
6087	CH_2	CH_3	CCH_3	N	NC_2H_5	CCH_3	C_2H_5	C_3H_7	-
6088	CH_2	CH_3	CCH_3	N	NC_2H_5	CCH_3	C_3H_7	C_3H_7	-
6089	CH_2	CH_3	CCH_3	N	NC_2H_5	CCH_3	C_2H_5	C_4H_9	-

6090	CH_2	CH_3	CCH_3	N	NC_2H_5	CCH_3	H	4-CH_3O-C_6H_4	-
6091	CH_2	H	CCH_3	N	CCH_3	NCH_3	c-C_3H_5	c-C_3H_5	-
6092	CH_2	H	CCH_3	N	CCH_3	NCH_3	CH_3	c-C_3H_5	-
6093	CH_2	H	CCH_3	N	CCH_3	NCH_3	C_2H_5	c-C_3H_5	-
6094	CH_2	H	CCH_3	N	CCH_3	NCH_3	C_3H_7	c-C_3H_5	-
6095	CH_2	H	CCH_3	N	CCH_3	NCH_3	C_4H_9	c-C_3H_5	-
6096	CH_2	H	CCH_3	N	CCH_3	NCH_3	CH_3	C_3H_7	-
6097	CH_2	H	CCH_3	N	CCH_3	NCH_3	C_2H_5	C_3H_7	-
6098	CH_2	H	CCH_3	N	CCH_3	NCH_3	C_3H_7	C_3H_7	-
6099	CH_2	H	CCH_3	N	CCH_3	NCH_3	C_2H_5	C_4H_9	-
6100	CH_2	H	CCH_3	N	CCH_3	NCH_3	H	4-CH_3O-C_6H_4	-
6101	CH_2	H	CCH_3	N	NC_6H_5	CCH_3	c-C_3H_5	c-C_3H_5	-
6102	CH_2	H	CCH_3	N	NC_6H_5	CCH_3	CH_3	c-C_3H_5	-
6103	CH_2	H	CCH_3	N	NC_6H_5	CCH_3	C_2H_5	c-C_3H_5	-
6104	CH_2	H	CCH_3	N	NC_6H_5	CCH_3	C_3H_7	c-C_3H_5	-
6105	CH_2	H	CCH_3	N	NC_6H_5	CCH_3	C_4H_9	c-C_3H_5	-
6106	CH_2	H	CCH_3	N	NC_6H_5	CCH_3	CH_3	C_3H_7	-
6107	CH_2	H	CCH_3	N	NC_6H_5	CCH_3	C_2H_5	C_3H_7	-
6108	CH_2	H	CCH_3	N	NC_6H_5	CCH_3	C_3H_7	C_3H_7	-
6109	CH_2	H	CCH_3	N	NC_6H_5	CCH_3	C_2H_5	C_4H_9	-
6110	CH_2	H	CCH_3	N	NC_6H_5	CCH_3	H	4-CH_3O-C_6H_4	-
6111	O	H	CCH_3	N	NC_6H_5	CCH_3	c-C_3H_5	c-C_3H_5	-
6112	O	H	CCH_3	N	NC_6H_5	CCH_3	CH_3	c-C_3H_5	-
6113	O	H	CCH_3	N	NC_6H_5	CCH_3	C_2H_5	c-C_3H_5	-
6114	O	H	CCH_3	N	NC_6H_5	CCH_3	C_3H_7	c-C_3H_5	-
6115	O	H	CCH_3	N	NC_6H_5	CCH_3	C_4H_9	c-C_3H_5	-
6116	O	H	CCH_3	N	NC_6H_5	CCH_3	CH_3	C_3H_7	-
6117	O	H	CCH_3	N	NC_6H_5	CCH_3	C_2H_5	C_3H_7	-
6118	O	H	CCH_3	N	NC_6H_5	CCH_3	C_3H_7	C_3H_7	-
6119	O	H	CCH_3	N	NC_6H_5	CCH_3	C_2H_5	C_4H_9	-
6120	O	H	CCH_3	N	NC_6H_5	CCH_3	H	4-CH_3O-C_6H_4	-
6121	CH_2	CH_3	CCH_3	N	NC_6H_5	CCH_3	c-C_3H_5	c-C_3H_5	-
6122	CH_2	CH_3	CCH_3	N	NC_6H_5	CCH_3	CH_3	c-C_3H_5	-
6123	CH_2	CH_3	CCH_3	N	NC_6H_5	CCH_3	C_2H_5	c-C_3H_5	-
6124	CH_2	CH_3	CCH_3	N	NC_6H_5	CCH_3	C_3H_7	c-C_3H_5	-
6125	CH_2	CH_3	CCH_3	N	NC_6H_5	CCH_3	C_4H_9	c-C_3H_5	-
6126	CH_2	CH_3	CCH_3	N	NC_6H_5	CCH_3	CH_3	C_3H_7	-
6127	CH_2	CH_3	CCH_3	N	NC_6H_5	CCH_3	C_2H_5	C_3H_7	-

6128	CH_2	CH_3	CCH_3	N	NC_6H_5	CCH_3	C_3H_7	C_3H_7	-
6129	CH_2	CH_3	CCH_3	N	NC_6H_5	CCH_3	C_2H_5	C_4H_9	-
6130	CH_2	CH_3	CCH_3	N	NC_6H_5	CCH_3	H	4-CH_3O-C_6H_4	-

Key:

a) Where the compound is indicated as an "oil", spectral data is provided as follows:

Example 6001 spectral data: MS (NH_3-CI): m/e 338 ($M+H^+$, 100%).

The methods used in the preparation of the compounds of Table 1 may be used for preparation of many of the compounds of Structure A of Table 7. The preparation of those compounds derived from cycloaddition of compounds with alkynyl-bearing R^1 groups is illustrated by the following examples.

The methods of Schemes 13 and 14 may be used to prepare many of the examples of Structure B and Structure C contained in Table 7, with minor procedural modifications where necessary and use of reagents of the appropriate structure.

Example 7409

Preparation of 9-[1-cyclopropyl-1-(3-methyl-isoxazol-5-yl)methyl]-6-(2,4-dichlorophenyl)-8-ethyl-9*H*-purine

To a stirring solution of the compound of Example 7241 (90 mg, 0.24 mmol; prepared in a manner similar to that of Example 2 using 6-(2,4-dichlorophenyl)-8-ethyl-9*H*-purine and 3-cyclopropyl-1-propyn-3-ol) in methylene chloride (2 mL) were added chloroacetaldoxime (25 mg, 0.27 mmol) and triethylamine (0.038 mL, 0.27 mmol). (The chloroacetaldoxime used was previously prepared by reacting equimolar amounts of acetaldoxime and N-chlorosuccinimide in DMF, then extracting the product into diethyl ether and washing with water.) The cycloaddition reaction was monitored by TLC and additional amounts of chloroacetaldoxime and triethylamine were added

until all the starting material was consumed. The reaction mixture was purified by adding directly to a column packed with silica gel and eluting using a gradient of 100% hexane to 25% ethyl acetate in hexane. 72 mg of a white foam was collected. MS (NH_3-CI) 428 ($M+H^+$). HRMS: m/e = 428.1037 ($M+H^+$, $C_{21}H_{20}Cl_2N_5O$). Purity by reverse phase HPLC >97%.

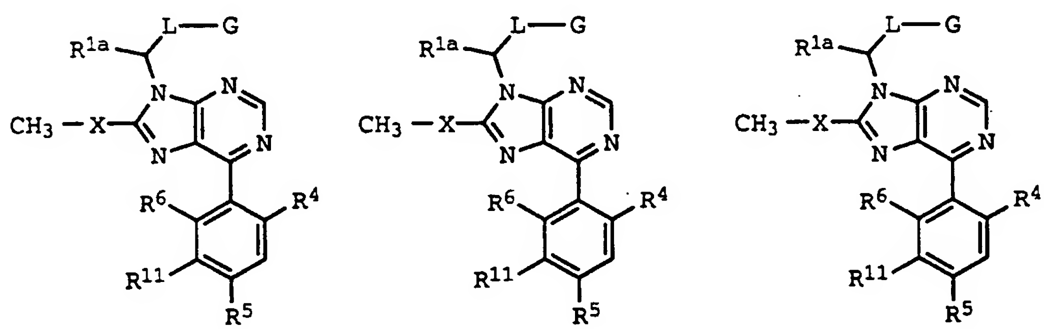
Examples 7396 and 7398

Preparation of 6-(2,4-dichlorophenyl)-9-[1-(3-ethoxycarbonyl-isoxazol-5-yl)butyl]-8-ethyl-9*H*-purine and 9-[1-(4-cyano-3-ethoxycarbonyl-isoxazol-5-yl)butyl]-6-(2,4-dichlorophenyl)-8-ethyl-9*H*-purine

A solution of the compound of Example 7259 (120 mg, 0.321 mmol; prepared prepared in a manner similar to that of Example 2 using 6-(2,4-dichlorophenyl)-8-ethyl-9*H*-purine and 1-hexyn-3-ol), ethyl chlorooximidoacetate (146 mg, 0.963 mmol) and diisopropylethylamine (170 µL, 0.976 mmol) in toluene (2 mL) was heated to reflux for 20 hours, then cooled and diluted with 20 mL ethyl acetate. This was washed with water (2 x 20 mL) and satd. aq. brine (20 mL), and the aqueous phases were back-extracted in sequence with ethyl acetate (20 mL). The organic extracts were combined, dried over anhydrous sodium sulfate, filtered and evaporated. The residual material was separated by column chromatography (silica gel, 1:4 ethyl acetate-hexane) to afford, in order, unreacted starting material (about 50 mg), then the compound of Example 7396 (58.7 mg, 0.120 mmol, 37%), and finally the compound of Example 7398 (23.8 mg, 0.046 mmol, 14%), the latter two compounds being amorphous solids. Example 7396 spectral data: TLC R_F 0.27 (20:80 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.96 (1H, s), 7.67 (1H, d, J = 8.1 Hz), 7.58 (1H, d, J = 1.8 Hz), 7.41 (1H, dd, J = 8.1, 1.8 Hz), 6.86 (1H, s), 5.83 (1H, dd, J = 9.9, 6.2 Hz), 4.43 (2H, q, J = 7.3 Hz), 2.98 (2H, q, J = 7.7 Hz), 2.91-2.78 (1H, m), 2.63-2.49 (1H, m), 1.42 (3H, t, J = 7.7 Hz), 1.40 (3H, t, J = 7.3 Hz), 1.39-1.19 (2H, m), 1.00 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{23}H_{24}Cl_2N_5O_3$: 488.1256, found 488.1252; 493 (3), 492 (13), 491

(18), 490 (68), 489 (28), 488 (100). Example 7398 spectral data: TLC R_F 0.11 (20:80 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.99 (1H, s), 7.72 (1H, d, J = 8.1 Hz), 7.59 (1H, d, J = 1.8 Hz), 7.42 (1H, dd, J = 8.1, 1.8 Hz), 5.40 (1H, dd, J = 10.4, 5.0 Hz), 4.42 (2H, q, J = 7.4 Hz), 3.00-2.90 (2H, m), 2.66-2.52 (1H, m), 2.51-2.38 (1H, m), 1.46 (3H, t, J = 7.4 Hz), 1.41 (3H, t, J = 7.3 Hz), 1.40-1.10 (2H, m), 0.98 (3H, t, J = 7.2 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{24}H_{25}Cl_2N_6O_4$: 531.1315, found 531.1315; 531 (100).

TABLE 7



(A) (B) (C)

Ex. No.	X	R^4	R^5	R^{11}	R^6	R^{1a}	L	G [a]	m.p., °C [b]
7001	CH_2	CH_3	CH_3	H	CH_3	CH_3	bond	G1	-
7002	CH_2	CH_3	CH_3	H	CH_3	C_2H_5	bond	G1	-
7003	CH_2	CH_3	CH_3	H	CH_3	C_3H_7	bond	G1	-
7004	CH_2	CH_3	CH_3	H	CH_3	c-C_3H_5	bond	G1	-
7005	CH_2	CH_3	CH_3	H	CH_3	CH_3	bond	G2	-
7006	CH_2	CH_3	CH_3	H	CH_3	C_2H_5	bond	G2	-
7007	CH_2	CH_3	CH_3	H	CH_3	C_3H_7	bond	G2	-
7008	CH_2	CH_3	CH_3	H	CH_3	c-C_3H_5	bond	G2	-
7009	CH_2	CH_3	CH_3	H	CH_3	CH_3	bond	G3	-
7010	CH_2	CH_3	CH_3	H	CH_3	C_2H_5	bond	G3	-
7011	CH_2	CH_3	CH_3	H	CH_3	C_3H_7	bond	G3	-

7012	CH_2	CH_3	CH_3	H	CH_3	c-C_3H_5	bond	G3	-
7013	CH_2	CH_3	CH_3	H	CH_3	CH_3	CH_2	G4	-
7014	CH_2	CH_3	CH_3	H	CH_3	C_2H_5	CH_2	G4	-
7015	CH_2	CH_3	CH_3	H	CH_3	C_3H_7	CH_2	G4	-
7016	CH_2	CH_3	CH_3	H	CH_3	c-C_3H_5	CH_2	G4	-
7017	CH_2	CH_3	CH_3	H	CH_3	CH_3	CH_2	G5	-
7018	CH_2	CH_3	CH_3	H	CH_3	C_2H_5	CH_2	G5	-
7019	CH_2	CH_3	CH_3	H	CH_3	C_3H_7	CH_2	G5	-
7020	CH_2	CH_3	CH_3	H	CH_3	c-C_3H_5	CH_2	G5	-
7021	CH_2	CH_3	CH_3	H	CH_3	CH_3	bond	G6	-
7022	CH_2	CH_3	CH_3	H	CH_3	C_2H_5	bond	G6	-
7023	CH_2	CH_3	CH_3	H	CH_3	C_3H_7	bond	G6	-
7024	CH_2	CH_3	CH_3	H	CH_3	c-C_3H_5	bond	G6	-
7025	CH_2	CH_3	CH_3	H	CH_3	CH_2=CH	bond	G7	-
7026	CH_2	CH_3	CH_3	H	CH_3	CH_3	bond	G8	-
7027	CH_2	CH_3	CH_3	H	CH_3	C_2H_5	CH_2	G1	-
7028	CH_2	CH_3	CH_3	H	CH_3	C_3H_7	CH_2	G1	-
7029	CH_2	CH_3	CH_3	H	CH_3	C_2H_5	CH_2	G2	-
7030	CH_2	CH_3	CH_3	H	CH_3	C_3H_7	CH_2	G2	-
7031	CH_2	Cl	Cl	H	H	CH_3	bond	G1	-
7032	CH_2	Cl	Cl	H	H	C_2H_5	bond	G1	-
7033	CH_2	Cl	Cl	H	H	C_3H_7	bond	G1	-
7034	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G1	-
7035	CH_2	Cl	Cl	H	H	CH_3	bond	G2	-
7036	CH_2	Cl	Cl	H	H	C_2H_5	bond	G2	-
7037	CH_2	Cl	Cl	H	H	C_3H_7	bond	G2	-
7038	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G2	-
7039	CH_2	Cl	Cl	H	H	CH_3	bond	G3	-
7040	CH_2	Cl	Cl	H	H	C_2H_5	bond	G3	-
7041	CH_2	Cl	Cl	H	H	C_3H_7	bond	G3	-
7042	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G3	-
7043	CH_2	Cl	Cl	H	H	CH_3	CH_2	G4	-
7044	CH_2	Cl	Cl	H	H	C_2H_5	CH_2	G4	-
7045	CH_2	Cl	Cl	H	H	C_3H_7	CH_2	G4	-
7046	CH_2	Cl	Cl	H	H	c-C_3H_5	CH_2	G4	-
7047	CH_2	Cl	Cl	H	H	CH_3	CH_2	G5	-
7048	CH_2	Cl	Cl	H	H	C_2H_5	CH_2	G5	-
7049	CH_2	Cl	Cl	H	H	C_3H_7	CH_2	G5	-

7050	CH_2	Cl	Cl	H	H	c-C_3H_5	CH_2	G5	-
7051	CH_2	Cl	Cl	H	H	CH_3	bond	G6	-
7052	CH_2	Cl	Cl	H	H	C_2H_5	bond	G6	-
7053	CH_2	Cl	Cl	H	H	C_3H_7	bond	G6	-
7054	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G6	-
7055	CH_2	Cl	Cl	H	H	CH_2=CH	bond	G7	-
7056	CH_2	Cl	Cl	H	H	CH_3	bond	G8	-
7057	CH_2	Cl	Cl	H	H	C_2H_5	CH_2	G1	-
7058	CH_2	Cl	Cl	H	H	C_3H_7	CH_2	G1	-
7059	CH_2	Cl	Cl	H	H	C_2H_5	CH_2	G2	-
7060	CH_2	Cl	Cl	H	H	C_3H_7	CH_2	G2	-
7061	CH_2	CH_3	OCH_3	H	H	CH_3	bond	G1	-
7062	CH_2	CH_3	OCH_3	H	H	C_2H_5	bond	G1	-
7063	CH_2	CH_3	OCH_3	H	H	C_3H_7	bond	G1	-
7064	CH_2	CH_3	OCH_3	H	H	c-C_3H_5	bond	G1	-
7065	CH_2	CH_3	OCH_3	H	H	CH_3	bond	G2	-
7066	CH_2	CH_3	OCH_3	H	H	C_2H_5	bond	G2	-
7067	CH_2	CH_3	OCH_3	H	H	C_3H_7	bond	G2	-
7068	CH_2	CH_3	OCH_3	H	H	c-C_3H_5	bond	G2	-
7069	CH_2	CH_3	OCH_3	H	H	CH_3	bond	G3	-
7070	CH_2	CH_3	OCH_3	H	H	C_2H_5	bond	G3	-
7071	CH_2	CH_3	OCH_3	H	H	C_3H_7	bond	G3	-
7072	CH_2	CH_3	OCH_3	H	H	c-C_3H_5	bond	G3	-
7073	CH_2	CH_3	OCH_3	H	H	CH_3	CH_2	G4	-
7074	CH_2	CH_3	OCH_3	H	H	C_2H_5	CH_2	G4	-
7075	CH_2	CH_3	OCH_3	H	H	C_3H_7	CH_2	G4	-
7076	CH_2	CH_3	OCH_3	H	H	c-C_3H_5	CH_2	G4	-
7077	CH_2	CH_3	OCH_3	H	H	CH_3	CH_2	G5	-
7078	CH_2	CH_3	OCH_3	H	H	C_2H_5	CH_2	G5	-
7079	CH_2	CH_3	OCH_3	H	H	C_3H_7	CH_2	G5	-
7080	CH_2	CH_3	OCH_3	H	H	c-C_3H_5	CH_2	G5	-
7081	CH_2	CH_3	OCH_3	H	H	CH_3	bond	G6	-
7082	CH_2	CH_3	OCH_3	H	H	C_2H_5	bond	G6	-
7083	CH_2	CH_3	OCH_3	H	H	C_3H_7	bond	G6	-
7084	CH_2	CH_3	OCH_3	H	H	c-C_3H_5	bond	G6	-
7085	CH_2	CH_3	OCH_3	H	H	CH_2=CH	bond	G7	-
7086	CH_2	CH_3	OCH_3	H	H	CH_3	bond	G8	oil
7087	CH_2	CH_3	OCH_3	H	H	C_2H_5	CH_2	G1	-

7088	CH_2	CH_3	OCH_3	H	H	C_3H_7	CH_2	G1	-
7089	CH_2	CH_3	OCH_3	H	H	C_2H_5	CH_2	G2	-
7090	CH_2	CH_3	OCH_3	H	H	C_3H_7	CH_2	G2	-
7091	CH_2	Cl	OCH_3	H	H	CH_3	bond	G1	-
7092	CH_2	Cl	OCH_3	H	H	C_2H_5	bond	G1	-
7093	CH_2	Cl	OCH_3	H	H	C_3H_7	bond	G1	-
7094	CH_2	Cl	OCH_3	H	H	c-C_3H_5	bond	G1	-
7095	CH_2	Cl	OCH_3	H	H	CH_3	bond	G2	-
7096	CH_2	Cl	OCH_3	H	H	C_2H_5	bond	G2	-
7097	CH_2	Cl	OCH_3	H	H	C_3H_7	bond	G2	-
7098	CH_2	Cl	OCH_3	H	H	c-C_3H_5	bond	G2	-
7099	CH_2	Cl	OCH_3	H	H	CH_3	bond	G3	-
7100	CH_2	Cl	OCH_3	H	H	C_2H_5	bond	G3	-
7101	CH_2	Cl	OCH_3	H	H	C_3H_7	bond	G3	-
7102	CH_2	Cl	OCH_3	H	H	c-C_3H_5	bond	G3	-
7103	CH_2	Cl	OCH_3	H	H	CH_3	CH_2	G4	-
7104	CH_2	Cl	OCH_3	H	H	C_2H_5	CH_2	G4	-
7105	CH_2	Cl	OCH_3	H	H	C_3H_7	CH_2	G4	-
7106	CH_2	Cl	OCH_3	H	H	c-C_3H_5	CH_2	G4	-
7107	CH_2	Cl	OCH_3	H	H	CH_3	CH_2	G5	-
7108	CH_2	Cl	OCH_3	H	H	C_2H_5	CH_2	G5	-
7109	CH_2	Cl	OCH_3	H	H	C_3H_7	CH_2	G5	-
7110	CH_2	Cl	OCH_3	H	H	c-C_3H_5	CH_2	G5	-
7111	CH_2	Cl	OCH_3	H	H	CH_3	bond	G6	-
7112	CH_2	Cl	OCH_3	H	H	C_2H_5	bond	G6	-
7113	CH_2	Cl	OCH_3	H	H	C_3H_7	bond	G6	-
7114	CH_2	Cl	OCH_3	H	H	c-C_3H_5	bond	G6	-
7115	CH_2	Cl	OCH_3	H	H	CH_2=CH	bond	G7	-
7116	CH_2	Cl	OCH_3	H	H	CH_3	bond	G8	oil
7117	CH_2	Cl	OCH_3	H	H	C_2H_5	CH_2	G1	-
7118	CH_2	Cl	OCH_3	H	H	C_3H_7	CH_2	G1	-
7119	CH_2	Cl	OCH_3	H	H	C_2H_5	CH_2	G2	-
7120	CH_2	Cl	OCH_3	H	H	C_3H_7	CH_2	G2	-
7121	CH_2	Cl	CF_3	H	H	CH_3	bond	G1	-
7122	CH_2	Cl	CF_3	H	H	C_2H_5	bond	G1	-
7123	CH_2	Cl	CF_3	H	H	C_3H_7	bond	G1	-
7124	CH_2	Cl	CF_3	H	H	c-C_3H_5	bond	G1	-
7125	CH_2	Cl	CF_3	H	H	CH_3	bond	G2	-

7126	CH_2	Cl	CF_3	H	H	C_2H_5	bond	G2	-
7127	CH_2	Cl	CF_3	H	H	C_3H_7	bond	G2	-
7128	CH_2	Cl	CF_3	H	H	c-C_3H_5	bond	G2	-
7129	CH_2	Cl	CF_3	H	H	CH_3	bond	G3	-
7130	CH_2	Cl	CF_3	H	H	C_2H_5	bond	G3	-
7131	CH_2	Cl	CF_3	H	H	C_3H_7	bond	G3	-
7132	CH_2	Cl	CF_3	H	H	c-C_3H_5	bond	G3	-
7133	CH_2	Cl	CF_3	H	H	CH_3	CH_2	G4	-
7134	CH_2	Cl	CF_3	H	H	C_2H_5	CH_2	G4	-
7135	CH_2	Cl	CF_3	H	H	C_3H_7	CH_2	G4	-
7136	CH_2	Cl	CF_3	H	H	c-C_3H_5	CH_2	G4	-
7137	CH_2	Cl	CF_3	H	H	CH_3	CH_2	G5	-
7138	CH_2	Cl	CF_3	H	H	C_2H_5	CH_2	G5	-
7139	CH_2	Cl	CF_3	H	H	C_3H_7	CH_2	G5	-
7140	CH_2	Cl	CF_3	H	H	c-C_3H_5	CH_2	G5	-
7141	CH_2	Cl	CF_3	H	H	CH_3	bond	G6	-
7142	CH_2	Cl	CF_3	H	H	C_2H_5	bond	G6	-
7143	CH_2	Cl	CF_3	H	H	C_3H_7	bond	G6	-
7144	CH_2	Cl	CF_3	H	H	c-C_3H_5	bond	G6	-
7145	CH_2	Cl	CF_3	H	H	CH_2=CH	bond	G7	-
7146	CH_2	Cl	CF_3	H	H	CH_3	bond	G8	oil
7147	CH_2	Cl	CF_3	H	H	C_2H_5	CH_2	G1	-
7148	CH_2	Cl	CF_3	H	H	C_3H_7	CH_2	G1	-
7149	CH_2	Cl	CF_3	H	H	C_2H_5	CH_2	G2	-
7150	CH_2	Cl	CF_3	H	H	C_3H_7	CH_2	G2	-
7151	CH_2	CF_3	Cl	H	H	CH_3	bond	G1	-
7152	CH_2	CF_3	Cl	H	H	C_2H_5	bond	G1	-
7153	CH_2	CF_3	Cl	H	H	C_3H_7	bond	G1	-
7154	CH_2	CF_3	Cl	H	H	c-C_3H_5	bond	G1	-
7155	CH_2	CF_3	Cl	H	H	CH_3	bond	G2	-
7156	CH_2	CF_3	Cl	H	H	C_2H_5	bond	G2	-
7157	CH_2	CF_3	Cl	H	H	C_3H_7	bond	G2	-
7158	CH_2	CF_3	Cl	H	H	c-C_3H_5	bond	G2	-
7159	CH_2	CF_3	Cl	H	H	CH_3	bond	G3	-
7160	CH_2	CF_3	Cl	H	H	C_2H_5	bond	G3	-
7161	CH_2	CF_3	Cl	H	H	C_3H_7	bond	G3	-
7162	CH_2	CF_3	Cl	H	H	c-C_3H_5	bond	G3	-
7163	CH_2	CF_3	Cl	H	H	CH_3	CH_2	G4	-

7164	CH_2	CF_3	Cl	H	H	C_2H_5	CH_2	G4	-
7165	CH_2	CF_3	Cl	H	H	C_3H_7	CH_2	G4	-
7166	CH_2	CF_3	Cl	H	H	c-C_3H_5	CH_2	G4	-
7167	CH_2	CF_3	Cl	H	H	CH_3	CH_2	G5	-
7168	CH_2	CF_3	Cl	H	H	C_2H_5	CH_2	G5	-
7169	CH_2	CF_3	Cl	H	H	C_3H_7	CH_2	G5	-
7170	CH_2	CF_3	Cl	H	H	c-C_3H_5	CH_2	G5	-
7171	CH_2	CF_3	Cl	H	H	CH_3	bond	G6	-
7172	CH_2	CF_3	Cl	H	H	C_2H_5	bond	G6	-
7173	CH_2	CF_3	Cl	H	H	C_3H_7	bond	G6	-
7174	CH_2	CF_3	Cl	H	H	c-C_3H_5	bond	G6	-
7175	CH_2	CF_3	Cl	H	H	CH_2=CH	bond	G7	-
7176	CH_2	CF_3	Cl	H	H	CH_3	bond	G8	-
7177	CH_2	CF_3	Cl	H	H	C_2H_5	CH_2	G1	-
7178	CH_2	CF_3	Cl	H	H	C_3H_7	CH_2	G1	-
7179	CH_2	CF_3	Cl	H	H	C_2H_5	CH_2	G2	-
7180	CH_2	CF_3	Cl	H	H	C_3H_7	CH_2	G2	-
7181	CH_2	CH_3	OCH_3	CH_3	H	CH_3	bond	G1	-
7182	CH_2	CH_3	OCH_3	CH_3	H	C_2H_5	bond	G1	-
7183	CH_2	CH_3	OCH_3	CH_3	H	C_3H_7	bond	G1	-
7184	CH_2	CH_3	OCH_3	CH_3	H	c-C_3H_5	bond	G1	-
7185	CH_2	CH_3	OCH_3	CH_3	H	CH_3	bond	G2	-
7186	CH_2	CH_3	OCH_3	CH_3	H	C_2H_5	bond	G2	-
7187	CH_2	CH_3	OCH_3	CH_3	H	C_3H_7	bond	G2	-
7188	CH_2	CH_3	OCH_3	CH_3	H	c-C_3H_5	bond	G2	-
7189	CH_2	CH_3	OCH_3	CH_3	H	CH_3	bond	G3	-
7190	CH_2	CH_3	OCH_3	CH_3	H	C_2H_5	bond	G3	-
7191	CH_2	CH_3	OCH_3	CH_3	H	C_3H_7	bond	G3	-
7192	CH_2	CH_3	OCH_3	CH_3	H	c-C_3H_5	bond	G3	-
7193	CH_2	CH_3	OCH_3	CH_3	H	CH_3	CH_2	G4	-
7194	CH_2	CH_3	OCH_3	CH_3	H	C_2H_5	CH_2	G4	-
7195	CH_2	CH_3	OCH_3	CH_3	H	C_3H_7	CH_2	G4	-
7196	CH_2	CH_3	OCH_3	CH_3	H	c-C_3H_5	CH_2	G4	-
7197	CH_2	CH_3	OCH_3	CH_3	H	CH_3	CH_2	G5	-
7198	CH_2	CH_3	OCH_3	CH_3	H	C_2H_5	CH_2	G5	-
7199	CH_2	CH_3	OCH_3	CH_3	H	C_3H_7	CH_2	G5	-
7200	CH_2	CH_3	OCH_3	CH_3	H	c-C_3H_5	CH_2	G5	-
7201	CH_2	CH_3	OCH_3	CH_3	H	CH_3	bond	G6	-

7202	CH_2	CH_3	OCH_3	CH_3	H	C_2H_5	bond	G6	-
7203	CH_2	CH_3	OCH_3	CH_3	H	C_3H_7	bond	G6	-
7204	CH_2	CH_3	OCH_3	CH_3	H	c-C_3H_5	bond	G6	-
7205	CH_2	CH_3	OCH_3	CH_3	H	CH_2=CH	bond	G7	-
7206	CH_2	CH_3	OCH_3	CH_3	H	CH_3	bond	G8	-
7207	CH_2	CH_3	OCH_3	CH_3	H	C_2H_5	CH_2	G1	-
7208	CH_2	CH_3	OCH_3	CH_3	H	C_3H_7	CH_2	G1	-
7209	CH_2	CH_3	OCH_3	CH_3	H	C_2H_5	CH_2	G2	-
7210	CH_2	CH_3	OCH_3	CH_3	H	C_3H_7	CH_2	G2	-
7211	O	Cl	CF_3	H	H	C_2H_5	CH_2	G1	-
7212	O	Cl	CF_3	H	H	C_3H_7	CH_2	G1	-
7213	O	Cl	CF_3	H	H	C_2H_5	bond	G2	-
7214	O	Cl	CF_3	H	H	C_3H_7	bond	G2	-
7215	O	Cl	CF_3	H	H	C_2H_5	CH_2	G4	-
7216	CH_2	Cl	CF_3	H	H	C_2H_5	CH_2	G1	-
7217	CH_2	Cl	CF_3	H	H	C_3H_7	CH_2	G1	-
7218	CH_2	Cl	CF_3	H	H	C_2H_5	bond	G2	-
7219	CH_2	Cl	CF_3	H	H	C_3H_7	bond	G2	-
7220	CH_2	Cl	CF_3	H	H	C_2H_5	CH_2	G4	-
7221	O	CF_3	Cl	H	H	C_2H_5	CH_2	G1	-
7222	O	CF_3	Cl	H	H	C_3H_7	CH_2	G1	-
7223	O	CF_3	Cl	H	H	C_2H_5	bond	G2	-
7224	O	CF_3	Cl	H	H	C_3H_7	bond	G2	-
7225	O	CF_3	Cl	H	H	C_2H_5	CH_2	G4	-
7226	CH_2	CF_3	Cl	H	H	C_2H_5	CH_2	G1	-
7227	CH_2	CF_3	Cl	H	H	C_3H_7	CH_2	G1	-
7228	CH_2	CF_3	Cl	H	H	C_2H_5	bond	G2	-
7229	CH_2	CF_3	Cl	H	H	C_3H_7	bond	G2	-
7230	CH_2	CF_3	Cl	H	H	C_2H_5	CH_2	G4	-
7231	CH_2	CH_3	CH_3	H	CH_3	C_2H_5	CH_2O	G3	oil
7232	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G9	-
7233	O	Cl	Cl	H	H	c-C_3H_5	bond	G9	-
7234	CH_2	Cl	CF_3	H	H	c-C_3H_5	bond	G9	oil
7235	O	Cl	CF_3	H	H	c-C_3H_5	bond	G9	-
7236	CH_2	Cl	OCH_3	H	H	c-C_3H_5	bond	G9	-
7237	CH_2	Cl	OCF_3	H	H	c-C_3H_5	bond	G9	-
7238	CH_2	CH_3	OCH_3	Cl	H	c-C_3H_5	bond	G9	-
7239	CH_2	Cl	Cl	H	CH_3	c-C_3H_5	bond	G9	-

7240	CH_2	CF_3	OCH_3	H	H	c-C_3H_5	bond	G9	-
7241	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G10	oil
7242	O	Cl	Cl	H	H	c-C_3H_5	bond	G10	-
7243	CH_2	Cl	CF_3	H	H	c-C_3H_5	bond	G10	oil
7244	O	Cl	CF_3	H	H	c-C_3H_5	bond	G10	-
7245	CH_2	Cl	OCH_3	H	H	c-C_3H_5	bond	G10	-
7246	CH_2	Cl	OCF_3	H	H	c-C_3H_5	bond	G10	-
7247	CH_2	CH_3	OCH_3	Cl	H	c-C_3H_5	bond	G10	-
7248	CH_2	Cl	Cl	H	CH_3	c-C_3H_5	bond	G10	-
7249	CH_2	CF_3	OCH_3	H	H	c-C_3H_5	bond	G10	oil
7250	CH_2	Cl	Cl	H	H	C_2H_5	bond	G10	oil
7251	O	Cl	Cl	H	H	C_2H_5	bond	G10	-
7252	CH_2	Cl	CF_3	H	H	C_2H_5	bond	G10	98-99
7253	O	Cl	CF_3	H	H	C_2H_5	bond	G10	-
7254	CH_2	Cl	OCH_3	H	H	C_2H_5	bond	G10	-
7255	CH_2	Cl	OCF_3	H	H	C_2H_5	bond	G10	-
7256	CH_2	CH_3	OCH_3	Cl	H	C_2H_5	bond	G10	-
7257	CH_2	Cl	Cl	H	CH_3	C_2H_5	bond	G10	-
7258	CH_2	CF_3	OCH_3	H	H	C_2H_5	bond	G10	-
7259	CH_2	Cl	Cl	H	H	C_3H_7	bond	G10	oil
7260	O	Cl	Cl	H	H	C_3H_7	bond	G10	-
7261	CH_2	Cl	CF_3	H	H	C_3H_7	bond	G10	oil
7262	O	Cl	CF_3	H	H	C_3H_7	bond	G10	-
7263	CH_2	Cl	OCH_3	H	H	C_3H_7	bond	G10	-
7264	CH_2	Cl	OCF_3	H	H	C_3H_7	bond	G10	-
7265	CH_2	CH_3	OCH_3	Cl	H	C_3H_7	bond	G10	-
7266	CH_2	Cl	Cl	H	CH_3	C_3H_7	bond	G10	oil
7267	CH_2	CF_3	OCH_3	H	H	C_3H_7	bond	G10	-
7268	CH_2	Cl	Cl	H	H	C_5H_{11}	bond	G10	oil
7269	O	Cl	Cl	H	H	C_5H_{11}	bond	G10	-
7270	CH_2	Cl	CF_3	H	H	C_5H_{11}	bond	G10	oil
7271	O	Cl	CF_3	H	H	C_5H_{11}	bond	G10	-
7272	CH_2	Cl	OCH_3	H	H	C_5H_{11}	bond	G10	-
7273	CH_2	Cl	OCF_3	H	H	C_5H_{11}	bond	G10	-
7274	CH_2	CH_3	OCH_3	Cl	H	C_5H_{11}	bond	G10	-
7275	CH_2	Cl	Cl	H	CH_3	C_5H_{11}	bond	G10	-
7276	CH_2	CF_3	OCH_3	H	H	C_5H_{11}	bond	G10	-
7277	CH_2	Cl	Cl	H	H	CH_3	CH_2	G10	-

7278	O	Cl	Cl	H	H	CH_3	CH_2	G10	-
7279	CH_2	Cl	CF_3	H	H	CH_3	CH_2	G10	oil
7280	O	Cl	CF_3	H	H	CH_3	CH_2	G10	-
7281	CH_2	Cl	OCH_3	H	H	CH_3	CH_2	G10	-
7282	CH_2	Cl	OCF_3	H	H	CH_3	CH_2	G10	-
7283	CH_2	CH_3	OCH_3	Cl	H	CH_3	CH_2	G10	-
7284	CH_2	Cl	Cl	H	CH_3	CH_3	CH_2	G10	-
7285	CH_2	CF_3	OCH_3	H	H	CH_3	CH_2	G10	-
7286	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G11	oil
7287	O	Cl	Cl	H	H	c-C_3H_5	bond	G11	-
7288	CH_2	Cl	CF_3	H	H	c-C_3H_5	bond	G11	oil
7289	O	Cl	CF_3	H	H	c-C_3H_5	bond	G11	-
7290	CH_2	Cl	OCH_3	H	H	c-C_3H_5	bond	G11	-
7291	CH_2	Cl	OCF_3	H	H	c-C_3H_5	bond	G11	-
7292	CH_2	CH_3	OCH_3	Cl	H	c-C_3H_5	bond	G11	-
7293	CH_2	Cl	Cl	H	CH_3	c-C_3H_5	bond	G11	-
7294	CH_2	CF_3	OCH_3	H	H	c-C_3H_5	bond	G11	-
7295	CH_2	Cl	Cl	H	H	C_2H_5	bond	G11	oil
7296	O	Cl	Cl	H	H	C_2H_5	bond	G11	-
7297	CH_2	Cl	CF_3	H	H	C_2H_5	bond	G11	oil
7298	O	Cl	CF_3	H	H	C_2H_5	bond	G11	-
7299	CH_2	Cl	OCH_3	H	H	C_2H_5	bond	G11	-
7300	CH_2	Cl	OCF_3	H	H	C_2H_5	bond	G11	-
7301	CH_2	CH_3	OCH_3	Cl	H	C_2H_5	bond	G11	-
7302	CH_2	Cl	Cl	H	CH_3	C_2H_5	bond	G11	-
7303	CH_2	CF_3	OCH_3	H	H	C_2H_5	bond	G11	-
7304	CH_2	Cl	Cl	H	H	C_3H_7	bond	G11	88-89
7305	O	Cl	Cl	H	H	C_3H_7	bond	G11	-
7306	CH_2	Cl	CF_3	H	H	C_3H_7	bond	G11	oil
7307	O	Cl	CF_3	H	H	C_3H_7	bond	G11	-
7308	CH_2	Cl	OCH_3	H	H	C_3H_7	bond	G11	-
7309	CH_2	Cl	OCF_3	H	H	C_3H_7	bond	G11	-
7310	CH_2	CH_3	OCH_3	Cl	H	C_3H_7	bond	G11	-
7311	CH_2	Cl	Cl	H	CH_3	C_3H_7	bond	G11	-
7312	CH_2	CF_3	OCH_3	H	H	C_3H_7	bond	G11	-
7313	CH_2	Cl	Cl	H	H	C_6H_5	bond	G11	156-157
7314	O	Cl	Cl	H	H	C_6H_5	bond	G11	-
7315	CH_2	Cl	CF_3	H	H	C_6H_5	bond	G11	150-151

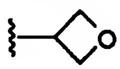
7316	O	Cl	CF_3	H	H	C_6H_5	bond	G11	-
7317	CH_2	Cl	OCH_3	H	H	C_6H_5	bond	G11	-
7318	CH_2	Cl	OCF_3	H	H	C_6H_5	bond	G11	-
7319	CH_2	CH_3	OCH_3	Cl	H	C_6H_5	bond	G11	-
7320	CH_2	Cl	Cl	H	CH_3	C_6H_5	bond	G11	-
7321	CH_2	CF_3	OCH_3	H	H	C_6H_5	bond	G11	-
7322	CH_2	Cl	Cl	H	H	C_2H_5	bond	G12	-
7323	O	Cl	Cl	H	H	C_2H_5	bond	G12	-
7324	CH_2	Cl	CF_3	H	H	C_2H_5	bond	G12	oil
7325	O	Cl	CF_3	H	H	C_2H_5	bond	G12	-
7326	CH_2	Cl	OCH_3	H	H	C_2H_5	bond	G12	-
7327	CH_2	Cl	OCF_3	H	H	C_2H_5	bond	G12	-
7328	CH_2	CH_3	OCH_3	Cl	H	C_2H_5	bond	G12	-
7329	CH_2	Cl	Cl	H	CH_3	C_2H_5	bond	G12	-
7330	CH_2	CF_3	OCH_3	H	H	C_2H_5	bond	G12	-
7331	CH_2	Cl	Cl	H	H	C_3H_7	bond	G12	-
7332	O	Cl	Cl	H	H	C_3H_7	bond	G12	-
7333	CH_2	Cl	CF_3	H	H	C_3H_7	bond	G12	-
7334	O	Cl	CF_3	H	H	C_3H_7	bond	G12	-
7335	CH_2	Cl	OCH_3	H	H	C_3H_7	bond	G12	-
7336	CH_2	Cl	OCF_3	H	H	C_3H_7	bond	G12	-
7337	CH_2	CH_3	OCH_3	Cl	H	C_3H_7	bond	G12	-
7338	CH_2	Cl	Cl	H	CH_3	C_3H_7	bond	G12	-
7339	CH_2	CF_3	OCH_3	H	H	C_3H_7	bond	G12	-
7340	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G12	-
7341	O	Cl	Cl	H	H	c-C_3H_5	bond	G12	-
7342	CH_2	Cl	CF_3	H	H	c-C_3H_5	bond	G12	128-130
7343	O	Cl	CF_3	H	H	c-C_3H_5	bond	G12	-
7344	CH_2	Cl	OCH_3	H	H	c-C_3H_5	bond	G12	-
7345	CH_2	Cl	OCF_3	H	H	c-C_3H_5	bond	G12	-
7346	CH_2	CH_3	OCH_3	Cl	H	c-C_3H_5	bond	G12	-
7347	CH_2	Cl	Cl	H	CH_3	c-C_3H_5	bond	G12	-
7348	CH_2	CF_3	OCH_3	H	H	c-C_3H_5	bond	G12	-
7349	CH_2	Cl	CF_3	H	H	c-C_3H_5	bond	G13	oil
7350	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G13	-
7351	CH_2	Cl	CF_3	H	H	c-C_3H_5	bond	G7	oil
7352	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G7	oil
7353	CH_2	Cl	CF_3	H	H	CH_3	bond	G7	-

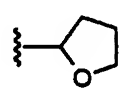
7354	CH_2	Cl	Cl	H	H	CH_3	bond	G7	-
7355	CH_2	CH_3	OCH_3	CH_3	H	CH_3	bond	G7	oil
7356	CH_2	CH_3	OCH_3	CH_3	H	C_3H_7	bond	G7	oil
7357	CH_2	CF_3	OCH_3	H	H	C_3H_7	bond	G7	oil
7358	CH_2	CH_3	OCH_3	CH_3	H	C_4H_9	bond	G7	oil
7359	CH_2	Cl	Cl	H	CH_3	c-C_3H_5	bond	G7	156-158
7360	CH_2	CF_3	OCH_3	H	H	CH_3	bond	G8	oil
7361	CH_2	CH_3	OCH_3	OCH_3	H	C_2H_5	bond	G10	oil
7362	O	Cl	Cl	H	H	CH_3	bond	G1	-
7363	O	Cl	CF_3	H	H	CH_3	bond	G1	-
7364	CH_2	Cl	OCF_3	H	H	CH_3	bond	G1	-
7365	CH_2	CH_3	OCH_3	Cl	H	CH_3	bond	G1	-
7366	CH_2	Cl	Cl	H	CH_3	CH_3	bond	G1	-
7367	CH_2	CF_3	OCH_3	H	H	CH_3	bond	G1	-
7368	CH_2	CH_3	OCH_3	F	H	CH_3	bond	G1	-
7369	O	Cl	Cl	H	H	C_2H_5	bond	G1	-
7370	O	Cl	CF_3	H	H	C_2H_5	bond	G1	-
7371	CH_2	Cl	OCF_3	H	H	C_2H_5	bond	G1	-
7372	CH_2	CH_3	OCH_3	Cl	H	C_2H_5	bond	G1	-
7373	CH_2	Cl	Cl	H	CH_3	C_2H_5	bond	G1	-
7374	CH_2	CF_3	OCH_3	H	H	C_2H_5	bond	G1	-
7375	CH_2	CH_3	OCH_3	F	H	C_2H_5	bond	G1	-
7376	O	Cl	Cl	H	H	C_3H_7	bond	G1	-
7377	O	Cl	CF_3	H	H	C_3H_7	bond	G1	-
7378	CH_2	Cl	OCF_3	H	H	C_3H_7	bond	G1	-
7379	CH_2	CH_3	OCH_3	Cl	H	C_3H_7	bond	G1	-
7380	CH_2	Cl	Cl	H	CH_3	C_3H_7	bond	G1	-
7381	CH_2	CF_3	OCH_3	H	H	C_3H_7	bond	G1	-
7382	CH_2	CH_3	OCH_3	F	H	C_3H_7	bond	G1	-
7383	O	Cl	Cl	H	H	c-C_3H_5	bond	G1	-
7384	O	Cl	CF_3	H	H	c-C_3H_5	bond	G1	-
7385	CH_2	Cl	OCF_3	H	H	c-C_3H_5	bond	G1	-
7386	CH_2	CH_3	OCH_3	Cl	H	c-C_3H_5	bond	G1	-
7387	CH_2	Cl	Cl	H	CH_3	c-C_3H_5	bond	G1	-
7388	CH_2	CF_3	OCH_3	H	H	c-C_3H_5	bond	G1	-
7389	CH_2	CH_3	OCH_3	F	H	c-C_3H_5	bond	G1	-
7390	CH_2	Cl	CF_3	H	H	c-C_3H_5	bond	G14	oil
7391	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G14	-

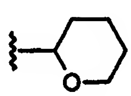
7391	CH_2	Cl	CF_3	H	H	c-C_3H_5	bond	G15	oil
7392	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G15	-
7393	CH_2	Cl	CF_3	H	H	c-C_3H_5	bond	G16	139-140
7394	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G16	-
7395	CH_2	Cl	CF_3	H	H	c-C_3H_5	bond	G17	-
7396	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G17	oil
7397	CH_2	Cl	CF_3	H	H	c-C_3H_5	bond	G18	-
7398	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G18	oil
7399	CH_2	Cl	Cl	H	CH_3	CH_3	bond	G8	oil
7400	CH_2	Cl	CF_3	H	H	c-C_3H_5	bond	G19	-
7401	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G19	oil
7402	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G20	oil
7403	CH_2	Cl	CF_3	H	H	c-C_3H_5	bond	G20	-
7404	CH_2	Cl	Cl	H	H	C_4H_9	bond	G1	oil
7405	CH_2	Cl	Cl	H	H	C_6H_5	C=O	C_6H_5	oil
7406	CH_2	Cl	Cl	H	H	C_6H_5	C=O	G21	oil
7407	CH_2	Cl	Cl	H	H	C_6H_5	C=O	G22	oil
7408	CH_2	Cl	Cl	H	H	4-F-$C_6H_4CH_2$	C=O	CH_3	oil
7409	CH_2	Cl	Cl	H	H	c-C_3H_5	bond	G23	oil

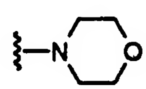
Key:

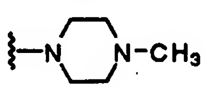
(a) G groups:

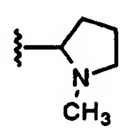
G1 = 

G2 = 

G3 = 

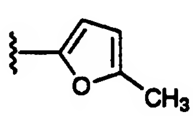
G4 = 

G5 = 

G6 = 

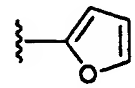
G7 = $CH{=}CH_2$

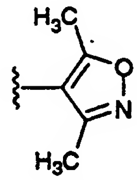
G8 = *E*-$CH{=}CH{-}CH_3$

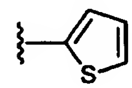
G9 = 

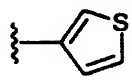
G10 = $-C{\equiv}CH$

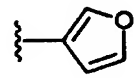
G11= $-C\equiv CCH_3$

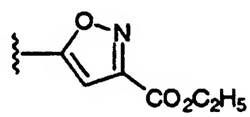
G12= 

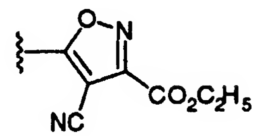
G13= 

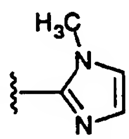
G14= 

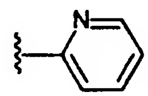
G15= 

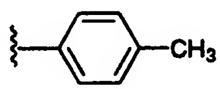
G16= 

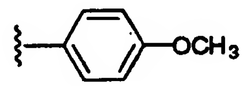
G17= 

G18= 

G19= 

G20= 

G21= 

G22= 

(b) Where a compound is indicated as an "oil", spectral data is provided as follows:

Example 7056 spectral data: MS (ESI): m/e 363 (M+2), 361 (M^+, 100%).

Example 7086 spectral data: TLC R_f 0.25 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.91 (1H, s), 7.72 (1H, d, J = 9.2 Hz), 6.90-6.84 (2H, m), 6.08 (1H, ddq, J = 15.4 Hz, 6.6H, 1.4 Hz), 5.67 (1H, dqd, J = 15.4 Hz, 6.5H, 1.5 Hz), 5.24 (1H, br pentet, J = 7.0 Hz), 3.85 (3H, s), 2.96 (2H, dq, J = 7.5, 1.1 Hz), 2.47 (3H, s), 1.81 (3H, d, J = 7.0 Hz), 1.73 (3H, dt, J = 6.2, 1.3 Hz), 1.41 (3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* 339 (3), 338 (23), 337 (100).

Example 7116 spectral data: TLC R_f 0.15 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.96 (1H, s), 7.68 (1H, d, J = 8.4 Hz), 7.09 (1H, d, J = 2.6 Hz), 6.96 (1H, dd, J = 8.4, 2.6 Hz), 6.09 (1H, ddq, J = 15.4 Hz, 6.6H, 1.8 Hz), 5.67 (1H, dqd, J = 15.4 Hz, 6.5H, 1.4 Hz), 5.23 (1H, br pentet, J = 6.8 Hz), 3.87 (3H, s), 2.98 (2H, q, J = 7.5 Hz), 1.82 (3H, d, J = 7.0 Hz), 1.73 (3H, dt, J = 6.6, 1.3 Hz), 1.40 (3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* 360 (7), 359 (33), 358 (23), 357 (100).

Example 7145 spectral data: m.p. 78-79 °C. TLC R_f 0.52 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 9.01 (1H, s), 7.86-7.81 (2H, m), 7.68 (1H, d, J = 8.0 Hz), 6.38 (2H, ddd, J = 17.2 Hz, 10.6H, 5.8 Hz), 5.90-5.83 (1H, m), 5.40 (2H, dd, J = 10.6, 1.3 Hz), 5.29 (2H, dt, J = 17.2, 0.9 Hz), 2.97 (2H, q, J = 7.6 Hz), 1.41 (3H, t, J = 7.6 Hz). MS (NH_3-CI): *m/e* 396 (8), 395 (36), 394 (25), 393 (100). Analysis calculated for $C_{19}H_{16}ClF_3N_4$: C, 58.10; H, 4.12; N, 14.26; found: C, 58.14; H, 4.28; N, 13.74.

Example 7146 spectral data: TLC R_f 0.43 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.99 (1H, s), 7.84-7.79 (2H, m), 7.67 (1H, dd, J = 8.5, 1.1 Hz), 6.10 (1H, ddq, J = 15.4 Hz, 6.8H, 1.8 Hz), 5.70 (1H, dqd, J = 15.4 Hz, 6.5H, 1.1 Hz), 5.24 (1H, pentet, J = 7.0 Hz), 2.99 (2H, q, J = 7.5 Hz), 1.83 (3H, d, J = 7.0 Hz), 1.74 (3H, dt, J = 6.6, 1.3 Hz), 1.40 (3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* 398 (7), 397 (36), 396 (25), 395 (100).

Example 7231 spectral data: m.p. 78-88 °C. TLC R_f 0.55 (50:50 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): Major isomer: δ 8.90 (1H, s), 6.95 (2H, s), 4.68-3.05 (6H, m), 3.02-2.92 (2H, m), 2.70-2.55 (2H, m), 2.32 (3H, s), 2.20-2.00 (2H, m), 2.05 (3H, s), 1.96 (3H, s), 1.70-1.45 (4H, m), 1.39 (3H, t, J = 7.7 Hz), 0.93 (3H, t, J = 7.3 Hz); Minor isomer: δ 8.89 (1H, s), 6.95 (2H, s), 4.68-3.05 (6H, m), 3.02-2.92 (2H, m), 2.70-2.55 (2H, m), 2.32 (3H, s), 2.20-2.00 (2H, m), 2.06 (3H, s), 2.01 (3H, s), 1.70-1.45 (4H, m), 1.38 (3H, t, J = 7.7 Hz), 0.90 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{25}H_{35}N_4O_2$: 423.2760, found 423.2748; 425 (5), 424 (29), 423 (100). Analysis calc'd for $C_{25}H_{34}N_4O_2 \cdot H_2O$: C, 68.15; H, 8.24; N, 12.72; found: C, 67.80; H, 7.89; N, 12.24.

Example 7234 spectral data: TLC R_f 0.46 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 8.99 (1H, s), 7.87 (1H, d, J = 8.0 Hz), 7.83 (1H, s), 7.68 (1H, d, J = 8.0 Hz), 6.50 (1H, d, J = 3.0 Hz), 5.99 (1H, d, J = 3.0 Hz), 5.10 (1H, d, J = 10.6 Hz), 2.99-2.79 (2H, m), 2.20 (3H, s), 2.10-2.00 (1H, m), 1.30 (3H, t, J = 7.5 Hz), 1.00-0.90 (1H, m), 0.71-0.59 (2H, m), 0.56-0.46 (1H, m). MS (NH_3-CI): *m/e* 463 (35), 461 (100).

Example 7241 spectral data: MS (NH_3-CI): m/e 371 ($M+H^+$, 100%).

Example 7243 spectral data: TLC R_f 0.43 (30:70 ethyl acetate-hexane). ^{1}H NMR (300 MHz, $CDCl_3$): δ 9.01 (1H, s), 7.85 (1H, d, J = 8.0 Hz), 7.83 (1H, s), 7.69 (1H, d, J = 8.0 Hz), 5.24 (1H, dd, J = 8.4, 2.5 Hz), 3.28 (1H, dq, J = 15.5, 7.5 Hz), 3.14 (1H, dq, J = 15.5, 7.5 Hz), 2.56 (1H, d, J = 2.5 Hz), 1.78-1.67 (1H, m), 1.48 (3H, t, J = 7.5 Hz), 0.92-0.81 (2H, m),

0.66-0.49 (2H, m). MS (NH_3-CI): *m/e* calculated for $C_{20}H_{17}ClF_3N_4$: 405.1094, found 405.1098; 408 (8), 407 (34), 406 (25), 405 (100).

Example 7249 spectral data: TLC R_f 0.19 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.93 (1H, s), 7.72 (1H, d, J = 8.5 Hz), 7.37 (1H, d, J = 2.5 Hz), 7.18 (1H, dd, J = 8.5, 2.5 Hz), 5.23 (1H, dd, J = 8.1, 2.6 Hz), 3.92 (3H, s), 3.31-3.04 (2H, m), 2.54 (1H, d, J = 2.6 Hz), 1.76-1.64 (1H, m), 1.47 (3H, t, J = 7.5 Hz), 0.90-0.80 (2H, m), 0.64-0.52 (2H, m). MS (NH_3-CI): *m/e* calc'd for $C_{21}H_{20}F_3N_4O$: 401.1603, found 401.1602; 403 (6), 402 (24), 401 (100).

Example 7250 spectral data: TLC R_f 0.17 (20:80 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 9.01 (1H, s), 7.67 (1H, d, J = 8.5 Hz), 7.58 (1H, d, J = 1.8 Hz), 7.41 (1H, dd, J = 8.5, 1.8 Hz), 5.53 (1H, dt, J = 8.0, 2.6 Hz), 3.20 (1H, dq, J = 15.8, 7.5 Hz), 3.05 (1H, dq, J = 15.8, 7.5 Hz), 2.55 (1H, d, J = 2.6 Hz), 2.42-2.29 (1H, m), 2.28-2.15 (1H, m), 1.46 (3H, t, J = 7.5 Hz), 1.04 (3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{18}H_{17}Cl_2N_4$: 359.0830, found 359.0835; 364 (2), 363 (12), 362 (14), 361 (67), 360 (24), 359 (100).

Example 7259 spectral data: TLC R_f 0.22 (20:80 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 9.01 (1H, s), 7.67 (1H, d, J = 8.1 Hz), 7.58 (1H, d, J = 1.8 Hz), 7.40 (1H, dd, J = 8.1, 1.8 Hz), 5.63 (1H, dt, J = 7.9, 2.5 Hz), 3.20 (1H, dq, J = 15.7, 7.7 Hz), 3.05 (1H, dq, J = 15.7, 7.7 Hz), 2.54 (1H, d, J = 2.5 Hz), 2.37-2.24 (1H, m), 2.19-2.06 (1H, m), 1.60-1.45 (1H, m), 1.46 (3H, t, J = 7.7 Hz), 1.39-1.25 (1H, m), 0.99 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{19}H_{19}Cl_2N_4$: 373.0987, found 373.0984; 378 (3), 377 (12), 376 (15), 375 (66), 374 (26), 373 (100).

Example 7261 spectral data: TLC R_f 0.52 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 9.03 (1H, s), 7.84 (2H, m), 7.68 (1H, dd, J = 7.3, 0.7 Hz), 5.65 (1H, dt, J = 8.1, 2.6 Hz), 3.24-3.02 (2H, m), 2.55 (1H, d, J = 2.6 Hz), 2.33-2.25 (1H, m), 2.20-2.12 (1H, m), 1.46 (3H, t, J = 7.5 Hz), 1.00 (3H, t, J = 7.3 Hz). MS (NH_3-CI): m/e calc'd for $C_{20}H_{19}ClF_3N_4$: 407.1250, found 407.1243; 410 (8), 409 (36), 408 (25), 407 (100).

Example 7266 spectral data: TLC R_f 0.19 (20:80 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 9.01 (1H, d, J = 1.5 Hz), 7.38 (1H, d, J = 1.8 Hz), 7.24 (1H, d, J = 1.8 Hz), 5.70-5.58 (1H, m), 3.24-3.00 (2H, m), 2.55 (1H, d, J = 2.5 Hz), 2.40-2.25 (1H, m), 2.20-2.05 (1H, m), 2.10 (3H, d, J = 1.8 Hz), 1.62-1.47 (1H, m), 1.43 (3H, t, J = 7.5 Hz), 1.42-

1.27 (1H, m), 1.00 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{20}H_{21}Cl_2N_4$: 387.1143, found 387.1144; 392 (3), 391 (12), 390 (16), 389 (66), 388 (27), 387 (100).

Example 7268 spectral data: TLC R_f 0.29 (20:80 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 9.01 (1H, s), 7.67 (1H, d, J = 8.5 Hz), 7.58 (1H, d, J = 2.2 Hz), 7.41 (1H, dd, J = 8.5, 2.2 Hz), 5.60 (1H, dt, J = 7.9, 2.6 Hz), 3.19 (1H, dq, J = 15.3, 7.3 Hz), 3.05 (1H, dq, J = 15.3, 7.3 Hz), 2.54 (1H, d, J = 2.6 Hz), 2.38-2.23 (1H, m), 2.20-2.05 (1H, m), 1.58-1.44 (1H, m), 1.46 (3H, t, J = 7.3 Hz), 1.40-1.23 (5H, m), 0.87 (3H, t, J = 7.0 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{21}H_{23}Cl_2N_4$: 401.1300, found 401.1300; 406 (3), 405 (13), 404 (17), 403 (69), 402 (28), 401 (100).

Example 7270 spectral data: TLC R_f 0.60 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 9.03 (1H, s), 7.84 (2H, m), 7.68 (1H, dd, J = 9.1, 0.7 Hz), 5.62 (1H, dt, J = 8.1, 2.6 Hz), 3.24-3.02 (2H, m), 2.55 (1H, d, J = 2.6 Hz), 2.34-2.27 (1H, m), 2.19-2.13 (1H, m), 1.46 (3H, t, J = 7.3 Hz), 1.40-1.25 (6H, m), 0.88 (3H, t, J = 7.0 Hz). MS (NH_3-CI): m/e calc'd for $C_{22}H_{23}ClF_3N_4$: 435.1563, found 435.1566; 438 (9), 437 (36), 436 (27), 435 (100).

Example 7279 spectral data: TLC R_f 0.31 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.97 (1H, s), 7.84 (2H, m), 7.68 (1H, d, J = 7.7 Hz), 4.74-4.67 (1H, m), 3.45-3.36 (1H, m), 3.03 (2H, q, J = 7.7 Hz), 3.00-2.93 (1H, m), 1.93 (1H, t, J = 2.7 Hz), 1.86 (3H, d, J = 7.0 Hz), 1.43 (3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* 396 (7), 395 (34), 394 (24), 393 (100).

Example 7286 spectral data: TLC R_f 0.29 (20:80 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.97 (1H, s), 7.68 (1H, d, J = 8.4 Hz), 7.58 (1H, d, J = 1.8 Hz), 7.41 (1H, dd, J = 8.4, 1.8 Hz), 5.19 (1H, dq, J = 8.4, 2.6 Hz), 3.26 (1H, dq, J = 15.7, 7.3 Hz), 3.14 (1H, dq, J = 15.7, 7.3 Hz), 1.88 (3H, d, J = 2.6 Hz), 1.70-1.60 (1H, m), 1.47 (3H, t, J = 7.3 Hz), 0.89-0.78 (2H, m), 0.60-0.43 (2H, m). MS (NH_3-CI): *m/e* calc'd for $C_{20}H_{19}Cl_2N_4$: 385.0986, found 385.0992; 390 (3), 389 (12), 388 (15), 387 (66), 386 (26), 385 (100).

Example 7288 spectral data: MS (NH_3-CI): m/e 419 ($M+H^+$, 100%).

Example 7295 spectral data: TLC R_f 0.19 (20:80 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.99 (1H, s), 7.67 (1H, d, J = 8.4 Hz), 7.57 (1H, d, J = 2.2 Hz), 7.40 (1H, dd, J = 8.4, 2.2 Hz), 5.49 (1H, tq, J = 7.7, 2.2 Hz), 3.19 (1H, dq, J = 15.3, 7.7 Hz), 3.05 (1H, dq, J = 15.3, 7.7

Hz), 2.26 (1H, dq, J = 21.3, 7.7 Hz), 2.13 (1H, dq, J = 21.3, 7.7 Hz), 1.87 (3H, d, J = 2.2 Hz), 1.45 (3H, t, J = 7.7 Hz), 1.01 (3H, t, J = 7.7 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{19}H_{19}Cl_2N_4$: 373.0987, found 373.0987; 378 (3), 377 (13), 376 (15), 375 (68), 374 (25), 373 (100).

Example 7297 spectral data: TLC R_F 0.48 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 9.01 (1H, s), 7.83 (2H, m), 7.67 (1H, dd, J = 7.4, 0.8 Hz), 5.51 (1H, dt, J = 8.1, 2.2 Hz), 3.25-3.03 (2H, m), 2.35-2.13 (2H, m), 1.88 (3H, d, J = 2.2 Hz), 1.45 (3H, t, J = 7.5 Hz), 1.01 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{20}H_{19}ClF_3N_4$: 407.1250, found 407.1267; 410 (8), 409 (35), 408 (25), 407 (100).

Example 7306 spectral data: MS (NH_3-CI): m/e 421 ($M+H^+$, 100%).

Example 7324 spectral data: TLC R_F 0.38 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.99 (1H, s), 7.84 (1H, d, J = 8.4 Hz), 7.83 (1H, d, J = 1.8 Hz), 7.68 (1H, dd, J = 8.4, 1.8 Hz), 7.36 (1H, d, J = 3 Hz), 6.51 (1H, d, J = 5 Hz), 6.39 (1H, dd, J = 5, 3 Hz), 5.78 (1H, dd, J = 9, 7 Hz), 3.00-2.85 (2H, m), 2.75-2.52 (2H, m), 1.37 (3H, t, J = 7.5 Hz), 0.98 (3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* 439 (1), 438 (8), 437 (34), 436 (26), 435 (100).

Example 7349 spectral data: TLC R_F 0.20 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 9.00 (1H, s), 7.87 (1H, d, J = 8.0 Hz), 7.83 (1H, s), 7.69 (1H, d, J = 8.0 Hz), 5.01 (1H, d, J = 10.6 Hz), 2.93 (1H, dq, J = 15.9, 7.5 Hz), 2.75 (1H, dq, J = 15.9, 7.5 Hz), 2.58 (3H, s), 2.04-1.94 (1H, m), 1.93 (3H, s), 1.33 (3H, t, J = 7.5 Hz), 1.32-1.22 (1H, m), 1.00-0.87 (1H, m), 0.74-0.60 (3H, m). MS (NH_3-CI): *m/e* calculated for $C_{23}H_{22}ClF_3N_5O$: 476.1465, found 476.1469; 478 (35), 476 (100).

Example 7351 spectral data: TLC R_F 0.44 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.99 (1H, s), 7.88-7.82 (2H, m), 7.68 (1H, d, J = 8.0 Hz), 6.35 (1H, ddd, J = 17.2 Hz, 10.6H, 5.1 Hz), 5.33 (1H, br d, J = 10.6 Hz), 5.26 (1H, br d, J = 17.2 Hz), 4.43-4.37 (1H, m), 3.02-2.90 (2H, m), 1.99-1.89 (1H, m), 1.41 (3H, t, J = 7.5 Hz), 0.94-0.84 (1H, m), 0.62-0.52 (2H, m), 0.40-0.30 (1H, m). MS (NH_3-CI): *m/e* 411 (1), 410 (7), 409 (34), 408 (25), 407 (100).

Example 7352 spectral data: TLC R_F 0.13 (20:80 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.96 (1H, s), 7.69 (1H, d, J = 8.4 Hz), 7.58 (1H, d, J = 2.2 Hz), 7.41 (1H, dd, J = 8.8, 2.2 Hz), 6.33 (1H, ddd, J = 17.2, 10.6, 5.2 Hz), 5.35-5.20 (2H, m), 4.42-4.35 (1H, m), 3.03-2.88 (2H, m), 2.00-1.89 (1H, m), 1.40 (3H, t, J = 7.6 Hz), 0.92-0.82 (1H, m), 0.62-0.52 (2H, m), 0.40-0.30 (1H, m). MS (NH_3-CI): *m/e* calc'd for $C_{19}H_{19}Cl_2N_4$:

373.1000, found 373.0995; 378 (3), 377 (12), 376 (15), 375 (66), 374 (26), 373 (100).

Example 7355 spectral data: MS (NH_3-CI): m/e 337 ($M+H^+$, 100%).

Example 7356 spectral data: MS (NH_3-CI): m/e 365 ($M+H^+$, 100%).

Example 7357 spectral data: TLC R_f 0.19 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.91 (1H, s), 7.70 (1H, d, J = 8.4 Hz), 7.35 (1H, d, J = 2.6 Hz), 7.19 (1H, dd, J = 8.4, 2.6 Hz), 6.42 (1H, ddd, J = 16.9, 10.3, 6.6 Hz), 5.27 (1H, d, J = 10.2 Hz), 5.14 (1H, d, J = 17.3 Hz), 5.08-4.99 (1H, m), 3.91 (3H, s), 2.99-2.90 (2H, m), 2.42-2.29 (1H, m), 2.27-2.15 (1H, m), 1.39 (3H, t, J = 7.5 Hz), 1.38-1.10 (2H, m), 0.95 (3H, t, J = 7.1 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{21}H_{24}F_3N_4O$: 405.1915, found 405.1923; 407 (5), 406 (24), 405 (100). Analysis calc'd for $C_{21}H_{23}F_3N_4O$: C, 62.37; H, 5.73; N, 13.85; found: C, 62.42; H, 5.73; N, 13.48.

Example 7358 spectral data: MS (NH_3-CI): m/e 379 ($M+H^+$, 100%).

Example 7360 spectral data: TLC R_f 0.13 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.91 (1H, s), 7.68 (1H, d, J = 8.8 Hz), 7.35 (1H, d, J = 2.6 Hz), 7.16 (1H, dd, J = 8.8, 2.6 Hz), 6.15-6.05 (1H, m), 5.73-5.63 (1H, m), 5.28-5.18 (1H, m), 3.91 (3H, s), 2.96 (2H, q, J = 7.4 Hz), 1.82 (3H, d, J = 7.3 Hz), 1.74 (3H, dt, J = 6.6, 1.3 Hz), 1.39 (3H, t, J = 7.4 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{20}H_{22}F_3N_4O$: 391.1733, found 391.1736; 393 (3), 392 (23), 391 (100).

Example 7361 spectral data: TLC R_f 0.43 (50:50 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.96 (1H, s), 7.42 (1H, s), 6.84 (1H, s), 5.55 (1H, dt, J = 5.5, 2.2 Hz), 3.94 (3H, s), 3.92 (3H, s), 3.49-2.98 (2H, m), 2.54 (1H, d, J = 2.6 Hz), 2.45 (3H, s), 2.35-2.16 (2H, m), 1.48 (3H, t, J = 7.5 Hz), 1.03 (3H, t, J = 7.5 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{21}H_{25}N_4O_2$: 365.1978, found 365.1966; 367 (6), 366 (24), 365 (100).

Example 7390 spectral data: TLC R_f 0.45 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.99 (1H, s), 7.88 (1H, d, J = 8.0 Hz), 7.83 (1H, s), 7.69 (1H, d, J = 8.0 Hz), 7.30-7.22 (1H, m), 7.07-7.01 (1H, m), 6.99-6.92 (1H, m), 5.25 (1H, d, J = 10.2 Hz), 2.97-2.78 (2H, m), 2.23 (1H, br), 1.32 (3H, t, J = 7.3 Hz), 1.10-1.00 (1H, m), 0.81-0.71 (1H, m), 0.64-0.54 (1H, m), 0.50-0.40 (1H, m). MS (NH_3-CI): *m/e* calc'd for $C_{22}H_{19}ClF_3N_4S$: 463.0971, found 463.0960; 467 (3), 466 (10), 465 (99), 464 (28), 463 (100).

Example 7392 spectral data: TLC R_f 0.44 (30:70 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.99 (1h, s), 7.88 (1H, d, J = 8.0 Hz), 7.83 (1H,

s), 7.68 (1H, d, J = 8.0 Hz), 7.30 (1H, br d, J = 4.8 Hz), 7.18 (1H, br d, J = 4.8 Hz), 6.92 (1H, m), 5.12 (1H, d, J = 9.9 Hz), 2.92-2.67 (2H, m), 2.13 (1H, br), 1.28 (3H, t, J = 7.5 Hz), 1.08-0.99 (1H, m), 0.79-0.69 (1H, m), 0.55-0.45 (2H, m). MS (NH_3-CI): *m/e* calculated for $C_{22}H_{19}ClF_3N_4S$: 463.0971, found 463.0953; 467 (3), 466 (10), 465 (39), 464 (29), 463 (100).

Example 7396 spectral data: TLC R_F 0.27 (20:80 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.96 (1H, s), 7.67 (1H, d, J = 8.1 Hz), 7.58 (1H, d, J = 1.8 Hz), 7.41 (1H, dd, J = 8.1, 1.8 Hz), 6.86 (1H, s), 5.83 (1H, dd, J = 9.9, 6.2 Hz), 4.43 (2H, q, J = 7.3 Hz), 2.98 (2H, q, J = 7.7 Hz), 2.91-2.78 (1H, m), 2.63-2.49 (1H, m), 1.42 (3H, t, J = 7.7 Hz), 1.40 (3H, t, J = 7.3 Hz), 1.39-1.19 (2H, m), 1.00 (3H, t, J = 7.3 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{23}H_{24}Cl_2N_5O_3$: 488.1256, found 488.1252; 493 (3), 492 (13), 491 (18), 490 (68), 489 (28), 488 (100).

Example 7398 spectral data: TLC R_F 0.11 (20:80 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.99 (1H, s), 7.72 (1H, d, J = 8.1 Hz), 7.59 (1H, d, J = 1.8 Hz), 7.42 (1H, dd, J = 8.1, 1.8 Hz), 5.40 (1H, dd, J = 10.4, 5.0 Hz), 4.42 (2H, q, J = 7.4 Hz), 3.00-2.90 (2H, m), 2.66-2.52 (1H, m), 2.51-2.38 (1H, m), 1.46 (3H, t, J = 7.4 Hz), 1.41 (3H, t, J = 7.3 Hz), 1.40-1.10 (2H, m), 0.98 (3H, t, J = 7.2 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{24}H_{25}Cl_2N_6O_4$: 531.1315, found 531.1315; 531 (100).

Example 7399 spectral data: TLC R_F 0.13 (20:80 ethyl acetate-hexane). ^1H NMR (300 MHz, $CDCl_3$): δ 8.98 (1H, s), 7.38 (1H, d, J = 1.8 Hz), 7.23 (1H, d, J = 1.8 Hz), 6.15-6.06 (1H, m), 5.76-5.63 (1H, m), 5.26-5.20 (1H, m), 2.96 (2H, q, J = 7.4 Hz), 2.10 (3H, s), 1.83 (3H, d, J = 7.0 Hz), 1.74 (3H, d, J = 6.6 Hz), 1.37 (3H, t, J = 7.4 Hz). MS (NH_3-CI): *m/e* calc'd for $C_{19}H_{21}Cl_2N_4$: 375.1117, found 375.1123; 380 (2), 379 (12), 378 (15), 377 (66), 376 (26), 375 (100).

Example 7401 spectral data: TLC R_F 0.20 (ethyl acetate). ^1H NMR (300 MHz, $CDCl_3$): δ 8.99 (1H, s), 7.71 (1H, d, J = 8.4 Hz), 7.58 (1H, d, J = 1.8 Hz), 7.41 (1H, dd, J = 8.4, 1.8 Hz), 7.11 (1H, d, J = 1.1 Hz), 6.87 (1H, d, J = 1.1 Hz), 5.41 (1H, d, J = 10.3 Hz), 3.34 (3H, s), 3.08 (1H, dq, J = 15.8, 7.7 Hz), 2.89 (1H, dq, J = 15.8, 7.7 Hz), 2.39-2.25 (1H, m), 1.14 (3H, t, J = 7.7 Hz), 1.07-0.97 (1H, m), 0.70-0.58 (2H, m), 0.52-0.42 (1H, m). MS (NH_3-CI): *m/e* calc'd for $C_{21}H_{21}Cl_2N_6$: 427.1205, found 427.1196; 429 (66), 427 (100).

Example 7402 spectral data: MS (NH_3-CI): m/e 424 ($M+H^+$, 100%).

Example 7404 spectral data: MS (NH_3-CI): m/e 419 ($M+H^+$, 100%).

Example 7405 spectral data: MS (NH_3-CI): m/e 487 ($M+H^+$, 100%).

Example 7406 spectral data: MS (NH_3-CI): m/e 501 ($M+H^+$, 100%).

Example 7407 spectral data: MS (NH_3-CI): m/e 517 ($M+H^+$, 100%).

Example 7408 spectral data: MS (NH_3-CI): m/e 457 ($M+H^+$, 100%).

Example 7409 spectral data: MS (NH_3-CI): m/e 429 ($M+H^+$, 100%).

Utility

CRF-R1 Receptor Binding Assay for the Evaluation of Biological Activity

The following is a description of the isolation of cell membranes containing cloned human CRF-R1 receptors for use in the standard binding assay as well as a description of the assay itself.

Messenger RNA was isolated from human hippocampus. The mRNA was reverse transcribed using oligo (dt) 12-18 and the coding region was amplified by PCR from start to stop codons The resulting PCR fragment was cloned into the EcoRV site of pGEMV, from whence the insert was reclaimed using XhoI + XbaI and cloned into the XhoI + XbaI sites of vector pm3ar (which contains a CMV promoter, the SV40 't' splice and early poly A signals, an Epstein-Barr viral origin of replication, and a hygromycin selectable marker). The resulting expression vector, called phchCRFR was transfected in 293EBNA cells and cells retaining the episome were selected in the presence of 400 mM hygromycin. Cells surviving 4 weeks of selection in hygromycin were pooled, adapted to growth in suspension and used to generate membranes for the binding assay described below. Individual aliquots containing approximately 1 x 10^8 of the suspended cells were then centrifuged to form a pellet and frozen.

For the binding assay a frozen pellet described above containing 293EBNA cells transfected with hCRFR1 receptors is homogenized in 10 mL of ice cold tissue buffer (50 mM HEPES buffer pH 7.0, containing 10 mM $MgCl_2$, 2 mM EGTA, 1 mg/L

aprotinin, 1 mg/mL leupeptin and 1 mg/mL pepstatin). The homogenate is centrifuged at 40,000 x g for 12 min and the resulting pellet rehomogenized in 10 mL of tissue buffer. After another centrifugation at 40,000 x g for 12 min, the pellet is resuspended to a protein concentration of 360 mg/mL to be used in the assay.

Binding assays are performed in 96 well plates; each well having a 300 mL capacity. To each well is added 50 mL of test drug dilutions (final concentration of drugs range from 10^{-10} to 10^{-5} M), 100 mL of ^{125}I-ovine-CRF (^{125}I-o-CRF) (final concentration 150 pM) and 150 mL of the cell homogenate described above. Plates are then allowed to incubate at room temperature for 2 hours before filtering the incubate over GF/F filters (presoaked with 0.3% polyethyleneimine) using an appropriate cell harvester. Filters are rinsed 2 times with ice cold assay buffer before removing individual filters and assessing them for radioactivity on a gamma counter.

Curves of the inhibition of ^{125}I-o-CRF binding to cell membranes at various dilutions of test drug are analyzed by the iterative curve fitting program LIGAND [P.J. Munson and D. Rodbard, *Anal. Biochem.* 107:220 (**1980**), which provides K_i values for inhibition which are then used to assess biological activity.

Alternatively, tissues and cells which naturally express CRF receptors can be employed in binding assays analogous to those described above.

A compound is considered to be active if it has a K_i value of less than about 10000 nM for the inhibition of CRF.

Inhibition of CRF-Stimulated Adenylate Cyclase Activity

Inhibition of CRF-stimulated adenylate cyclase activity can be performed as described by G. Battaglia et al. *Synapse* **1**:572 (**1987**). Briefly, assays are carried out at 37 °C for 10 min in 200 mL of buffer containing 100 mM Tris-HCl (pH 7.4 at 37 °C), 10 mM $MgCl_2$, 0.4 mM EGTA, 0.1% BSA, 1 mM isobutylmethylxanthine (IBMX), 250 units/mL phosphocreatine kinase, 5 mM creatine phosphate, 100 mM

guanosine 5'-triphosphate, 100 nM oCRF, antagonist peptides (concentration range 10^{-9} to 10^{-6} M) and 0.8 mg original wet weight tissue (approximately 40-60 mg protein). Reactions are initiated by the addition of 1 mM ATP/^{32}P]ATP (approximately 2-4 mCi/tube) and terminated by the addition of 100 mL of 50 mM Tris-HCL, 45 mM ATP and 2% sodium dodecyl sulfate. In order to monitor the recovery of cAMP, 1 mL of [^{3}H]cAMP (approximately 40,000 dpm) is added to each tube prior to separation. The separation of [^{32}P]cAMP from [^{32}P]ATP is performed by sequential elution over Dowex and alumina columns.

In vivo Biological Assay

The *in vivo* activity of the compounds of the present invention can be assessed using any one of the biological assays available and accepted within the art. Illustrative of these tests include the Acoustic Startle Assay, the Stair Climbing Test, and the Chronic Administration Assay. These and other models useful for the testing of compounds of the present invention have been outlined in C.W. Berridge and A.J. Dunn *Brain Research Reviews* 15:71 **(1990)**. Compounds may be tested in any species of rodent or small mammal.

Compounds of this invention have utility in the treatment of inbalances associated with abnormal levels of corticotropin releasing factor in patients suffering from depression, affective disorders, and/or anxiety.

Compounds of this invention can be administered to treat these abnormalities by means that produce contact of the active agent with the agent's site of action in the body of a mammal. The compounds can be administered by any conventional means available for use in conjunction with pharmaceuticals either as individual therapeutic agent or in combination of therapeutic agents. They can be administered alone, but will generally be administered with a pharmaceutical carrier selected on the basis of the

chosen route of administration and standard pharmaceutical practice.

The dosage administered will vary depending on the use and known factors such as pharmacodynamic character of the particular agent, and its mode and route of administration; the recipient's age, weight, and health; nature and extent of symptoms; kind of concurrent treatment; frequency of treatment; and desired effect. For use in the treatment of said diseases or conditions, the compounds of this invention can be orally administered daily at a dosage of the active ingredient of 0.002 to 200 mg/kg of body weight. Ordinarily, a dose of 0.01 to 10 mg/kg in divided doses one to four times a day, or in sustained release formulation will be effective in obtaining the desired pharmacological effect.

Dosage forms (compositions) suitable for administration contain from about 1 mg to about 100 mg of active ingredient per unit. In these pharmaceutical compositions, the active ingredient will ordinarily be present in an amount of about 0.5 to 95% by weight based on the total weight of the composition.

The active ingredient can be administered orally is solid dosage forms, such as capsules, tablets and powders; or in liquid forms such as elixirs, syrups, and/or suspensions. The compounds of this invention can also be administered parenterally in sterile liquid dose formulations.

Gelatin capsules can be used to contain the active ingredient and a suitable carrier such as but not limited to lactose, starch, magnesium stearate, steric acid, or cellulose derivatives. Similar diluents can be used to make compressed tablets. Both tablets and capsules can be manufactured as sustained release products to provide for continuous release of medication over a period of time. Compressed tablets can be sugar-coated or film-coated to mask any unpleasant taste, or used to protect the active ingredients from the atmosphere, or to allow selective disintegration of the tablet in the gastrointestinal tract.

Liquid dose forms for oral administration can contain coloring or flavoring agents to increase patient acceptance.

In general, water, pharmaceutically acceptable oils, saline, aqueous dextrose (glucose), and related sugar solutions and glycols, such as propylene glycol or polyethylene glycol, are suitable carriers for parenteral solutions. Solutions for parenteral administration preferably contain a water soluble salt of the active ingredient, suitable stabilizing agents, and if necessary, butter substances. Antioxidizing agents, such as sodium bisulfite, sodium sulfite, or ascorbic acid, either alone or in combination, are suitable stabilizing agents. Also used are citric acid and its salts, and EDTA. In addition, parenteral solutions can contain preservatives such as benzalkonium chloride, methyl- or propyl-paraben, and chlorobutanol.

Suitable pharmaceutical carriers are described in "Remington's Pharmaceutical Sciences", A. Osol, a standard reference in the field.

Useful pharmaceutical dosage-forms for administration of the compounds of this invention can be illustrated as follows:

<u>Capsules</u>

A large number of units capsules are prepared by filling standard two-piece hard gelatin capsules each with 100 mg of powdered active ingredient, 150 mg lactose, 50 mg cellulose, and 6 mg magnesium stearate.

<u>Soft Gelatin Capsules</u>

A mixture of active ingredient in a digestible oil such as soybean, cottonseed oil, or olive oil is prepared and injected by means of a positive displacement was pumped into gelatin to form soft gelatin capsules containing 100 mg of the active ingredient. The capsules were washed and dried.

Tablets

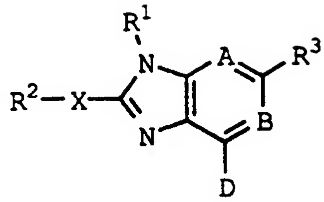
A large number of tablets are prepared by conventional procedures so that the dosage unit was 100 mg active ingredient, 0.2 mg of colloidal silicon dioxide, 5 mg of magnesium stearate, 275 mg of microcrystalline cellulose, 11 mg of starch, and 98.8 mg lactose. Appropriate coatings may be applied to increase palatability or delayed adsorption.

The compounds of this invention may also be used as reagents or standards in the biochemical study of neurological function, dysfunction, and disease.

Although the present invention has been described and exemplified in terms of certain preferred embodiments, other embodiments will be apparent to those skilled in the art. The invention is, therefore, not limited to the particular embodiments described and exemplified, but is capable of modification or variation without departing from the spirit of the invention, the full scope of which is delineated by the appended claims.

WHAT IS CLAIMED IS:

1. A compound of formula (I)



(I)

or a stereoisomer or pharmaceutically acceptable salt form thereof, wherein:

A is N or C-R^7;

B is N or C-R^8;

provided that at least one of the groups A and B is N;

D is an aryl or heteroaryl group attached through an unsaturated carbon atom;

X is selected from the group CH-R^9, N-R^{10}, O, $S(O)_n$ and a bond;

n is 0, 1 or 2;

R^1 is selected from the group C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{3-8} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-4} alkoxy-C_{1-4} alkyl, $-SO_2-C_{1-10}$ alkyl, $-SO_2-R^{1a}$, and $-SO_2-R^{1b}$;

R^1 is substituted with 0-1 substituents selected from the group -CN, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-CO_2R^{13a}$, $-NR^{15a}COR^{13a}$, $-N(COR^{13a})_2$, $-NR^{15a}CONR^{13a}R^{16a}$, $-NR^{15a}CO_2R^{14b}$, $-CONR^{13a}R^{16a}$, 1-morpholinyl, 1-piperidinyl, 1-piperazinyl, and C_{3-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group

selected from the group -O-, $-S(O)_n-$, $-NR^{13a}-$, $-NCO_2R^{14b}-$, $-NCOR^{14b}-$ and $-NSO_2R^{14b}-$, and wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

R^1 is also substituted with 0-3 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, R^{1c}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, I, C_{1-4} haloalkyl, $-OR^{13a}$, $-NR^{13a}R^{16a}$, C_{1-4} alkoxy-C_{1-4} alkyl, and C_{3-8} cycloalkyl which is substituted with 0-1 R^9 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

provided that R^1 is other than:

(a) a cyclohexyl-$(CH_2)_2$- group;

(b) a 3-cyclopropyl-3-methoxypropyl group;

(c) an unsubstituted-(alkoxy)methyl group; and,

(d) a 1-hydroxyalkyl group;

also provided that when R^1 alkyl substituted with OH, then the carbon adjacent to the ring N is other than CH_2;

R^{1a} is aryl and is selected from the group phenyl, naphthyl, indanyl and indenyl, each R^{1a} being substituted with 0-1 $-OR^{17}$ and 0-5 substituents independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, SH, $-S(O)_nR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$;

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl,

isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-onyl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_mR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

R^{1c} is heterocyclyl and is a saturated or partially saturated heteroaryl, each heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{13a}$, SH, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-OC(O)R^{14b}$, $-NR^{15a}COR^{13a}$, $-N(COR^{13a})_2$, $-NR^{15a}CONR^{13a}R^{16a}$, $-NR^{15a}CO_2R^{14b}$, $-NR^{13a}R^{16a}$, and $-CONR^{13a}R^{16a}$ and each heterocyclyl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b} and wherein any sulfur atom is optionally monooxidized or dioxidized;

provided that R^1 is other than a $-(CH_2)_{1-4}$-aryl, $-(CH_2)_{1-4}$-heteroaryl, or $-(CH_2)_{1-4}$-heterocycle, wherein the aryl, heteroaryl, or heterocycle group is substituted or unsubstituted;

R^2 is selected from the group C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{2-4} alkenyl, and C_{2-4} alkynyl and is substituted with

0-3 substituents selected from the group -CN, hydroxy, halo and C_{1-4} alkoxy;

alternatively R^2, in the case where X is a bond, is selected from the group -CN, CF_3 and C_2F_5;

R^3, R^7 and R^8 are independently selected at each occurrence from the group H, Br, Cl, F, I, -CN, C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{1-4} alkoxy, C_{1-4} alkylthio, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, amino, C_{1-4} alkylamino, (C_{1-4} alkyl)$_2$amino and phenyl, each phenyl is substituted with 0-3 groups selected from the group C_{1-7} alkyl, C_{3-8} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} alkylthio, C_{1-4} alkyl sulfinyl, C_{1-4} alkylsulfonyl, C_{1-6} alkylamino and (C_{1-4} alkyl)$_2$amino;

provided that when R^1 is unsubstituted C_{1-10} alkyl, then R^3 is other than substituted or unsubstituted phenyl;

R^9 and R^{10} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-6} cycloalkyl-C_{1-4} alkyl and C_{3-8} cycloalkyl;

R^{13} is selected from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl)-, heteroaryl and heteroaryl(C_{1-4} alkyl)-;

R^{13a} and R^{16a} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{14} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl)-, heteroaryl and heteroaryl(C_{1-4} alkyl)- and benzyl, each

benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy C_{1-4} haloalkoxy, and dimethylamino;

R^{14a} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{14b} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{15} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, phenyl and benzyl, each phenyl or benzyl being substituted on the aryl moiety with 0-3 groups chosen from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{15a} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{17} is selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{1-4} haloalkyl, $R^{14}S(O)_n$-C_{1-4} alkyl, and $R^{17b}R^{19b}N$-C_{2-4} alkyl;

R^{18} and R^{19} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{1-4} haloalkyl;

alternatively, in an $NR^{17}R^{19}$ moiety, R^{17} and R^{19} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

alternatively, in an $NR^{17b}R^{19b}$ moiety, R^{17b} and R^{19b} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

R^{17a} and R^{19a} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} haloalkyl;

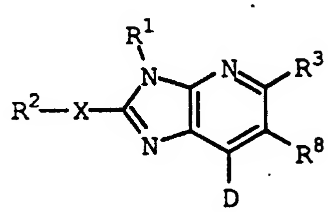
aryl is independently selected at each occurrence from the group phenyl, naphthyl, indanyl and indenyl, each aryl being substituted with 0-5 substituents independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, methylenedioxy, C_{1-4} alkoxy-C_{1-4} alkoxy, $-OR^{17}$, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, $-NO_2$, SH, $-S(O)_nR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CONR^{17}R^{19}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and up to 1 phenyl, each phenyl substituent being substituted with 0-4 substituents selected from the group C_{1-3} alkyl, C_{1-3} alkoxy, Br, Cl, F, I, -CN, dimethylamino, CF_3, C_2F_5, OCF_3, SO_2Me and acetyl;

heteroaryl is independently selected at each occurence from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl,

2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_mR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CONR^{17}R^{19}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15}, CO_2R^{14a}, COR^{14a} and SO_2R^{14a}; and,

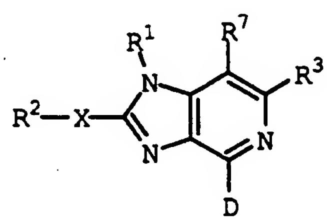
provided that when D is imidazole or triazole, R^1 is other than unsubstituted C_{1-6} linear or branched alkyl or C_{3-6} cycloalkyl.

2. A compound according to Claim 1, wherein the compound is of formula Ia:



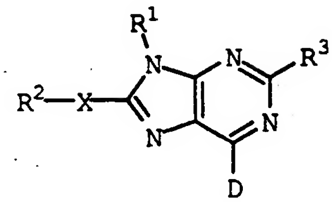
(Ia).

3. A compound according to Claim 1, wherein the compound is of formula Ib:



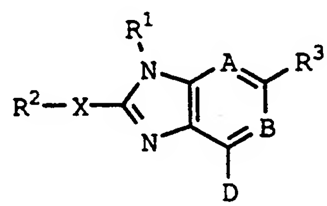
(Ib).

4. A compound according to Claim 1, wherein the compound is of formula Ic:



(Ic).

5. A pharmaceutical composition, comprising: a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of formula (I):



(I)

or a stereoisomer or pharmaceutically acceptable salt form thereof, wherein:

A is N or C-R^7;

B is N or C-R^8;

provided that at least one of the groups A and B is N;

D is an aryl or heteroaryl group attached through an unsaturated carbon atom;

X is selected from the group CH-R^9, N-R^{10}, O, $S(O)_n$ and a bond;

n is 0, 1 or 2;

R^1 is selected from the group C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{3-8} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-4} alkoxy-C_{1-4} alkyl, -SO_2-C_{1-10} alkyl, -SO_2-R^{1a}, and -SO_2-R^{1b};

R^1 is substituted with 0-1 substituents selected from the group -CN, -$S(O)_nR^{14b}$, -COR^{13a}, -CO_2R^{13a}, -$NR^{15a}COR^{13a}$, -$N(COR^{13a})_2$, -$NR^{15a}CONR^{13a}R^{16a}$, -$NR^{15a}CO_2R^{14b}$, -$CONR^{13a}R^{16a}$, 1-morpholinyl, 1-piperidinyl, 1-piperazinyl, and C_{3-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, -$S(O)_n$-, -NR^{13a}-, -NCO_2R^{14b}-, -$NCOR^{14b}$- and -NSO_2R^{14b}-, and wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

R^1 is also substituted with 0-3 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, R^{1c}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, I, C_{1-4} haloalkyl, -OR^{13a}, -$NR^{13a}R^{16a}$, C_{1-4} alkoxy-C_{1-4} alkyl, and C_{3-8} cycloalkyl which is substituted with 0-1 R^9 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

provided that R^1 is other than:

(a) a 3-cyclopropyl-3-methoxypropyl group;
(b) an unsubstituted-(alkoxy)methyl group; and,
(c) a 1-hydroxyalkyl group;

also provided that when R^1 alkyl substituted with OH, then the carbon adjacent to the ring N is other than CH_2;

R^{1a} is aryl and is selected from the group phenyl, naphthyl, indanyl and indenyl, each R^{1a} being substituted with 0-5 substituents independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_nR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$;

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-onyl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_mR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

R^{1c} is heterocyclyl and is a saturated or partially saturated heteroaryl, each heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{13a}$, SH, $-S(O)_nR^{14b}$, $-COR^{13a}$,

$-OC(O)R^{14b}$, $-NR^{15a}COR^{13a}$, $-N(COR^{13a})_2$, $-NR^{15a}CONR^{13a}R^{16a}$, $-NR^{15a}CO_2R^{14b}$, $-NR^{13a}R^{16a}$, and $-CONR^{13a}R^{16a}$ and each heterocyclyl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b} and wherein any sulfur atom is optionally monooxidized or dioxidized;

R^2 is selected from the group C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{2-4} alkenyl, and C_{2-4} alkynyl and is substituted with 0-3 substituents selected from the group -CN, hydroxy, halo and C_{1-4} alkoxy;

alternatively R^2, in the case where X is a bond, is selected from the group -CN, CF_3 and C_2F_5;

R^3, R^7 and R^8 are independently selected at each occurrence from the group H, Br, Cl, F, I, -CN, C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{1-4} alkoxy, C_{1-4} alkylthio, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, amino, C_{1-4} alkylamino, $(C_{1-4}$ alkyl$)_2$amino and phenyl, each phenyl is substituted with 0-3 groups selected from the group C_{1-7} alkyl, C_{3-8} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} alkylthio, C_{1-4} alkyl sulfinyl, C_{1-4} alkylsulfonyl, C_{1-6} alkylamino and $(C_{1-4}$ alkyl$)_2$amino;

provided that when R^1 is unsubstituted C_{1-10} alkyl, then R^3 is other than substituted or unsubstituted phenyl;

R^9 and R^{10} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-6} cycloalkyl-C_{1-4} alkyl and C_{3-8} cycloalkyl;

R^{13} is selected from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl)-, heteroaryl and heteroaryl(C_{1-4} alkyl)-;

R^{13a} and R^{16a} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{14} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl)-, heteroaryl and heteroaryl(C_{1-4} alkyl)- and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy C_{1-4} haloalkoxy, and dimethylamino;

R^{14a} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{14b} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{15} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, phenyl and benzyl, each phenyl or benzyl being substituted on the aryl moiety with 0-3 groups chosen from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{15a} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{17} is selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{1-4} haloalkyl, $R^{14}S(O)_n$-C_{1-4} alkyl, and $R^{17b}R^{19b}N$-C_{2-4} alkyl;

R^{18} and R^{19} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{1-4} haloalkyl;

alternatively, in an $NR^{17}R^{19}$ moiety, R^{17} and R^{19} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

alternatively, in an $NR^{17b}R^{19b}$ moiety, R^{17b} and R^{19b} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

R^{17a} and R^{19a} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} haloalkyl;

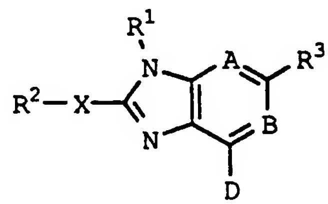
aryl is independently selected at each occurrence from the group phenyl, naphthyl, indanyl and indenyl, each aryl being substituted with 0-5 substituents independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, methylenedioxy, C_{1-4} alkoxy-C_{1-4} alkoxy, $-OR^{17}$, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, $-NO_2$, SH, $-S(O)_nR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CONR^{17}R^{19}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and up to 1 phenyl, each phenyl substituent being substituted with 0-4 substituents selected from

the group C_{1-3} alkyl, C_{1-3} alkoxy, Br, Cl, F, I, -CN, dimethylamino, CF_3, C_2F_5, OCF_3, SO_2Me and acetyl; and,

heteroaryl is independently selected at each occurence from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_mR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CONR^{17}R^{19}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15}, CO_2R^{14a}, COR^{14a} and SO_2R^{14a}.

6. A method of treating affective disorder, anxiety, depression, headache, irritable bowel syndrome, post-traumatic stress disorder, supranuclear palsy, immune suppression, Alzheimer's disease, gastrointestinal diseases, anorexia nervosa or other feeding disorder, drug addiction, drug or alcohol withdrawal symptoms, inflammatory diseases, cardiovascular or heart-related diseases, fertility problems, human immunodeficiency virus infections, hemorrhagic stress, obesity, infertility, head and spinal cord traumas, epilepsy, stroke, ulcers, amyotrophic lateral sclerosis, hypoglycemia or a disorder the treatment of which can be effected or facilitated by antagonizing CRF, including

but not limited to disorders induced or facilitated by CRF, in mammals, comprising: administering to the mammal a therapeutically effective amount of a compound of formula (I):



(I)

or a stereoisomer or pharmaceutically acceptable salt form thereof, wherein:

A is N or C-R^7;

B is N or C-R^8;

provided that at least one of the groups A and B is N;

D is an aryl or heteroaryl group attached through an unsaturated carbon atom;

X is selected from the group CH-R^9, N-R^{10}, O, $S(O)_n$ and a bond;

n is 0, 1 or 2;

R^1 is selected from the group C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{3-8} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-4} alkoxy-C_{1-4} alkyl, -SO_2-C_{1-10} alkyl, -SO_2-R^{1a}, and -SO_2-R^{1b};

R^1 is substituted with 0-1 substituents selected from the group -CN, -$S(O)_nR^{14b}$, -COR^{13a}, -CO_2R^{13a}, -$NR^{15a}COR^{13a}$, -$N(COR^{13a})_2$, -$NR^{15a}CONR^{13a}R^{16a}$, -$NR^{15a}CO_2R^{14b}$, -$CONR^{13a}R^{16a}$, 1-morpholinyl, 1-piperidinyl,

1-piperazinyl, and C_{3-8} cycloalkyl, wherein 0-1 carbon atoms in the C_{4-8} cycloalkyl is replaced by a group selected from the group -O-, $-S(O)_n-$, $-NR^{13a}-$, $-NCO_2R^{14b}-$, $-NCOR^{14b}-$ and $-NSO_2R^{14b}-$, and wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

R^1 is also substituted with 0-3 substituents independently selected at each occurrence from the group R^{1a}, R^{1b}, R^{1c}, C_{1-6} alkyl, C_{2-8} alkenyl, C_{2-8} alkynyl, Br, Cl, F, I, C_{1-4} haloalkyl, $-OR^{13a}$, $-NR^{13a}R^{16a}$, C_{1-4} alkoxy-C_{1-4} alkyl, and C_{3-8} cycloalkyl which is substituted with 0-1 R^9 and in which 0-1 carbons of C_{4-8} cycloalkyl is replaced by -O-;

provided that R^1 is other than:

(a) a 3-cyclopropyl-3-methoxypropyl group;

(b) an unsubstituted-(alkoxy)methyl group; and,

(c) a 1-hydroxyalkyl group;

also provided that when R^1 alkyl substituted with OH, then the carbon adjacent to the ring N is other than CH_2;

R^{1a} is aryl and is selected from the group phenyl, naphthyl, indanyl and indenyl, each R^{1a} being substituted with 0-5 substituents independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_nR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$;

R^{1b} is heteroaryl and is selected from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl,

benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, pyrazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-onyl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_mR^{18}$, $-COR^{17}$, $-OC(O)R^{18}$, $-NR^{15a}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15a}CONR^{17a}R^{19a}$, $-NR^{15a}CO_2R^{18}$, $-NR^{17a}R^{19a}$, and $-CONR^{17a}R^{19a}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b};

R^{1c} is heterocyclyl and is a saturated or partially saturated heteroaryl, each heterocyclyl being substituted on 0-4 carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{13a}$, SH, $-S(O)_nR^{14b}$, $-COR^{13a}$, $-OC(O)R^{14b}$, $-NR^{15a}COR^{13a}$, $-N(COR^{13a})_2$, $-NR^{15a}CONR^{13a}R^{16a}$, $-NR^{15a}CO_2R^{14b}$, $-NR^{13a}R^{16a}$, and $-CONR^{13a}R^{16a}$ and each heterocyclyl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{13a}, CO_2R^{14b}, COR^{14b} and SO_2R^{14b} and wherein any sulfur atom is optionally monooxidized or dioxidized;

R^2 is selected from the group C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{2-4} alkenyl, and C_{2-4} alkynyl and is substituted with 0-3 substituents selected from the group -CN, hydroxy, halo and C_{1-4} alkoxy;

alternatively R^2, in the case where X is a bond, is selected from the group -CN, CF_3 and C_2F_5;

R^3, R^7 and R^8 are independently selected at each occurrence from the group H, Br, Cl, F, I, -CN, C_{1-4} alkyl, C_{3-8} cycloalkyl, C_{1-4} alkoxy, C_{1-4} alkylthio, C_{1-4} alkylsulfinyl, C_{1-4} alkylsulfonyl, amino, C_{1-4} alkylamino, (C_{1-4} alkyl)$_2$amino and phenyl, each phenyl is substituted with 0-3 groups selected from the group C_{1-7} alkyl, C_{3-8} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, C_{1-4} alkylthio, C_{1-4} alkyl sulfinyl, C_{1-4} alkylsulfonyl, C_{1-6} alkylamino and (C_{1-4} alkyl)$_2$amino;

provided that when R^1 is unsubstituted C_{1-10} alkyl, then R^3 is other than substituted or unsubstituted phenyl;

R^9 and R^{10} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-6} cycloalkyl-C_{1-4} alkyl and C_{3-8} cycloalkyl;

R^{13} is selected from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl)-, heteroaryl and heteroaryl(C_{1-4} alkyl)-;

R^{13a} and R^{16a} are independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{14} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, aryl, aryl(C_{1-4} alkyl)-, heteroaryl and heteroaryl(C_{1-4} alkyl)- and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy C_{1-4} haloalkoxy, and dimethylamino;

R^{14a} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and benzyl, each benzyl being substituted on the aryl moiety with 0-1 substituents selected from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{14b} is selected from the group C_{1-4} alkyl, C_{1-4} haloalkyl, C_{1-4} alkoxy-C_{1-4} alkyl, C_{3-6} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{15} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, phenyl and benzyl, each phenyl or benzyl being substituted on the aryl moiety with 0-3 groups chosen from the group C_{1-4} alkyl, Br, Cl, F, I, C_{1-4} haloalkyl, nitro, C_{1-4} alkoxy, C_{1-4} haloalkoxy, and dimethylamino;

R^{15a} is independently selected at each occurrence from the group H, C_{1-4} alkyl, C_{3-7} cycloalkyl, and C_{3-6} cycloalkyl-C_{1-6} alkyl;

R^{17} is selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, C_{1-4} haloalkyl, $R^{14}S(O)_n$-C_{1-4} alkyl, and $R^{17b}R^{19b}N$-C_{2-4} alkyl;

R^{18} and R^{19} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl, C_{1-2} alkoxy-C_{1-2} alkyl, and C_{1-4} haloalkyl;

alternatively, in an $NR^{17}R^{19}$ moiety, R^{17} and R^{19} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in

1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

alternatively, in an $NR^{17b}R^{19b}$ moiety, R^{17b} and R^{19b} taken together form 1-pyrrolidinyl, 1-morpholinyl, 1-piperidinyl or 1-piperazinyl, wherein N_4 in 1-piperazinyl is substituted with 0-1 substituents selected from the group R^{13}, CO_2R^{14}, COR^{14} and SO_2R^{14};

R^{17a} and R^{19a} are independently selected at each occurrence from the group H, C_{1-6} alkyl, C_{3-10} cycloalkyl, C_{3-6} cycloalkyl-C_{1-6} alkyl and C_{1-4} haloalkyl;

aryl is independently selected at each occurrence from the group phenyl, naphthyl, indanyl and indenyl, each aryl being substituted with 0-5 substituents independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, methylenedioxy, C_{1-4} alkoxy-C_{1-4} alkoxy, $-OR^{17}$, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, $-NO_2$, SH, $-S(O)_nR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CONR^{17}R^{19}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and up to 1 phenyl, each phenyl substituent being substituted with 0-4 substituents selected from the group C_{1-3} alkyl, C_{1-3} alkoxy, Br, Cl, F, I, -CN, dimethylamino, CF_3, C_2F_5, OCF_3, SO_2Me and acetyl; and,

heteroaryl is independently selected at each occurence from the group pyridyl, pyrimidinyl, triazinyl, furanyl, quinolinyl, isoquinolinyl, thienyl, imidazolyl, thiazolyl, indolyl, pyrrolyl, oxazolyl, benzofuranyl, benzothienyl, benzothiazolyl, benzoxazolyl, isoxazolyl, triazolyl, tetrazolyl, indazolyl, 2,3-dihydrobenzofuranyl, 2,3-dihydrobenzothienyl, 2,3-dihydrobenzothienyl-S-oxide, 2,3-dihydrobenzothienyl-S-dioxide, indolinyl, benzoxazolin-2-on-yl, benzodioxolanyl and benzodioxane, each heteroaryl being substituted 0-4

carbon atoms with a substituent independently selected at each occurrence from the group C_{1-6} alkyl, C_{3-6} cycloalkyl, Br, Cl, F, I, C_{1-4} haloalkyl, -CN, nitro, $-OR^{17}$, SH, $-S(O)_mR^{18}$, $-COR^{17}$, $-CO_2R^{17}$, $-OC(O)R^{18}$, $-NR^{15}COR^{17}$, $-N(COR^{17})_2$, $-NR^{15}CONR^{17}R^{19}$, $-NR^{15}CO_2R^{18}$, $-NR^{17}R^{19}$, and $-CONR^{17}R^{19}$ and each heteroaryl being substituted on any nitrogen atom with 0-1 substituents selected from the group R^{15}, CO_2R^{14a}, COR^{14a} and SO_2R^{14a}.

INTERNATIONAL SEARCH REPORT

Inter   nal Application No
PCT/US 98/13913

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D471/04 C07D473/00 A61K31/505 A61K31/535
//(C07D471/04,235:00,221:00)

According to International Patent Classification(IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP 0 773 023 A (PFIZER INC.) 14 May 1997 see claims ---	1-6
A	WO 95 10506 A (THE DU PONT MERCK PHARMACEUTICAL COMPANY) 20 April 1995 cited in the application see claims ---	1-6
A	WO 95 34563 A (PFIZER INC.) 21 December 1995 cited in the application see claims ---	1-6
A	WO 95 33750 A (PFIZER INC.) 14 December 1995 cited in the application see claims --- -/--	1-6

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
20 October 1998	30/10/1998

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Chouly, J

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INTERNATIONAL SEARCH REPORT

Inte. ›nal Application No
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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication,where appropriate, of the relevant passages	Relevant to claim No.
P,A	EP 0 812 831 A (PFIZER INC.) 17 December 1997 see claims	1-6
P,A	WO 98 08847 A (PFIZER INC.) 5 March 1998 see claims	1-6

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International application No.

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INTERNATIONAL SEARCH REPORT

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. [X] Claims Nos.: 6
because they relate to subject matter not required to be searched by this Authority, namely:
Remark: Although claim 6 is directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

2. [ ] Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

3. [ ] Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. [ ] As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. [ ] As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. [ ] As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

4. [ ] No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

[ ] The additional search fees were accompanied by the applicant's protest.

[ ] No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

..formation on patent family members

Inte   onal Application No
PCT/US 98/13913

Patent document cited in search report		Publication date	Patent family member(s)			Publication date
EP 773023	A	14-05-1997	CA	2189830	A	09-05-1997
			JP	9132528	A	20-05-1997
WO 9510506	A	20-04-1995	AU	692484	B	11-06-1998
			AU	8012294	A	04-05-1995
			BR	9407799	A	06-05-1997
			CA	2174080	A	20-04-1995
			CN	1142817	A	12-02-1997
			CZ	9601014	A	13-11-1996
			EP	0723533	A	31-07-1996
			FI	961599	A	07-06-1996
			HR	940664	A	31-12-1996
			HU	74464	A	30-12-1996
			JP	9504520	T	06-05-1997
			NO	961425	A	12-06-1996
			NZ	274978	A	27-04-1998
			PL	313973	A	05-08-1996
			SK	47096	A	01-10-1996
			ZA	9407921	A	11-04-1996
WO 9534563	A	21-12-1995	AU	687196	B	19-02-1998
			AU	2350595	A	05-01-1996
			BR	9502707	A	04-06-1996
			CA	2192820	A	21-12-1995
			CN	1150803	A	28-05-1997
			CZ	9603670	A	15-10-1997
			EP	0765327	A	02-04-1997
			FI	965022	A	13-12-1996
			HU	75776	A	28-05-1997
			JP	9507855	T	12-08-1997
			NO	965378	A	13-12-1996
			PL	317705	A	28-04-1997
WO 9533750	A	14-12-1995	AU	692548	B	11-06-1998
			AU	2453095	A	04-01-1996
			BR	9502708	A	30-04-1996
			CA	2192354	A	14-12-1995
			CN	1150428	A	21-05-1997
			EP	0764166	A	26-03-1997
			FI	964894	A	05-12-1996

INTERNATIONAL SEARCH REPORT

..ormation on patent family members

Intern nal Application No
PCT/US 98/13913

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9533750 A		HR 950321 A	28-02-1998
		HU 75774 A	28-05-1997
		JP 9507249 T	22-07-1997
		NO 965237 A	06-02-1997
		NZ 285442 A	27-05-1998
		PL 320631 A	13-10-1997
EP 812831 A	17-12-1997	CA 2207348 A	11-12-1997
		JP 10072449 A	17-03-1998
WO 9808847 A	05-03-1998	AU 3456197 A	19-03-1998

Form PCT/ISA/210 (patent family annex) (July 1992)